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NEWS 3	DEC 18	CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS 4	DEC 18	CA/CAplus patent kind codes updated
NEWS 5	DEC 18	MARPAT to CA/CAplus accession number crossover limit increased to 50,000
NEWS 6	DEC 18	MEDLINE updated in preparation for 2007 reload
NEWS 7	DEC 27	CA/CAplus enhanced with more pre-1907 records
NEWS 8	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 9	JAN 16	CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 10	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS 11	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 12	JAN 22	CA/CAplus updated with revised CAS roles
NEWS 13	JAN 22	CA/CAplus enhanced with patent applications from India
NEWS 14	JAN 29	PHAR reloaded with new search and display fields
NEWS 15	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 16	FEB 15	PATDPASPC enhanced with Drug Approval numbers
NEWS 17	FEB 15	RUSSIAPAT enhanced with pre-1994 records
NEWS 18	FEB 23	KOREAPAT enhanced with IPC 8 features and functionality
NEWS 19	FEB 26	MEDLINE reloaded with enhancements
NEWS 20	FEB 26	EMBASE enhanced with Clinical Trial Number field
NEWS 21	FEB 26	TOXCENTER enhanced with reloaded MEDLINE
NEWS 22	FEB 26	IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 23	FEB 26	CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS 24	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 25	MAR 16	CASREACT coverage extended
NEWS 26	MAR 20	MARPAT now updated daily

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 11:50:40 ON 22 MAR 2007

=> file reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY  SESSION
FULL ESTIMATED COST                                         0.21     0.21

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http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10517328.str

L1      STRUCTURE UPLOADED

=> dis l1
L1 HAS NO ANSWERS
L1              STR
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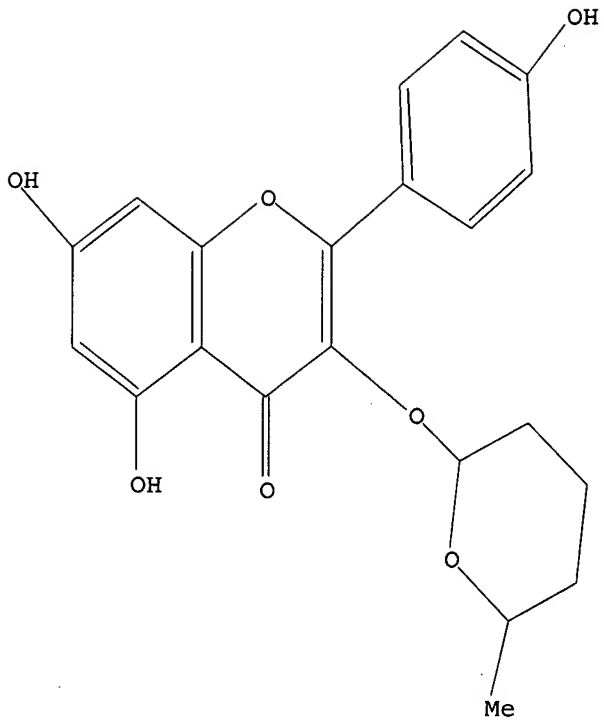

Structure attributes must be viewed using STN Express query preparation.

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=> s l1 sss sam
SAMPLE SEARCH INITIATED 11:51:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      444 TO ITERATE

100.0% PROCESSED      444 ITERATIONS                          9 ANSWERS
SEARCH TIME: 00.00.01

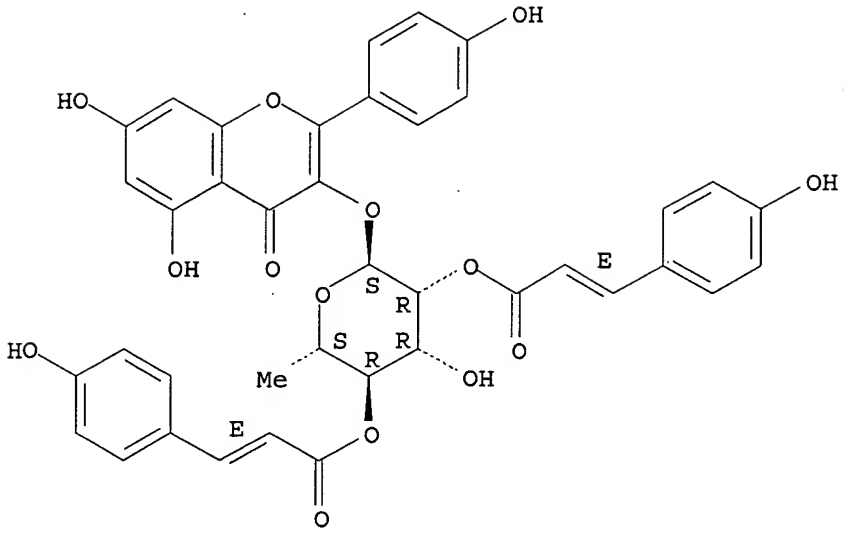
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         7616 TO    10144
PROJECTED ANSWERS:               9 TO      359

L2            9 SEA SSS SAM L1

=> d scan

L2    9 ANSWERS   REGISTRY  COPYRIGHT 2007 ACS on STN
IN    4H-1-Benzopyran-4-one, 3-[[6-deoxy-2,4-bis-O-[(2E)-3-(4-hydroxyphenyl)-1-
      oxo-2-propenyl]-α-L-mannopyranosyl]oxy]-5,7-dihydroxy-2-(4-
      hydroxyphenyl)- (9CI)
MF    C39 H32 O14

Absolute stereochemistry.
Double bond geometry as shown.
```

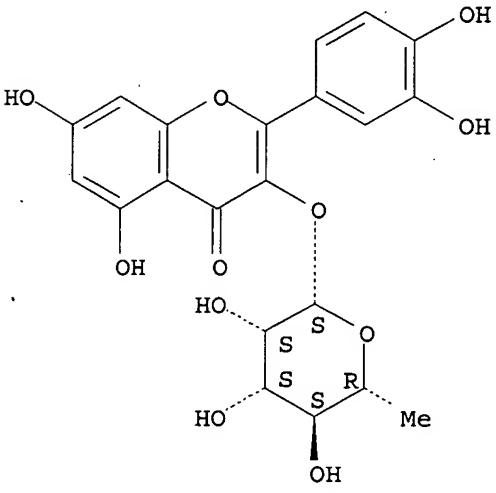


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 9 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-β-D-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI)
MF C21 H20 O11

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> s l1 sss full
FULL SEARCH INITIATED 11:52:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 8611 TO ITERATE

100.0% PROCESSED 8611 ITERATIONS 214 ANSWERS

SEARCH TIME: 00.00.01

L3 214 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 173.00 173.21

FILE 'CAPLUS' ENTERED AT 11:52:40 ON 22 MAR 2007
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FILE COVERS 1907 - 22 Mar 2007 VOL 146 ISS 13
FILE LAST UPDATED: 21 Mar 2007 (20070321/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
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http://www.cas.org/infopolicy.html

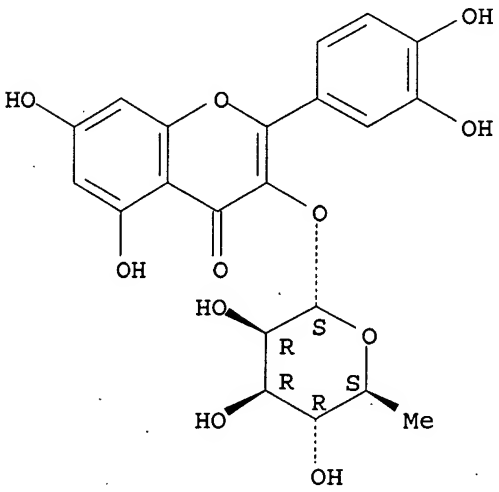
=> s l3 and (cancer or prostate or breast or sarcoma)
3009 L3
310033 CANCER
45501 CANCERS
321721 CANCER
(CANCER OR CANCERS)
51045 PROSTATE
1361 PROSTATES
51153 PROSTATE
(PROSTATE OR PROSTATES)
75466 BREAST
647 BREASTS
75672 BREAST
(BREAST OR BREASTS)
38813 SARCOMA
4340 SARCOMAS
102 SARCOMATA
40477 SARCOMA
(SARCOMA OR SARCOMAS OR SARCOMATA)
L4 55 L3 AND (CANCER OR PROSTATE OR BREAST OR SARCOMA)

=> dis l4 1-55 bib abs hitstr

L4 ANSWER 1 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:107559 CAPLUS
TI Thermal Degradation of Onion Quercetin Glucosides under Roasting Conditions
AU Rohn, Sascha; Buchner, Nadja; Driemel, Gregor; Rauser, Morten; Kroh, Lothar W.
CS Department of Food Analysis, Institute of Food Technology and Food Chemistry, Technical University of Berlin, Berlin, D-13355, Germany

SO Journal of Agricultural and Food Chemistry (2007), 55(4), 1568-1573
CODEN: JAFCAU; ISSN: 0021-8561
PB American Chemical Society
DT Journal
LA English
AB Flavonoids are an important constituent of the human diet. In recent years, they have gained much attention due to their physiol. properties, leading to an enormous increase in research on cancer prevention and reduction of cardiovascular diseases. Unfortunately, there is limited information about the fate of flavonoid glycosides during thermal treatment such as cooking, frying, roasting, etc. Such processing techniques may have an impact on the flavonoid structure, resulting in changes of the bioavailability and activity of the flavonoids. In this study, the stability of selected model and onion quercetin glycosides under roasting conditions (180 °C) was determined The influence of the kind and position of the sugar moiety was investigated. As onions contain large amts. of quercetin glycosides and are often subject to thermal processes in food production, their major glycosides were isolated using counter current chromatog. and roasted. The thermal treatment led to a degradation of the quercetin glycosides. The main product is the aglycon quercetin, which remained stable during further roasting. During the roasting process of the quercetin diglucoside isolated from onion, the formation of a monoglycoside as an intermediate product was observed This underlined that the stability of the glycosides is dependent on the kind and position of the sugar moiety.
IT INDEXING IN PROGRESS
IT 522-12-3, Quercitrin
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(thermal degradation of quercetin glucosides in onion during roasting)
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:97956 CAPLUS
DN 146:258666
TI Total flavone extract of Solidago canadensis and its preparation method and application
IN Zheng, Rong; Qin, Luping; Xu, Lei
PA Shanghai Linsaijiao Biological Science and Technology Development Co.,

Ltd., Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 56pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

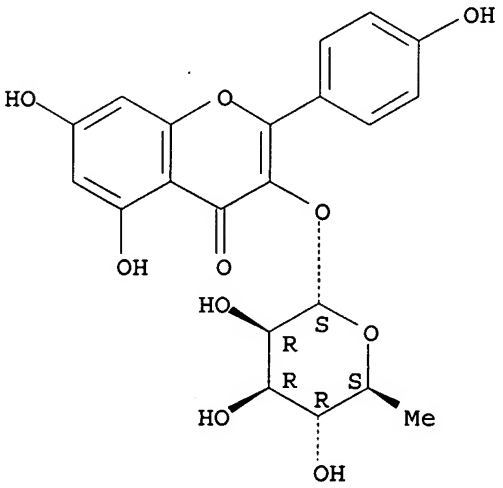
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI CN 1899341	A	20070124	CN 2006-10029475	20060727
PRAI CN 2006-10029475		20060727		

AB The total flavone extract of Solidago Canadensis comprises total flavone extract 55-90% of quercetin, quercetin-3-O-β-D-galactoside, Kaempferol, Kaempferol-3-O-α-L-rhamnoside and Chlorogenic acid at weight rate of 10-50:2-28:1-17:2-24:0.5-13. The preparation method comprises (1) aqueous Et alc. extracting Solidago Canadensis; (2) vacuum concentrating; (3) water diluting, stewing, collecting supernatant; (4) separation via macropore adsorption resin, water washing, eluting with Et alc. and collecting eluent; (5) concentrating, drying for title total flavone extract The extracting method comprises solvent extracting method of ultrasonic extracting, continuous countercurrent leaching, heating and refluxing extraction etc. The extracting solvent comprises water reagent of water, acid aqueous solution, alkali aqueous solution; hydrophilic solvent of Et alc., Et alc. aqueous solution or methanol; lipophilic solvent of petroleum ether, chloroform, Et ether, Et acetate, dichloromethane or dichloroethane. Title total flavone extract of Solidago Canadensis is used to prepare oxidation inhibited product, inflammatory inhibited product and antineoplastic product for prevention, diagnosis, detection, treatment and research of Alzheimer's disease, multimeter dementia, alc. dementia and normal pressure hydrocephalus, pharyngolaryngitis or esophagus cancer.

IT 482-39-3, Kaempferol-3-O-α-L-rhamnoside
RL: ANT (Analyte); PAC (Pharmacological activity); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(total flavone extract of Solidago canadensis and its preparation method and application)

RN 482-39-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

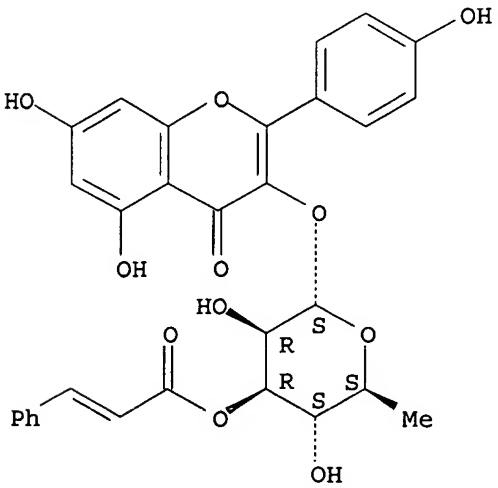


L4 ANSWER 3 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1173927 CAPLUS
DN 145:495585
TI Pharmaceutical compositions containing flavones and long chain fatty acid derivatives isolated from medicinal plants for the treatment of prostate disorders
IN Lu, Xian-Ping; Song, San; Li, Zhibin; Luo, Yanping; Liao, Chenzhong; Ning, Zhiqiang
PA Peop. Rep. China
SO U.S. Pat. Appl. Publ., 12pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2006252708	A1	20061109	US 2005-124891	20050509
PRAI US 2005-124891		20050509		

OS MARPAT 145:495585
AB Disclosed herein is the extraction, separation, and preparation of plant medicinal exts.
to provide compns. containing enriched and isolated flavone derivs. and long chain fatty acid derivs. from natural plants. These exts. are used to control, i.e., prevent and treat, prostate diseases. For example, pollen of rape were extracted with Et acetate, and the following flavones and long-chain fatty acids were isolated, such as naringenin, luteolin, kaempferol, and linolenic acid glycerin ester.
IT 880492-38-6 880492-40-0
RL: BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(pharmaceutical compns. containing flavones and long chain fatty acid derivs. isolated from medicinal plants for treatment of prostate disorders)
RN 880492-38-6 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[[6-deoxy-3-O-(1-oxo-3-phenyl-2-propenyl)-α-L-mannopyranosyl]oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

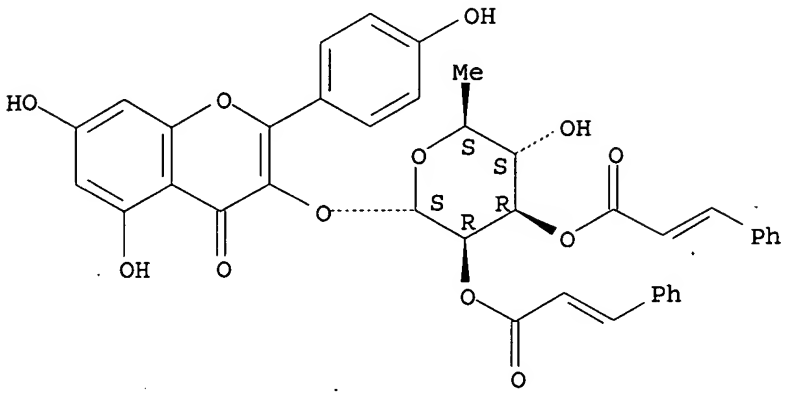
Absolute stereochemistry.
Double bond geometry unknown.



RN 880492-40-0 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[[6-deoxy-2,3-bis-O-(1-oxo-3-phenyl-2-propenyl)-

α-L-mannopyranosyl]oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L4 ANSWER 4 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1015301 CAPLUS
DN 145:460476
TI Pharmaceutical compositions containing 1,2,3-trihydroxy benzene and its derivatives for inhibiting metalloproteases and the treatment of related diseases
IN Pang, Xuexun; Ji, Haitao; Jin, Fenghai; Liu, Sen; Niu, Fenglan; Shi, Xiujuan; Wang, Huiling; Sang, Qingxiang; Cao, Qiang; Li, Wei; Wang, Yuhong
PA Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 26pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1837169	A	20060927	CN 2006-10065730	20060314
PRAI	CN 2006-10065730		20060314		

AB The 1,2,3-trihydroxy benzene derivs. has a formula I, wherein R1, R2 and R3 = H, substituted or unsubstituted C1-C18 alkyl, substituted or unsubstituted by one or more of discontinuous O C2-C18 alkyl, substituted or unsubstituted by discontinuous -CO-, -COO-, -OCO-, -OCOO-, -CO-N(4)-, -N(R4)-CO-, -N(R4)-CO-N(R4)-, -[N(R4)]2-CO-, -N(R4)-COO- C2-C18 alkyl, -OR5, -OCO-R5, -COO-R5, -N(R4)-R5, -N(R4)-CO-R5, -CO-N(R4)-R5, C2-C12 alkenyl, substituted or unsubstituted by one or more of discontinuous O C2-C12 alkenyl, substituted or unsubstituted C6-C20 aryl, substituted or unsubstituted C4-C20 heteroaryl containing O, S or N, OH, halogen, O, S, C1-C8 alkyl, C1-C8 alkythio, C1-C8 alkoxy, C6-C20 aryl, C4-C20 heteroaryl containing O, S or N, C6-C20 aryloxy, C4-C20 heteroaryloxy containing O, S or N, -CO-OR4, -CO-N(R4)2, etc. The compound can be used as effective selective inhibitor of zinc ion metalloprotease such as MT1-MMP, gelatinase A and B, collagenase, matrilysins, metallo-elastase, and stromelysin-1. The inhibitors can be used for regulating physiol. and pathol. process (such as neogenesis of blood vessel, healing of wound, transplantation of organ, controlling of fertilization and regenerative capacity, reconstitution of bone, and pain) participated by matric metalloprotease (MMPs), ADAMs, andADAM-TS. The inhibitors can be used by human, animal, and other biosome for treating cancer, cardiovascular diseases, arthritis, periodontal disease, multiple sclerosis, inflammation, endometriosis, keratohelcosis, bacterial meningitis, diabetic syndrome, nephropathy, neurodegeneration, AIDS, herpes, anaphylaxis, endometriosis, osteoporosis,

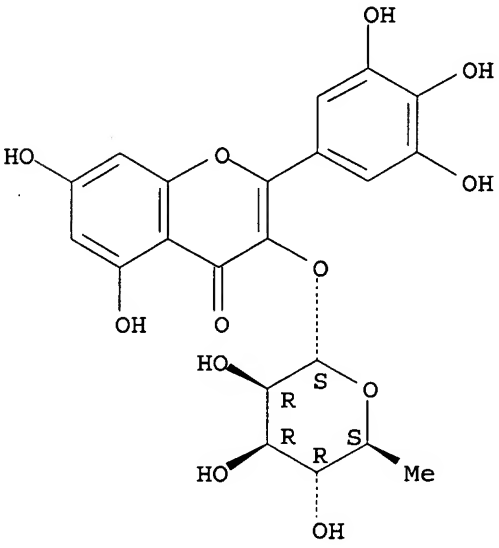
asthma, etc. The inhibitors can also be used as anti-aging agent, antibacterial agent, and additive of extracellular matrix/collagen product and cosmetic product.

IT 17912-87-7, Myricitrin
RL: BSU (Biological study, unclassified); COS (Cosmetic use); FFD (Food or feed use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. containing 1,2,3-trihydroxy benzene and its derivs. for inhibiting metalloproteases and treatment of related diseases)

RN 17912-87-7 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(3,4,5-trihydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 5 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:838518 CAPLUS

DN 146:54877

TI Antiproliferative activity of Pteleopsis suberosa leaf extract and its flavonoid components in human prostate carcinoma cells

AU De Leo, Marinella; Braca, Alessandra; Sanogo, Rokia; Cardile, Venera; DeTommasi, Nunziatina; Russo, Alessandra

CS Dipartimento di Chimica Bioorganica e Biofarmacia, Universita di Pisa, Pisa, Italy

SO Planta Medica (2006), 72(7), 604-610
CODEN: PLMEAA; ISSN: 0032-0943

PB Georg Thieme Verlag

DT Journal

LA English

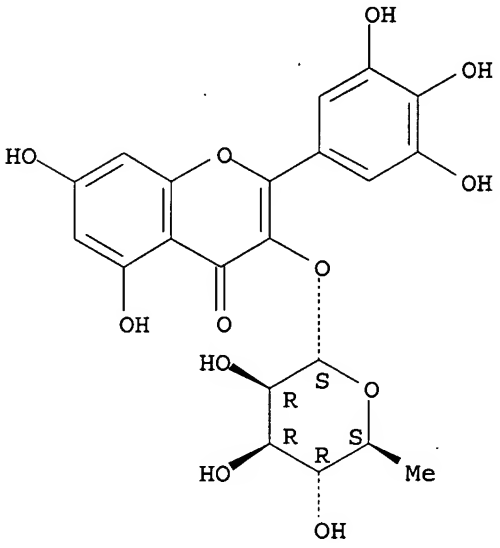
AB In this work we describe the chemical composition of Pteleopsis suberosa (Combretaceae) leaf extract and its biol. activity against androgen-insensitive human prostate cancer cells (DU-145). The methanol extract of the plant leaves exhibited activity against tumor cell growth. Fractionation of this active extract led to the isolation and identification of sixteen flavonoids, including gallocatechin and flavonols having kaempferol, quercetin, and myricetin as aglycons. Among the myricetin derivs., myricetin 3-O-(3''-acetyl)-α-L-arabinopyranoside (1) and myricetin 3-O-(4''-acetyl)-α-L-arabinopyranoside (2) are now reported for the first time. Six compds., myricetin 3-O-α-L-rhamnopyranoside (4), myricetin 3-O-β-D-galactopyranoside (7), myricetin 3-O-(6''-galloyl)-β-D-galactopyranoside (9), myricetin 3-O-β-D-xylopyranoside (10),

myricetin 3-O-α-L-arabinofuranoside (12), and gallocatechin (14), exhibited significant activity, reducing cell vitality and inducing apoptosis via the caspase-dependent pathway in DU-145 cells that can be, in part, correlated to modulation of redox-sensitive mechanisms.

IT 17912-87-7 69120-16-7 165127-26-4
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
(antiproliferative activity of Pteleopsis suberosa leaf extract and its flavonoid components in human prostate carcinoma cells)

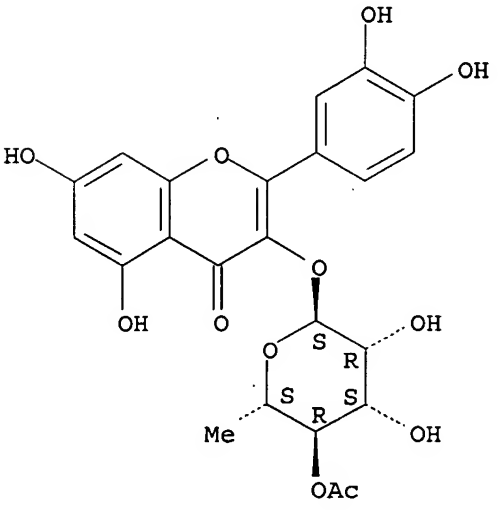
RN 17912-87-7 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(3,4,5-trihydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 69120-16-7 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(4-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

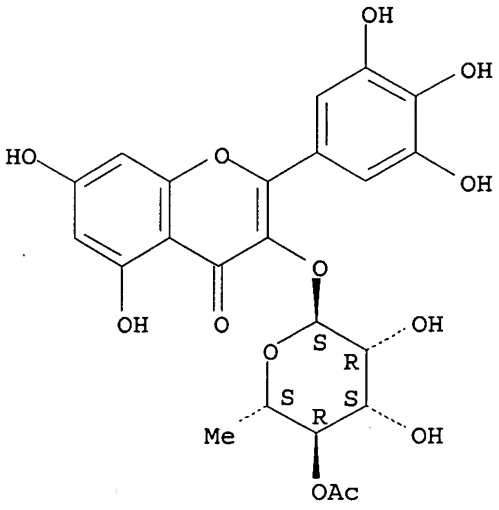
Absolute stereochemistry.



RN 165127-26-4 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(4-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(3,4,5-trihydroxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:817387 CAPLUS
DN 145:249451
TI Process for the synthesis of kaempferol glycoside SL0101-1 analogs and their inhibition of p90Rsk
IN Hecht, Sidney M.; Maloney, David
PA University of Virginia Patent Foundation, USA
SO PCT Int. Appl., 37pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006086103	A2	20060817	WO 2006-US709	20060110
WO 2006086103	A3	20060928		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA; CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

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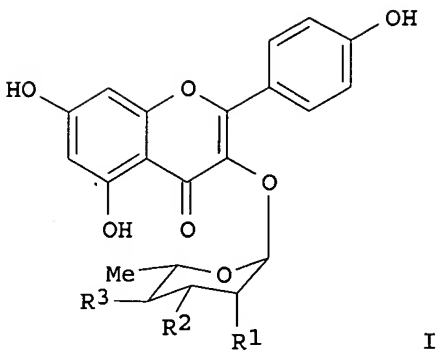
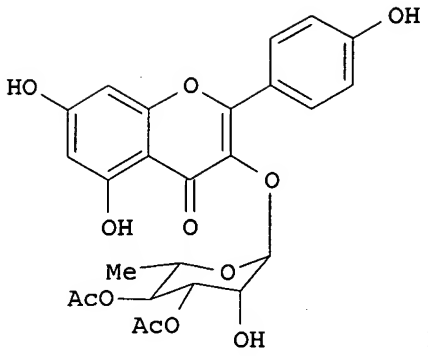
PRAI US 2005-642539P P 20050110
OS CASREACT 145:249451; MARPAT 145:249451
GI



I



II

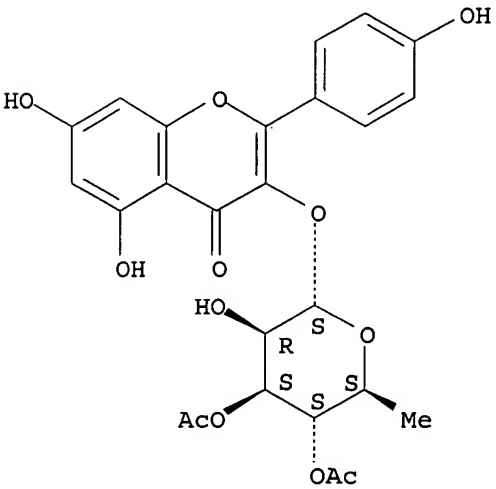
AB A process for the synthesis of kaempferol glycoside SL0101-1 analogs I, wherein R1 and R2 are independently selected fro OH or OAc; R3 is OAc are prepared and tested as inhibitors of p90 ribosomal S6 kinase (RSK). Thus, II was prepared and displayed and IC50 of 89 nM against p90 ribosomal S6 kinase. Further, I can act as anti-cancer agents by their selective and potent p90 Rsk inhibitory activity at nanomolar concns. without inhibiting the function of upstream kinases such as MEK, Raf, or PKC.

IT 77307-50-7P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for the synthesis of kaempferol glycoside SL0101-1 analogs and their inhibition of p90Rsk)

RN 77307-50-7 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(3,4-di-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

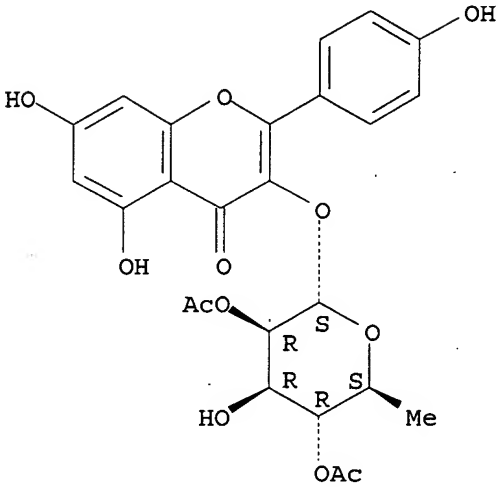


IT 133882-73-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for the synthesis of kaempferol glycoside SL0101-1 analogs and their inhibition of p90Rsk)

RN 133882-73-2 CAPLUS

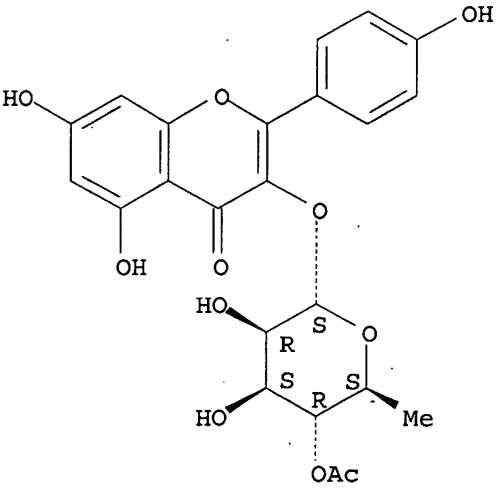
CN 4H-1-Benzopyran-4-one, 3-[(2,4-di-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 135618-17-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(process for the synthesis of kaempferol glycoside SL0101-1 analogs and their inhibition of p90Rsk)
RN 135618-17-6 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(4-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

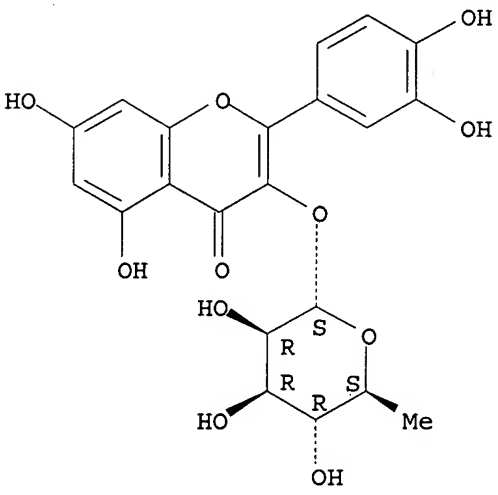
Absolute stereochemistry. Rotation (-).



L4 ANSWER 7 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:786278 CAPLUS
DN 145:392491
TI Bioactive Depsides and Anthocyanins from Jaboticaba (Myrciaria cauliflora)
AU Reynertson, Kurt A.; Wallace, Alison M.; Adachi, Seiji; Gil, Roberto R.; Yang, Hui; Basile, Margaret J.; D'Armiento, Jeanine; Weinstein, I. Bernard; Kennelly, Edward J.
CS Department of Biological Sciences, Lehman College and the Graduate Center, City University of New York, Bronx, NY, 10468, USA
SO Journal of Natural Products (2006), 69(8), 1228-1230
CODEN: JNPRDF; ISSN: 0163-3864

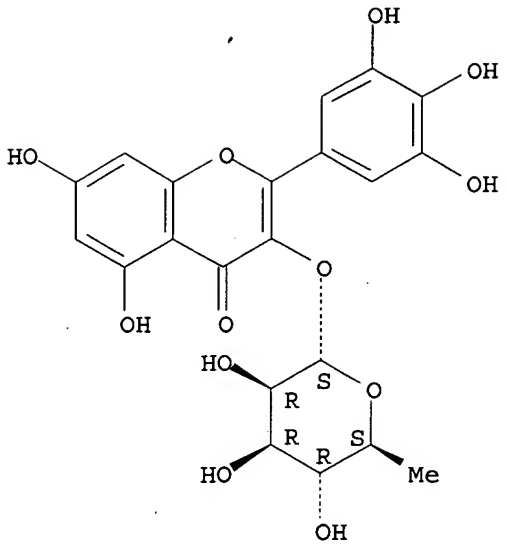
PB American Chemical Society-American Society of Pharmacognosy
DT Journal
LA English
AB A new depside, jaboticabin (1), together with 17 known compds. were isolated from the fruit of jaboticaba (Myrciaria cauliflora). The structure of 1 was elucidated by spectroscopic data interpretation. Known compds. were identified by comparison of their spectroscopic data with literature values or by comparison to authentic stds. Compound 1 and the related depside 2-O-(3,4-dihydroxybenzoyl)-2,4,6-trihydroxyphenylacetic acid (2) significantly inhibited chemokine interleukin (IL)-8 production before and after cigarette smoke treatment of cells. Compound 1 was cytotoxic in the HT29 colon cancer cell line (IC50 = 65 μM), and 2 was active against HCT116 colon cancer cells (IC50 = 30 μM). Compds. 1 and 2 also exhibited antiradical activity in the 1,1-diphenyl-2-picrylhydrazyl (DPPH) assay (IC50 = 51.4 and 61.8 μM, resp.). Two anthocyanins, cyanidin 3-glucoside (3) and delphinidin 3-glucoside (4), also showed good activity in these assays.
IT 522-12-3P, Quercitrin 17912-87-7P, Myricitrin
RL: BSU (Biological study, unclassified); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
(bioactive depsides and anthocyanins from jaboticaba)
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 17912-87-7 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(3,4,5-trihydroxyphenyl)- (CA INDEX NAME)

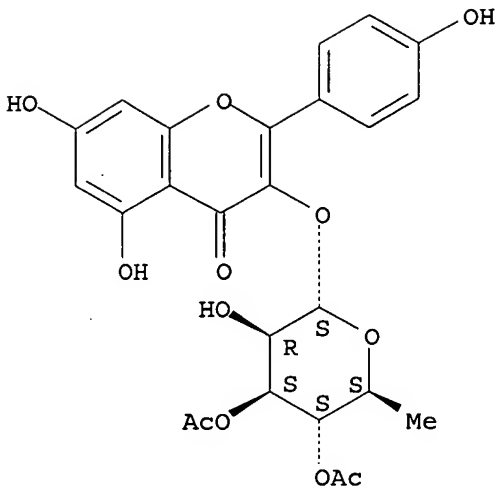
Absolute stereochemistry. Rotation (-).



RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:739419 CAPLUS
DN 145:347797
TI Homology model of RSK2 N-terminal kinase domain, structure-based identification of novel RSK2 inhibitors, and preliminary common pharmacophore
AU Nguyen, Tam Luong; Gussio, Rick; Smith, Jeffrey A.; Lannigan, Deborah A.; Hecht, Sidney M.; Scudiero, Dominic A.; Shoemaker, Robert H.; Zaharevitz, Daniel W.
CS Target Structure-based Drug Discovery Group, SAIC-Frederick, Inc., NCI Frederick, Frederick, MD, 21702, USA
SO Bioorganic & Medicinal Chemistry (2006), 14(17), 6097-6105
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier B.V.
DT Journal
LA English
AB Ribosomal S6 kinase 2 (RSK2) is a serine/threonine kinase that plays a role in human cancer and Coffin-Lowry syndrome and is comprised of two nonidentical kinase domains, each domain with its own ATP-binding site. RSK2 can be inactivated by different types of small organic mols. Potent RSK2 inhibitors include the two classic bisindole maleimide PKC inhibitors, Ro31-8220 and GF109203X, and the natural product SL0101 that was shown to bind specifically to the ATP pocket of the N-terminal domain (NTD). In this paper, the authors present an atomic model of the RSK2 NTD (residues 68-323), which was built to simultaneously bind the distinctive mol. scaffolds of SL0101, Ro31-8220, and GF109203X. The RSK2 NTD model was used to identify two novel RSK2 inhibitors from the National Cancer Institute open chemical repository and to develop a preliminary structure-based pharmacophore model.
IT 77307-50-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(homol. model of RSK2 N-terminal kinase domain, structure-based identification of novel RSK2 inhibitors, and preliminary common pharmacophore)
RN 77307-50-7 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(3,4-di-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:739404 CAPLUS
DN 145:347794
TI Influence of rhamnose substituents on the potency of SL0101, an inhibitor of the Ser/Thr kinase, RSK
AU Smith, Jeffrey A.; Maloney, David J.; Clark, David E.; Xu, Yaming; Hecht, Sidney M.; Lannigan, Deborah A.
CS Center for Cell Signaling, University of Virginia, Charlottesville, VA, 22908, USA
SO Bioorganic & Medicinal Chemistry (2006), 14(17), 6034-6042
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 145:347794
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The authors have previously reported the isolation of kaempferol 3-O-(3'',4''-di-O-acetyl-α-L-rhamnopyranoside) from Forsteronia refracta. This flavonoid glycoside, termed SL0101, is a specific inhibitor of p90 ribosomal S6 kinase (RSK) with a dissociation constant of 1 μM. In intact cells, however, the EC50 for inhibition of RSK activity is 50 μM, which suggests that the efficacy of SL0101 could be limited by cellular uptake. Therefore, the authors investigated the possibility of developing a more potent RSK inhibitor by synthesizing SL0101 analogs with increased hydrophobic character. The total syntheses of kaempferol derivs. (I, Bu-SL0101) and (II, 3Ac-SL0101) were performed. The IC50 for inhibition of RSK activity in in vitro kinase assays for the analogs was similar to that obtained for SL0101. 3Ac-SL0101 demonstrated the same remarkable specificity for inhibiting RSK activity in intact cells as SL0101; however, Bu-SL0101 was not completely specific. 3Ac-SL0101 was .apprx.2-fold more potent at inhibiting MCF-7 cell proliferation compared to SL0101 and preferentially decreased MCF-7 cell growth, as compared to the growth of the normal human breast line, MCF-10A. Thus the discovery of 3Ac-SL0101 as a more potent RSK-specific inhibitor than SL0101 should facilitate the development of RSK inhibitors as anticancer

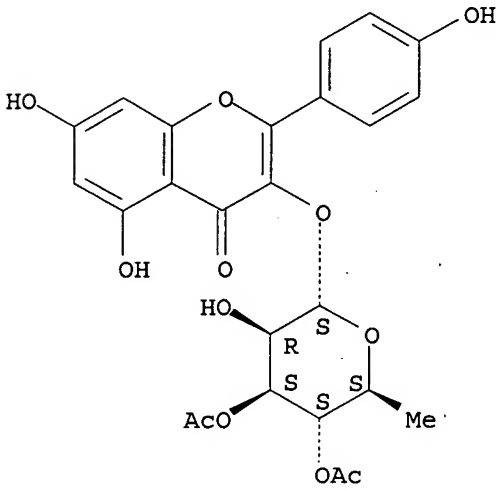
chemotherapeutic agents.

IT 77307-50-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(SL0101; influence of rhamnose substituents on potency of SL0101, an inhibitor of Ser/Thr kinase, RSK)

RN 77307-50-7 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(3,4-di-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

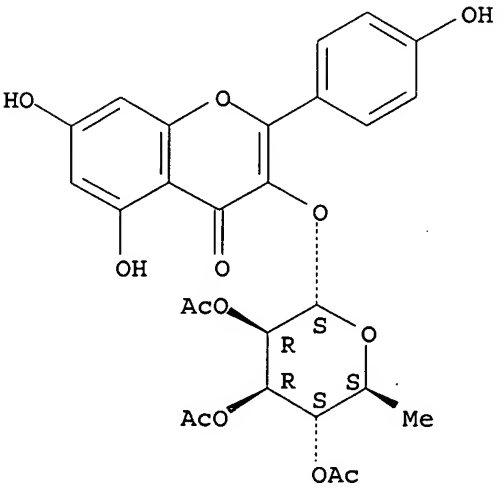


IT 735315-15-8P 910041-18-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(influence of rhamnose substituents on potency of SL0101, an inhibitor of Ser/Thr kinase, RSK)

RN 735315-15-8 CAPLUS

CN 4H-1-Benzopyran-4-one, 5,7-dihydroxy-2-(4-hydroxyphenyl)-3-[(2,3,4-tri-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

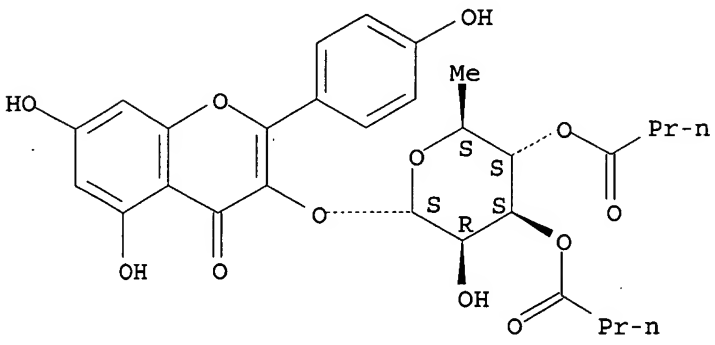


RN 910041-18-8 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[[6-deoxy-3,4-bis-O-(1-oxobutyl)-α-L-

mannopyranosyl]oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

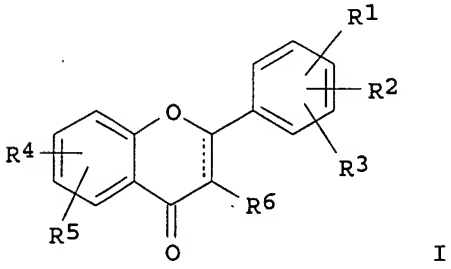


RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:469493 CAPLUS
DN 144:456412
TI Flavone derivatives as TNFα inhibitors or antagonists
IN Hsu, Li-Wei; Chang, Su-Chen; Shen, Chen-Hsiang; Liao, Yuan-Xiu; Chuang, Kuo-Sheng
PA Advanced Gene Technology, Corp., Taiwan
SO U.S. Pat. Appl. Publ., 18 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2006105967	A1	20060518	US 2004-992178	20041118
PRAI US 2004-992178		20041118		

OS MARPAT 144:456412
GI



I

AB The flavone derivs. (I; R1-5 = H, OH, ester group; R6 = H, OH, ester group, O-glycoside) or the pharmaceutically acceptable salts thereof, as TNFα antagonists or inhibitors are provided. A pharmaceutical composition comprising I, such as myricitrin, quercitrin or quercetin-3-D-glucoside for antagonizing or inhibiting TNFα in a mammal, including human, in treatment of rheumatoid arthritis, Crohn's disease, plaque sclerosis, septic shock, cancer or cachexia associated with an immunodeficiency is also described.

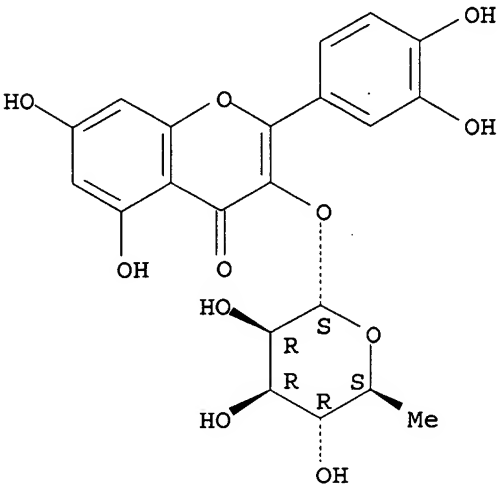
IT 522-12-3, Quercitrin 17912-87-7, Myricitrin

RL: NPO (Natural product occurrence); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
(compns. containing flavone derivs. as TNFα inhibitors or antagonists)

RN 522-12-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

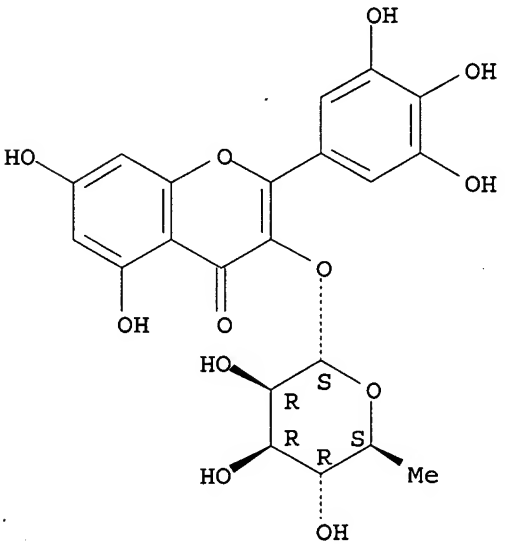
Absolute stereochemistry.



RN 17912-87-7 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(3,4,5-trihydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 11 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:256838 CAPLUS

DN 145:241079

TI Apple flavonoids inhibit growth of HT29 human colon cancer cells and modulate expression of genes involved in the biotransformation of xenobiotics

AU Veeriah, Selvaraju; Kautenburger, Tanja; Habermann, Nina; Sauer, Julia;

Dietrich, Helmut; Will, Frank; Pool-Zobel, Beatrice Louise

CS Department of Nutritional Toxicology, Institute for Nutrition, Friedrich-Schiller-University, Jena, Germany

SO Molecular Carcinogenesis (2006), 45(3), 164-174
CODEN: MOCAE8; ISSN: 0899-1987
PB Wiley-Liss, Inc.
DT Journal
LA English

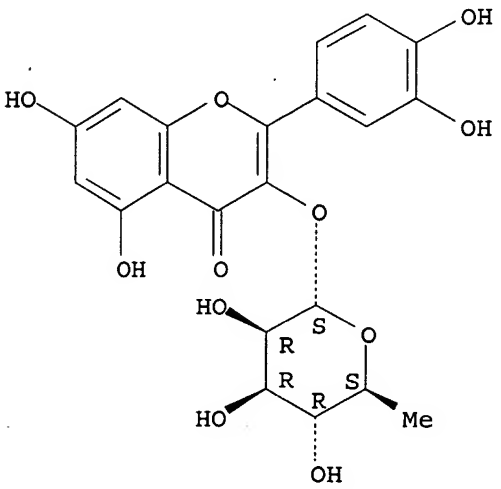
AB Flavonoids from fruits and vegetables probably reduce risks of diseases associated with oxidative stress, including cancer. Apples contain significant amts. of flavonoids with antioxidative potential. The objectives of this study were to investigate such compds. for properties associated with reduction of cancer risks. We report herein that apple flavonoids from an apple extract (AE) inhibit colon cancer cell growth and significantly modulate expression of genes related to xenobiotic metabolism HT29 cells were treated with AE at concns. delivering 5-50 μM of one of the major ingredients, phloridzin ("phloridzin-equivalent," Ph.E), to the cell culture medium, with a synthetic flavonoid mixture mimicking the composition of the AE or with 5-100 μM individual flavonoids. HT29 cell growth was inhibited by the complex extract and by the mixture HT29 cells were treated with nontoxic doses of the AE (30 μM, Ph.E) and after 24 h total RNA was isolated to elucidate patterns of gene expression using a human cDNA-microarray (SuperArray) spotted with 96 genes of drug metabolism Treatment with AE resulted in an upregulation of several genes (GSTP1, GSTT2, MGST2, CYP4F3, CHST5, CHST6, and CHST7) and downregulation of EPHX1, in comparison to the medium controls. The enhanced transcriptional activity of GSTP1 and GSTT2 genes was confirmed with real-time qRT-PCR. On the basis of the pattern of differential gene expression found here, we conclude that apple flavonoids modulate toxicol. defense against colon cancer risk factors. In addition to the inhibition of tumor cell proliferation, this could be a mechanism of cancer risk reduction

IT 522-12-3, Quercetin-3-rhamnoside
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(apple flavonoids inhibit growth of HT29 human colon cancer cells and modulate expression of genes involved in biotransformation of xenobiotics)

RN 522-12-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



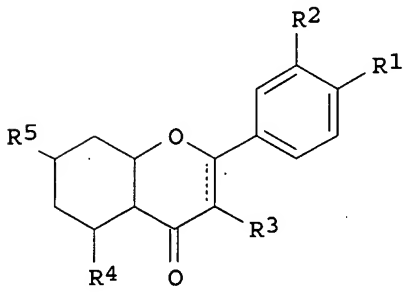
RE.CNT 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:141643 CAPLUS
DN 144:324868
TI Method of separation, extraction and preparation flavonoid active contents of natural products for treating prostatitis, tumor of prostate and hyperplasia of prostate
IN Lu, Xianping; Yao, Xinsheng; Shan, Song; Han, Huiying; Li, Zhibin; Wang, Naili; Luo, Yanping; Zhang, Xue; Ning, Zhiqiang; Gao, Hao
PA Shenzhen Chipscreen Biosciences Ltd., Peop. Rep. China; Shenzhen Research Center for Traditional Chinese Medicine and Natural Medicines
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 15 pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1640873	A	20050720	CN 2004-10027121	20040503
PRAI	CN 2004-10027121		20040503		

OS MARPAT 144:324868
GI



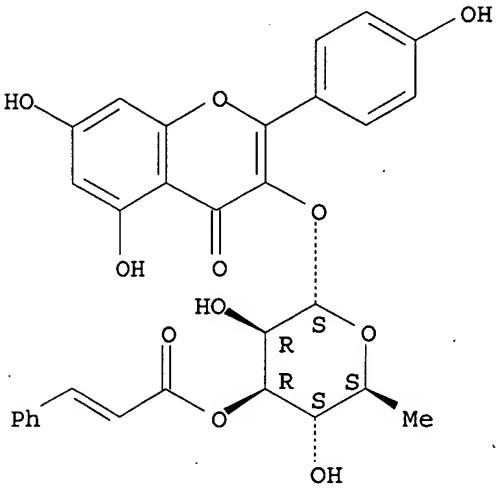
I

AB The structure of flavonoid active contents [I; R1= OH, alkoxyl, oxo-D-glucose, oxo-Rhamno; R2= H, OH, alkoxyl; R3= H, OH, alkoxyl,-Q1-Q2-(Q3)n, Q1= O, S, N; Q2=D-glucose, Rhamno; Q3= cinnamyl, benzyl ethylene acetyl; R4= OH, alkoxyl; R5=OH, alkoxyl, oxo-D-glucose, oxo-Rhamno] is presented. The method comprises pulverizing plants, boiling with water or ultrasonic extracting (or methanol, ethanol, acetone, Et acetate); defatting with hexane (or cyclohexane, ether), extracting gruffs with solvent, purifying on column (silica gel, polyamine, Sephadex ged) to give product. The pharmaceutical composition is composed of 0.01-1000mg flavonoid active contents and pharmaceutical carrier or diluent. And it can be prepared into tablet, capsule, granule, powder, pill, injection.

IT 880492-38-6P 880492-40-0P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(method of separation, extraction and preparation flavonoid active contents of natural products for treating prostatitis, tumor of prostate and hyperplasia of prostate)

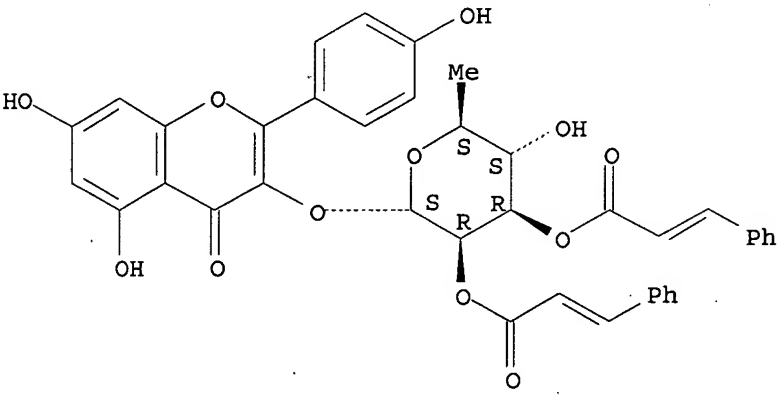
RN 880492-38-6 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[[6-deoxy-3-O-(1-oxo-3-phenyl-2-propenyl)-α-L-mannopyranosyl]oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 880492-40-0 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[[6-deoxy-2,3-bis-O-(1-oxo-3-phenyl-2-propenyl)-α-L-mannopyranosyl]oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L4 ANSWER 13 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:50637 CAPLUS
DN 145:313988
TI Colonic availability of apple polyphenols - a study in ileostomy subjects
AU Kahle, Kathrin; Kraus, Michael; Scheppach, Wolfgang; Richling, Elke
CS Department of Food Chemistry, University of Wuerzburg, Wuerzburg, Germany
SO Molecular Nutrition & Food Research (2005), 49(12), 1143-1150
CODEN: MNFRCV; ISSN: 1613-4125
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
AB Nutrition is thought to play an essential role in the pathogenesis of inflammatory and malignant gastrointestinal diseases. It is well known that plant ingredients such as polyphenols and flavonoids show anticarcinogenic effects both in vitro and in animal expts., and may thus reduce the risk of colorectal cancer in man. The aim of the study was to determine the amount of polyphenols reaching the colon after oral

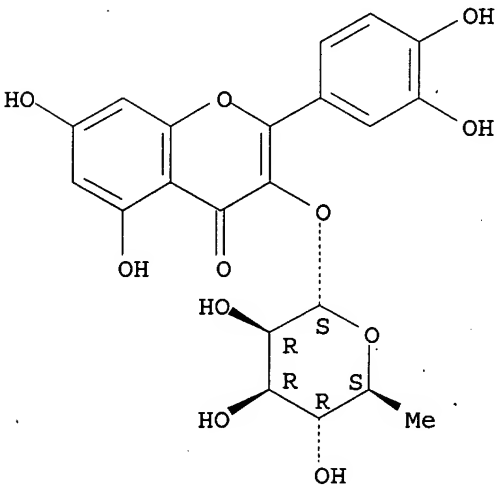
intake of apple juice. After consumption of a polyphenol-free diet 11 healthy ileostomy volunteers drank 1 L of a polyphenol-rich cloudy apple juice. Ileostomy effluent was collected immediately before and 1, 2, 4, 6, and 8 h after consumption of apple juice. A broad spectrum of polyphenols was identified using HPLC-diode array detection (HPLC-DAD) as well as HPLC-ESI-MS/MS; quantitation was performed with HPLC-DAD. Most of the orally administered apple polyphenols were absorbed from or metabolized in the small intestine. Between 0 and 33% of the oral dose was recovered in the ileostomy bags with a maximum of excretion after 2 h. Phloretin glucuronide as product of polyphenol metabolism was detected in the ileostomy effluent. The present results show that most of the apple juice polyphenols are absorbed in the small intestine. Minor amts. of unmetabolized polyphenols are recovered in the ileostomy effluent, which would reach the colon under physiol. circumstances. These data have to be considered when polyphenols are used in model systems to show preventive effects in colorectal carcinogenesis.

IT 522-12-3, Quercetin 3-O-rhamnoside
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(intake of polyphenol rich-apple juice showed recovery of minor amount of unmetabolized polyphenol identified and quantified by HPLC method in ileostomy effluent which would reach colon under physiol. circumstances in healthy human)

RN 522-12-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:31344 CAPLUS
DN 144:101078
TI Phenol compounds from maple plant as health foods for prevention and treatment of diabetes, obesity and cancer
IN Arihara, Shigenobu; Yoshikawa, Kazuko; Ishiguro, Toshihiro
PA L.B. Maple Treat Inc., Can.; Mic Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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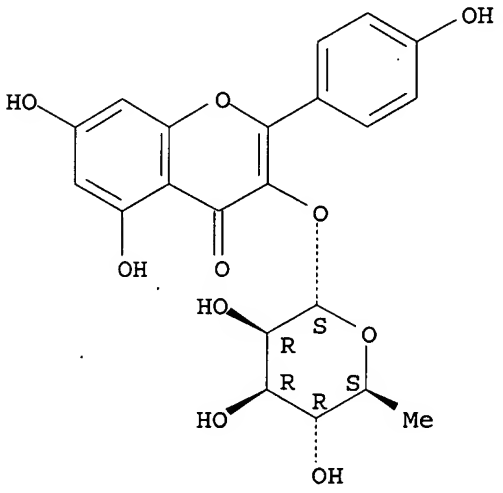
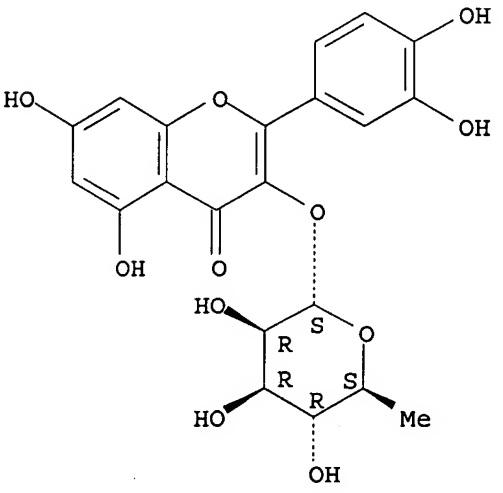
PI JP 2006008523 A 20060112 JP 2004-183433 20040622
PRAI JP 2004-183433 20040622
AB Phenol compds. from maple plant with α-glucosidase-inhibiting, SOD reactive oxygen radical -scavenging, and human HL-60 proliferation-inhibiting actions are claimed as health foods for prevention and treatment of diabetes, obesity and cancer. Scopoletin and other phenol compds. were purified and identified from Acer saccharum.
IT 482-39-3P 522-12-3P 80229-08-9P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(phenol compds. from maple plant as health foods for prevention and treatment of diabetes, obesity and cancer)
RN 482-39-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

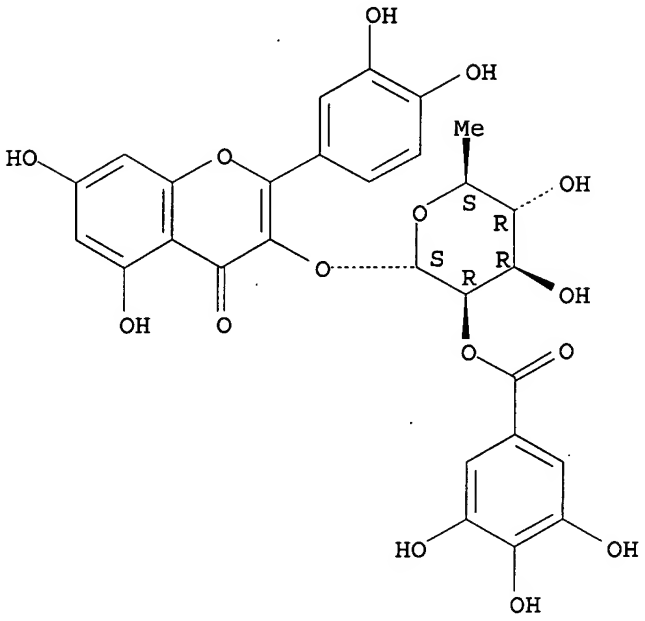
Absolute stereochemistry.



RN 80229-08-9 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[[6-deoxy-2-O-(3,4,5-trihydroxybenzoyl)-α-L-mannopyranosyl]oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



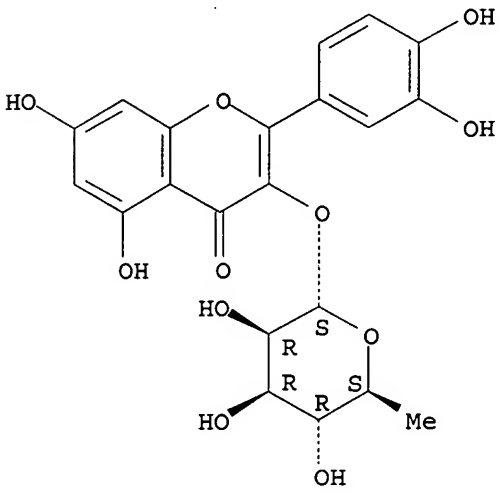
L4 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1318997 CAPLUS
DN 144:266760
TI Blueberry flavonoids inhibit matrix metalloproteinase activity in DU145 human prostate cancer cells
AU Matchett, Michael D.; MacKinnon, Shawna L.; Sweeney, Marva I.; Gottschall-Pass, Katherine T.; Hurta, Robert A. R.
CS Department of Biology, University of Prince Edward Island, Charlottetown, PE, C1A 4P3, Can.
SO Biochemistry and Cell Biology (2005), 83(5), 637-643
CODEN: BCBIEQ; ISSN: 0829-8211
PB National Research Council of Canada
DT Journal
LA English
AB Regulation of the matrix metalloproteinases (MMPs), the major mediators of extracellular matrix (ECM) degradation, is crucial to regulate ECM proteolysis, which is important in metastasis. This study examined the effects of 3 flavonoid-enriched fractions (a crude fraction, an anthocyanin-enriched fraction, and a proanthocyanidin-enriched fraction), which were prepared from lowbush blueberries (Vaccinium angustifolium), on MMP activity in DU145 human prostate cancer cells in vitro. Using gelatin gel electrophoresis, MMP activity was evaluated from cells after 24-h exposure to blueberry fractions. All fractions elicited an ability to decrease the activity of MMP-2 and MMP-9. Of the fractions tested, the proanthocyanidin-enriched fraction was the most effective at inhibiting MMP activity in these cells. No induction of either necrotic or apoptotic cell death was noted in these cells in response to treatment with the blueberry fractions. These findings indicate that flavonoids from blueberry possess the ability to effectively decrease MMP activity, which may decrease overall ECM degradation This ability may be important in controlling tumor metastasis formation.
IT 522-12-3, Quercetin-3-rhamnoside
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); THU

(Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
(Blueberry flavonoids inhibit matrix metalloproteinase activity in human prostate cancer cells)

RN 522-12-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1300056 CAPLUS

DN 144:121154

TI Inhibition of human cytochrome CYP1 enzymes by flavonoids of St. John's wort

AU Chaudhary, Amit; Willett, Kristine L.

CS Department of Pharmacology and Environmental Toxicology Research Program, School of Pharmacy, University of Mississippi, 315 Faser Hall, Box 1848, University of Mississippi, University, MS, 38677, USA

SO Toxicology (2006), 217(2-3), 194-205
CODEN: TXCYAC; ISSN: 0300-483X

PB Elsevier Ltd.

DT Journal

LA English

AB CYP1B1 is involved in metabolizing both polycyclic aromatic hydrocarbons and estradiol to potentially carcinogenic intermediates, and it is also over-expressed in human cancer cells. In order to investigate whether flavonoids could specifically inhibit CYP1B1, seven flavonoids in St. John's wort and apigenin were screened for their inhibition of recombinant human CYP1B1 and CYP1A1. While seven flavonoids (myricetin, apigenin, kaempferol, quercetin, amentoflavone, quercitrin and rutin) were slightly more selective for CYP1B1 EROD inhibition (Kis 0.06-5.96 μM) compared to CYP1A1 (Kis 0.20-1.6 μM) the difference in Kis for the P450s were not significantly different. Rutin did not inhibit CYP1A1 at concns. up to 10 μM. Kinetic analyses determined that apigenin and amentoflavone were competitive inhibitors of CYP1B1, while quercetin showed mixed type inhibition. To characterize the inhibition potential of these flavonoids, five were studied further for their ability to inhibit TCDD-induced EROD activity in 22Rv1 human prostate cancer cells. 22Rv1 cells express constitutive and TCDD-inducible CYP1A1 and CYP1B1 mRNA. In the cells, the IC50s were similar to those measured for the recombinant CYP1A1 except for amentoflavone. Quercetin (IC50: 4.1 μM), kaempferol (3.8 μM), myricetin (3.0 μM) and

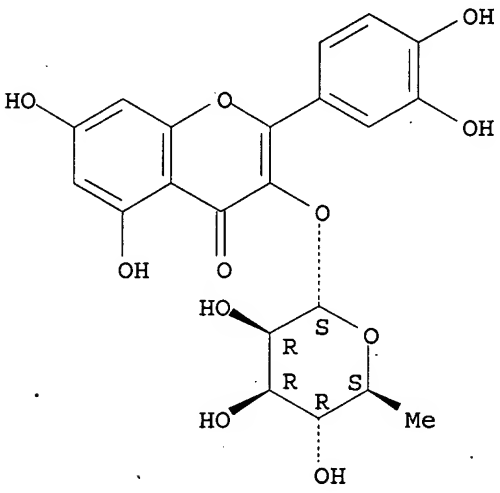
apigenin (3.1 μM) caused significant inhibition of EROD activity whereas amentoflavone did not cause inhibition. Depending on their bioavailability, flavonoids that can selectively inhibit CYP1 enzymes may be useful as chemoprotective agents in prostate cancer prevention.

IT 522-12-3, Quercitrin
RL: DMA (Drug mechanism of action); NPO (Natural product occurrence); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
(inhibition of human cytochrome CYP1 enzymes by flavonoids of St. John's wort)

RN 522-12-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1151503 CAPLUS

DN 144:318106

TI New cytotoxic flavonoids from Thelypteris torresiana

AU Lin, An-Shen; Chang, Fang-Rong; Wu, Chin-Chung; Liaw, Chih-Chuang; Wu, Yang-Chang

CS Graduate Institute of Natural Products, Kaohsiung Medical University, Kaohsiung, Taiwan

SO Planta Medica (2005), 71(9), 867-870
CODEN: PLMEAA; ISSN: 0032-0943

PB Georg Thieme Verlag

DT Journal

LA English

AB During the authors' search for anti-tumor agents from pteridophytes, 3 new flavonoids, protoapigenone (1), 5',6'-dihydro-6'-methoxyprotoapigenone (2), and protoapigenin (3), along with 4 known compds., protoapigenin 4'-O-β-D-glucoside (4), apigenin 4'-O-β-D-glucoside (5), kaempferol 3-O-α-L-rhamnopyranoside (6), kaempferol 3,7-di-O-α-L-rhamnopyranoside (7), were isolated from Thelypteris torresiana using bioactivity-guided fractionation methods. The structures of the new isolates were elucidated by 1D- and 2D-NMR spectral anal. Among the 7 compds., protoapigenone (1) exhibited significant antitumor activities toward Hep G2, Hep 3B, MCF-7, A549, and MDA-MB-231 with IC50 values of 1.60, 0.23, 0.78, 3.88 and 0.27 μg/mL, resp.

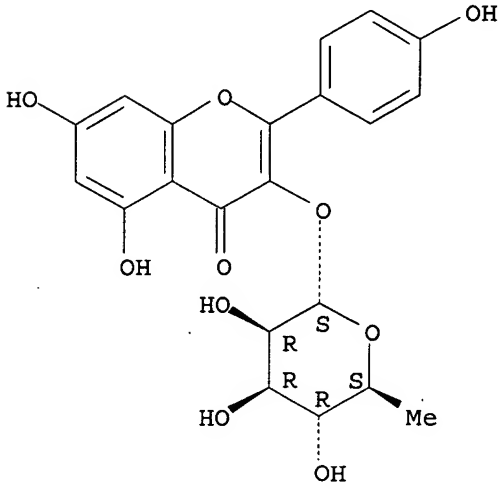
IT 482-39-3P, Kaempferol 3-O-α-L-rhamnopyranoside

RL: PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(new cytotoxic flavonoids from Thelypteris torresiana)

RN 482-39-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1141713 CAPLUS

DN 143:438928

TI Antioxidant Characterization of Some Sicilian Edible Wild Greens

AU Salvatore, Sara; Pellegrini, Nicoletta; Brenna, Oreste V.; Del Rio, Daniele; Frasca, Graziella; Brighenti, Furio; Tumino, Rosario

CS Department of Public Health, University of Parma, Parma, 43100, Italy

SO Journal of Agricultural and Food Chemistry (2005), 53(24), 9465-9471
CODEN: JAFCAU; ISSN: 0021-8561

PB American Chemical Society

DT Journal

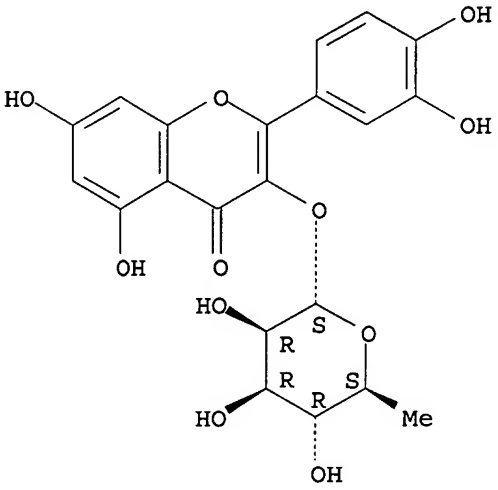
LA English

AB Epidemiol. studies have demonstrated that many antioxidants and the total antioxidant capacity (TAC) of the diet may protect against cancers and cardiovascular disease. Common fruits and vegetables are good sources of antioxidants, although in some Mediterranean areas traditional wild greens are responsible for a significant percentage of total dietary antioxidant intake. In the European Prospective Investigation into Cancer and Nutrition cohort of Ragusa (Sicily), a high number of subjects were found to frequently eat wild greens, including Sinapis incana and Sinapis nigra, Diplotaxis erucoides, Cichorium intybus, Asparagus acutifolius, and Borago officinalis. On the basis of these observations, detailed characterization of single antioxidant components (i.e., polyphenols, carotenoids, chlorophylls, and ascorbic acid) and the TAC of these edible wild traditional plants was performed. The wild plants examined were found to be very rich in antioxidants, such as flavonoids and carotenoids, with high TAC values, suggesting that the importance of these vegetables, not only in the traditional but even in the contemporary diet, needs to be emphasized.

IT 522-12-3, Quercetin-3-rhamnoside
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(antioxidants of Sicilian edible wild greens)

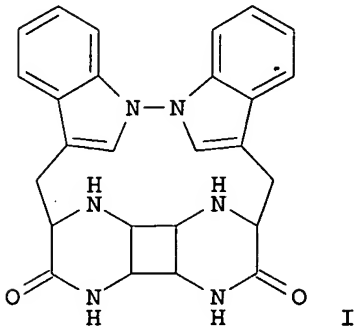
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:921319 CAPLUS
DN 143:342846
TI Isolation, structure elucidation and bioactivity of schischkiniin, a unique indole alkaloid from the seeds of Centaurea schischkinii
AU Shoeb, Mohammad; Celik, Sezgin; Jaspars, Marcel; Kumarasamy, Yashodharan; MacManus, Stephen M.; Nahar, Lutfun; Thoo-Lin, Paul K.; Sarker, Satyajit D.
CS School of Pharmacy, The Robert Gordon University, Schoolhill, Aberdeen, AB10 1FR, UK
SO Tetrahedron (2005), 61(38), 9001-9006
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier B.V.
DT Journal
LA English
GI



I

AB Reversed-phase HPLC anal. of the methanol extract of the seeds of Centaurea schischkinii afforded a novel indole alkaloid, named schischkiniin, together with four lignans, arctiin, matairesinoside, matairesinol, and

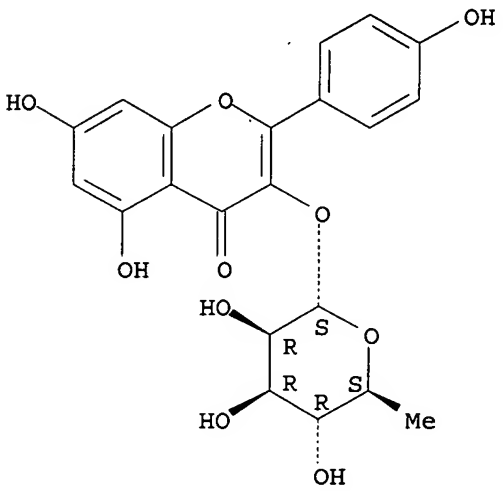
arctigenin, and three flavonoids, astragalin, afzelin and apigenin. While the structure of schiskiniin was established unequivocally by UV, HRFABMS and a series of 1D and 2D NMR analyses, all known compds. were readily identified by comparison of their spectroscopic data with literature data. The free radical scavenging properties of these compds. were assessed using the DPPH assay, and their general toxicity and cytotoxicity were evaluated, resp., by brine shrimp lethality and MTT cytotoxicity assays with CaCo-2 colon cancer cell lines. Arctigenin exhibited promising in vitro anticancer activity (IC50=7 μM) while with schischkiniin the activity was of moderate level (IC50=76 μM).

IT 482-39-3P, Afzelin
RL: BSU (Biological study, unclassified); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
(unique indole alkaloid from the seeds of Centaurea schischkinii)

RN 482-39-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:813113 CAPLUS

DN 143:278637

TI Flavonoid glycosides inhibit oral cancer cell proliferation - role of cellular uptake and hydrolysis to the aglycones

AU Browning, Alyson M.; Walle, U. Kristina; Walle, Thomas

CS Department of Cell and Molecular Pharmacology and Experimental Therapeutics, Medical University of South Carolina, Charleston, SC, 29425, USA

SO Journal of Pharmacy and Pharmacology (2005), 57(8), 1037-1041
CODEN: JPPMAB; ISSN: 0022-3573

PB Pharmaceutical Press

DT Journal

LA English

AB Epidemiol. evidence supports the view that dietary flavonoids exert protective effects in oral diseases, including cancer. However, the dietary forms of flavonoids, the flavonoid glycosides, are thought to be inactive, thus they must first be hydrolyzed to their active aglycons. This may occur in the saliva in the oral cavity. We have examined if the flavonoid glycosides directly could affect cell proliferation, using the human oral squamous carcinoma SCC-9 cells. The cellular uptake and hydrolysis of the glycosides were assessed also. The four flavonoid

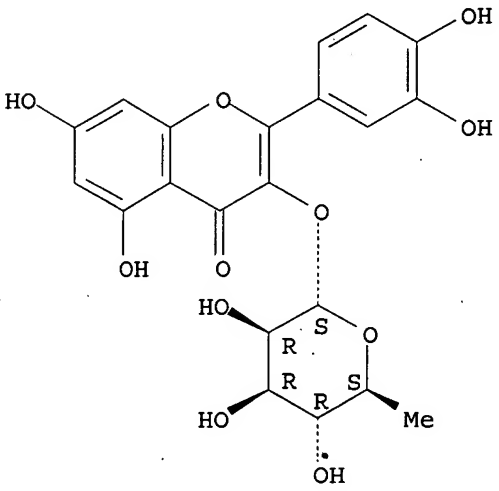
glycosides tested each behaved differently. Genistin, the 7-glucoside of genistein, showed clear and consistent inhibition of cell proliferation, which appeared to be the result of rapid cellular uptake of the glucoside and hydrolysis to genistein. Spiraeoside, the 4'-glucoside of quercetin, showed a similar inhibition of cell proliferation, which also appeared to be associated with its hydrolysis to quercetin. Diosmin, the 7-rutinoside of diosmetin, surprisingly, was more potent and effective than diosmetin. In contrast, quercitrin, the 3-rhamnoside of quercetin, showed no effect and only minimal cellular uptake and no hydrolysis. In summary, dietary flavonoid glycosides may exert cellular effects in the oral cavity, but this varies greatly with the nature of the glycoside.

IT 522-12-3, Quercitrin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(flavonoid glycosides inhibit oral cancer cell proliferation)

RN 522-12-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:692283 CAPLUS

DN 143:146654

TI Antimalarial compositions containing flavonoid monoglycosides and their manufacture

IN Murakami, Hirotoshi; Tamura, Satoru; Urade, Yoshihiro; Kubata, Bruno Kilunga; Horii, Toshihiro

PA Saneigen F.F.I. Inc., Japan

SO Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF

DT Patent

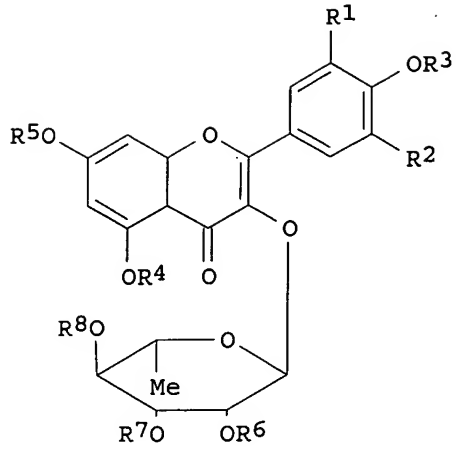
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2005206500	A	20050804	JP 2004-13675	20040121
PRAI	JP 2004-13675		20040121		

OS MARPAT 143:146654

GI



I

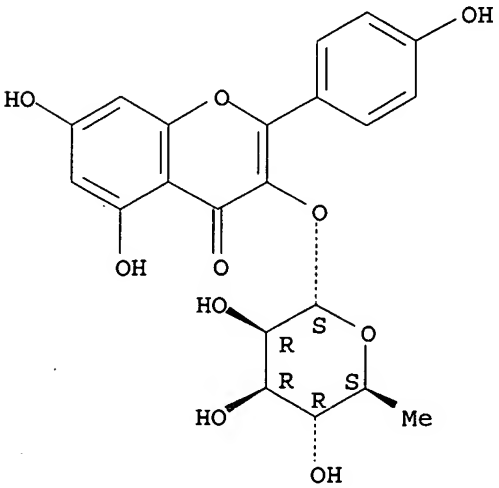
AB Antimalarial compns. contain flavonoid monoglycosides I [R1, R2 H, OH, lower alkoxy, OCOR9, OCO2R9, (R9 = lower alkyl); R3-R8 = H, lower alkyl, acyl, lower alkoxycarbonyl, lower alkylcarbamoyl] or their pharmacol. acceptable salts. The compns. are manufactured by compounding I (salts) with carriers or additives. Thus, Euphorbia hirta was extracted with EtOAc and the extract was fractionated with silica gel chromatog., etc., to give myricetin, quercitrin, and afzelin. These 3 compds. showed ≥50% growth inhibition against Plasmodium falciparum at 5 μg/mL. Cytotoxicity of these compds. on human cancer KB3-1 cells was low. Tablets containing the monoglycosides were also formulated.

IT 482-39-3P, Afzelin 522-12-3P, Quercitrin
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antimalarial compns. containing flavonoid monoglycosides derived from Euphorbia hirta)

RN 482-39-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

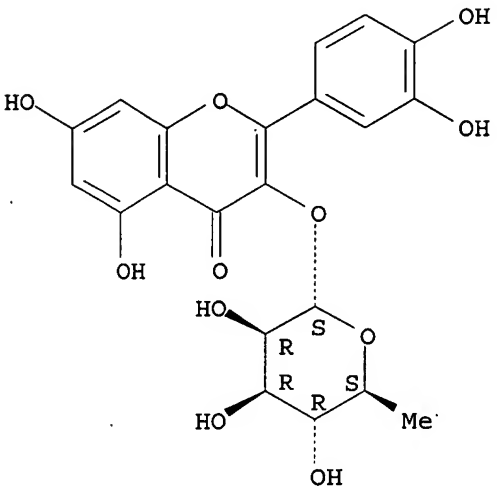


RN 522-12-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-

dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



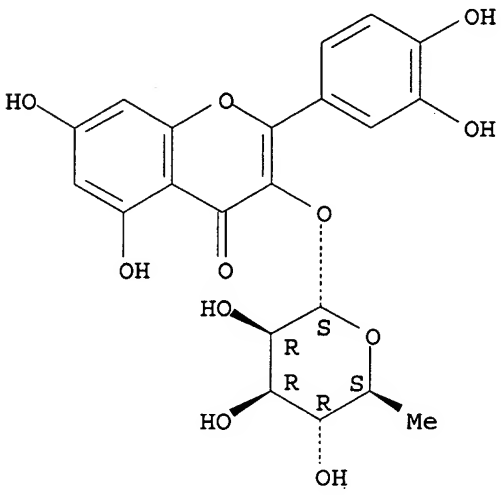
L4 ANSWER 22 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:643162 CAPLUS
DN 143:278593
TI Ligaria cuneifolia flavonoid fractions modulate cell growth of normal lymphocytes and tumor cells as well as multidrug resistant cells
AU Zolezzi, Paula Cerda; Fernandez, Teresa; Aulicino, Paula; Cavaliere, Victoria; Greczanik, Sofia; Lopes, Eloisi Caldas; Wagner, Marcelo; Ricco, Rafael; Gurni, Alberto; Hajos, Silvia; Alvarez, Elida
CS Catedra de Inmunologia, Instituto de Estudios de la Inmunidad Humoral-Consejo Nacional de Investigaciones Cientificas y Tecnicas (CONICET), Facultad de Farmacia y Bioquimica, Universidad de Buenos Aires, Argent.
SO Immunobiology (2005), 209(10), 737-749
CODEN: IMMND4; ISSN: 0171-2985
PB Elsevier GmbH
DT Journal
LA English
AB Flavonoids are ubiquitous compds. present in plant exts. They represent a major active component of the plant extract and are often known for their anti-inflammatory and antitumor effects. Previously, we demonstrated that Ligaria cuneifolia (R et P) Tiegh. (Loranthaceae) exts. inhibit proliferation of murine mitogen-activated lymphocytes as well as nurine T leukemia (LB) and breast tumor cells (MMT). The aim of this study was to assess the anti-proliferative and pro-apoptotic activities of three sep. flavonoid fractions derived from L. cuneifolia whole extract (aqueous, methanolic and Et acetate) on normal and tumor cells. This was performed as a bio-guided approach leading to the isolation and identification of the active compds. responsible for the effects observed with the whole extract Results showed that the three fractions differed in the amount and type of compds. found. Only the Et acetate flavonoid fraction (100 μg/mL) was able to inhibit significantly the proliferation of Con A stimulated splenocytes or LB and MMT cells. Inhibition of proliferation was mediated by apoptosis as determined by morphol. and DNA hypodiploidy. The Et acetate fraction modified mRNA expression of IL-2, IL-10 and TGF-β, while the methanol fraction only modified IL-10 mRNA on LB cells. Our results show that the Et acetate flavonoid fraction contains the most active compound/s and is the potential candidate to isolate the active compound/s responsible for the effects observed with L. cuneifolia whole extract
IT 522-12-3, Quercetin 3-O-rhamnoside
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); THU

(Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
(Ligaria cuneifolia flavonoid extract modulate cell growth of lymphocytes and tumor cells as well as multidrug resistant cells)

RN 522-12-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:612081 CAPLUS

DN 143:109775

TI Anticancer therapy-aiding composition comprising a polyphenol, and ascorbic acid or an ascorbic acid derivative

IN Lee, Byoung-Rae

PA Hyundeok Bio & Technology Co., Ltd., S. Korea

SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005063235	A1	20050714	WO 2004-KR3478	20041228

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI KR 2003-99850 A 20031230

AB A composition is disclosed for aiding anticancer therapy, comprising both a polyphenol and ascorbic acid or a derivative thereof. The composition includes 50.0-99.9 parts by weight of a polyphenol and 0.1-50.0 parts by weight of ascorbic acid or a derivative thereof, and is administered in combination with a platinum anticancer agent or TRAIL. The composition for aiding anticancer therapy enhances the inhibitory effect of an anticancer agent against the

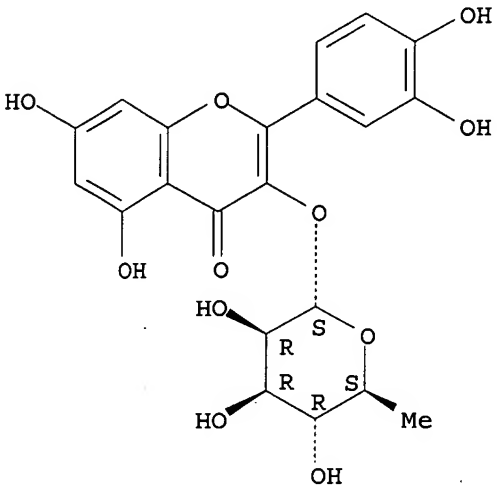
activity of cancer cells, and maintains the anticancer effect of an anticancer agent used together even at very low concns. of the anticancer agent.

IT 522-12-3, Quercitrin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anticancer therapy-aiding composition comprising polyphenol, and ascorbic acid or ascorbic acid derivative)

RN 522-12-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:498800 CAPLUS

DN 143:145584

TI Chemical investigations and biological studies of Mallotus apelta: VI-cytotoxic constituents from Mallotus apelta

AU Chau, Van Minh; Le, Mai Huong; Phan, Van Kiem; Nguyen, Hoai Nam; Jung, Joon Lee; Young, Ho Kim

CS Institute of Natural Products Chemistry, Vietnamese Academy of Science and Technology, Vietnam

SO Tap Chi Hoa Hoc (2005), 43(1), v-vi
CODEN: TCHHDC; ISSN: 0378-2336

PB Toa Soan Tap Chi Hoa Hoc

DT Journal; General Review

LA English

AB A review. In searching for bioactive compds. from natural products on cytotoxic effects against various cancer cell lines, 22 isolated compds. from Mallotus apelta were tested for their cytotoxic effects against various cancer cell lines, such as KB (human epidermoid carcinoma), FL (fibrillary sarcoma of the uterus), and Hep-2 (human hepatocellular carcinoma) cells in an in vitro assay system. Of which, Malloapelta B showed strong cytotoxic effect against three cancer cell lines as KB, FL, and Hep-2 by in vitro assay. Malloapelta B showed strong cytotoxic effect against all three cancer cell lines as KB (50% inhibitory concentration IC50, 2.12 ± 0.01 μg/mL), FL, and Hep-2, while the other compds. did not show inhibitory activities with IC50 values over 50 μM.

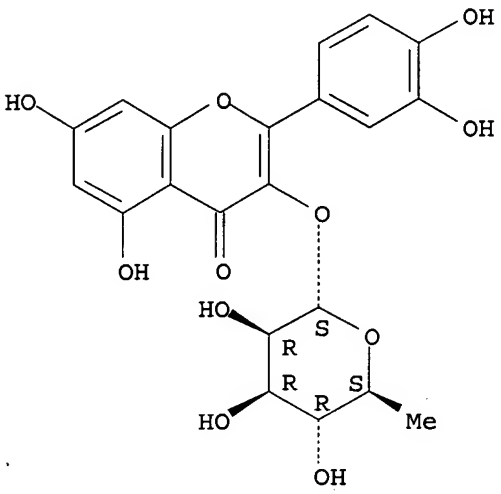
IT 522-12-3, Quercitrin
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); THU

(Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
(Malloapelta B showed strong cytotoxic effect on human epidermoid carcinoma, fibrillary sarcoma and human hepatocellular carcinoma cell lines compared to quercitrin compds. isolated from Mallotus apelta had no effect)

RN 522-12-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:498781 CAPLUS

DN 144:229259

TI Bioactivities of compounds isolated from Acanthopanax trifoliatus

AU Phan, Van Kiem; Chau, Van Minh; Nguyen, Tien Dat; Lee, Jung Joon; Kim, Young Ho

CS Inst. Natural Products Chem., Vietnamese Academy of Science and Technol., Vietnam

SO Tap Chi Hoa Hoc (2005), 43(1), 51-55
CODEN: TCHHDC; ISSN: 0378-2336

PB Toa Soan Tap Chi Hoa Hoc

DT Journal

LA Vietnamese

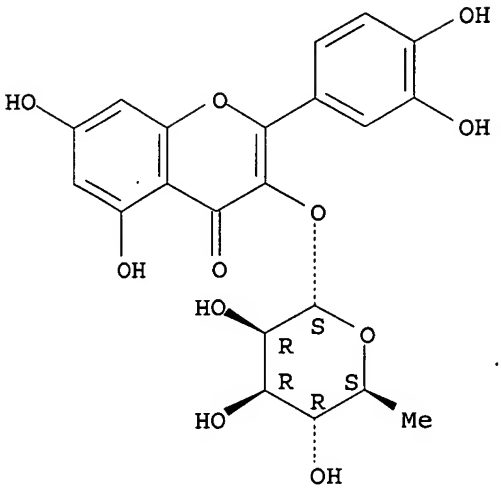
AB Seven new compds. (acantrifoic acid A, B; acantrifoside B, C, D, E and F) and fifteen known compds. have been isolated from A. trifoliatus of Vietnam, of which, quercitrin and acantrifoic acid A had strong inhibitory effects against Monoamine oxidase (MAO); 16αH,17-isovalerate-ent-kauran-19-oic acid, ent-kaur-16-en-19-oic acid, and ent-pimara-8(14),15-dien-19-oic acid had strong inhibitory effects against cyclooxygenase (COX); acantrifoside E, and ent-kaur-16-en-19-oic acid had strong inhibitory effects against B. subtilis and S. aureus; and especially acantrifoside E had very strong inhibitory effects against three cancer cell lines as KB (IC50 = 1.22 μg/mL), RD (IC50 = 2.06 μg/mL), and Hep-2 (IC50 = 0.75 μg/mL).

IT 522-12-3
RL: BSU (Biological study, unclassified); NPO (Natural product occurrence); BIOL (Biological study); OCCU (Occurrence)
(bioactivities of compds. isolated from Acanthopanax trifoliatus)

RN 522-12-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

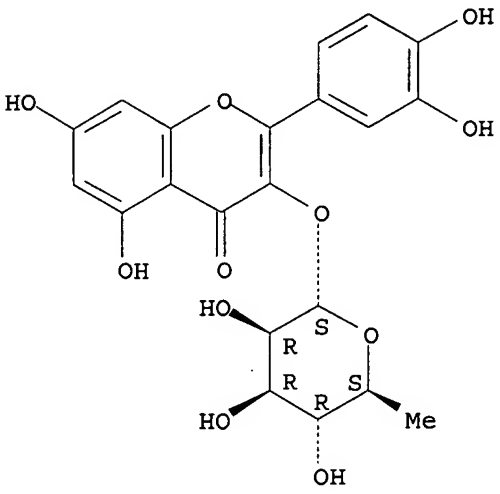
Absolute stereochemistry.



L4 ANSWER 26 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:457191 CAPLUS
DN 144:68997
TI Inhibitors of the epidermal growth factor receptor in apple juice extract
AU Kern, Melanie; Tjaden, Zeina; Ngiewih, Yufanyi; Puppel, Nicole; Will, Frank; Dietrich, Helmut; Pahlke, Gudrun; Marko, Doris
CS Department of Chemistry, Division of Food Chemistry and Environmental Toxicology, University of Kaiserslautern, Kaiserslautern, Germany
SO Molecular Nutrition & Food Research (2005), 49(4), 317-328
CODEN: MNFRCV; ISSN: 1613-4125
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
AB The polyphenol-rich extract of a consumer-relevant apple juice blend was found to potently inhibit the growth of the human colon cancer cell line HT29 in vitro. The epidermal growth factor receptor (EGFR) and its subsequent signaling cascade play an important role in the regulation of cell proliferation in HT29 cells. The protein tyrosine kinase activity of an EGFR preparation was effectively inhibited by the polyphenol-rich apple juice extract Treatment of intact cells with this extract resulted in the suppression of the subsequent mitogen-activated protein kinase cascade. Amongst the so far identified apple juice constituents, the proanthocyanidins B1 and B2 as well as quercetin-3-glc (isoquercitrin) and quercetin-3-gal (hyperoside) were found to possess substantial EGFR-inhibitory properties. However, as to be expected from the final concentration of these potential EGFR inhibitors in the original polyphenol-rich extract, a synthetic mixture of the apple juice constituents identified and available so far, including both proanthocyanidins and the quercetin glycosides, showed only marginal inhibitory effects on the EGFR. These results permit the assumption that yet unknown constituents contribute substantially to the potent EGFR-inhibitory properties of polyphenol-rich apple juice extract In summary, the polyphenol composition of apple juice possesses promising growth-inhibitory properties, affecting proliferation-associated signaling cascades in colon tumor cells.
IT 522-12-3, Quercetin-3-rhamnoside
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(apple juice extract components inhibited EGFR and its protein Tyr kinase activity, and proliferation via MAPK)
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-

dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

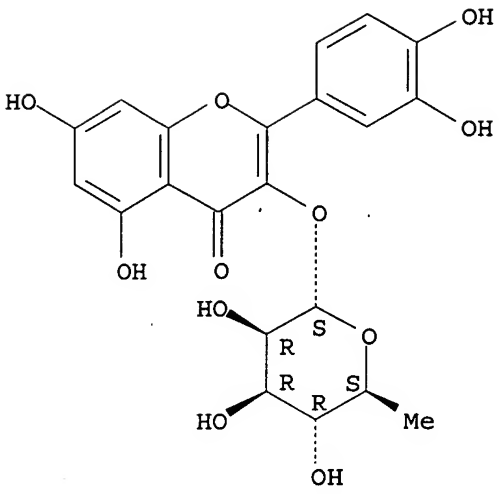
Absolute stereochemistry.



RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 27 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:240481 CAPLUS
DN 142:423263
TI Studies on the cytotoxicity of compounds from fruits of Juglans mandshurica
AU Liu, Lijuan; Satou, Tadaaki; Koike, Kazuo; Li, Wei; Nikaido, Tamotsu
CS Faculty of Pharmaceutical Sciences, Toho University, Chiba, 274-8510, Japan
SO Natural Medicines (Tokyo, Japan) (2004), 58(5), 226-229
CODEN: NMEDEO; ISSN: 1340-3443
PB Japanese Society of Pharmacognosy
DT Journal
LA English
AB The cytotoxicity of 26 compds. from the fruits of Juglans mandshurica was examined against three human cancer cell lines: Human myeloid leukemia HL-60 cells, human stomach KATO-III adenocarcinoma and human lung A549 adenocarcinoma. The growth inhibitory activity of these compds. was estimated by the MTT assay. For comparison, the known cytotoxic substance, cisplatin, was used for the pos. control. Among these compds., almost all the naphthalenyl glucosides exhibited cytotoxicity against HL-60 cell whereas the α-tetralonyl glucosides and other aromatic compds. except gallic acid were inactive. These results suggested that the naphthalenyl glucosides maybe play a significant role in the cytotoxicity of this plant.
IT 522-12-3P
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(studies on the cytotoxicity of compds. from fruits of Juglans mandshurica)
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

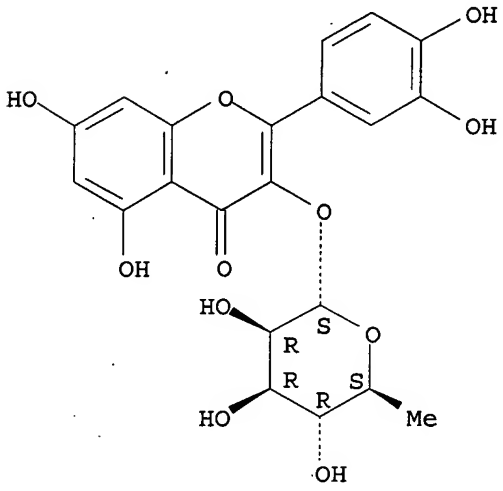
L4 ANSWER 28 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:133801 CAPLUS
DN 142:423230
TI Myricetin inhibits matrix metalloproteinase 2 protein expression and enzyme activity in colorectal carcinoma cells
AU Ko, Ching-Huai; Shen, Shing-Chuan; Lee, Tony J. F.; Chen, Yen-Chou
CS Graduate Institutes of Pharmaceutical Sciences and Pharmacognosy, School of Pharmacy and Department of Dermatology, School of Medicine, Taipei Medical University, Taipei, Taiwan
SO Molecular Cancer Therapeutics (2005), 4(2), 281-290
CODEN: MCTOCF; ISSN: 1535-7163
PB American Association for Cancer Research
DT Journal
LA English
AB Colorectal carcinoma is a leading cause of human mortality due to its high metastatic ability. Because the activation of matrix metalloproteinases (MMP) is a key factor in the metastatic process, agents with the ability to inhibit MMP activity have potential in the treatment of colorectal carcinoma. In the present study, among 36 flavonoids examined, myricetin was found to be the most potent inhibitor of MMP-2 enzyme activity in COLO 205 cells (IC50 = 7.82 μmol/L). Myricetin inhibition of MMP-2 enzyme activity was also found in the human colorectal carcinoma cell lines COLO 320HSR, COLO 320DM, HT 29, and COLO 205-X (IC50 = 11.18, 11.56, 13.25, and 23.51 μmol/L, resp.). In contrast, no inhibitory effect of MMP-2 protein expression or enzyme activity was observed in myricitrin (myricetin-3-rhamnoside)-treated cells. In 12-O-tetradecanoylphorbol-13-acetate (TPA)-stimulated COLO 205 cells, an increase in MMP-2 protein expression and enzyme activity, as well as of protein kinase C (PKC) α protein translocation, extracellular signal-regulated kinase (ERK) 1/2 protein phosphorylation, and c-Jun protein expression was observed ERK inhibitor (PD98059) and PKC inhibitors (GF-109203X and H-7), but not p38 inhibitor (SB203580) or c-jun-NH2-kinase inhibitor (SP600125), significantly inhibited TPA-induced MMP-2 protein expression, with reduced ERK phosphorylation and c-Jun protein expression. Addition of myricetin but not myricitrin suppressed TPA-induced MMP-2 protein expression in COLO 205 cells by blocking the TPA-induced events, including translocation of PKCα from cytosol to membrane, phosphorylation of ERK1/2 protein, and induction of c-Jun protein expression. Addition of PD98059 or GF-109203X significantly enhanced the inhibitory effect of myricetin on MMP-2 enzyme activity induced by TPA. Furthermore, myricetin, but not myricitrin, suppressed TPA-induced invasion of COLO 205 cells in an in vitro invasion assay using Engelbreth-Holm-Swarm sarcoma tumor extract

Matrigel-coated Transwells. Results of the present study indicate that myricetin significantly blocked both endogenous and TPA-induced MMP-2 enzyme activity by inhibiting its protein expression and enzyme activity. The blockade involved suppression of PKC translocation, ERK phosphorylation, and c-Jun protein expression.

IT 522-12-3, Quercitrin 17912-87-7, Myricitrin
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(myricetin inhibits MMP-2 in colorectal carcinoma)

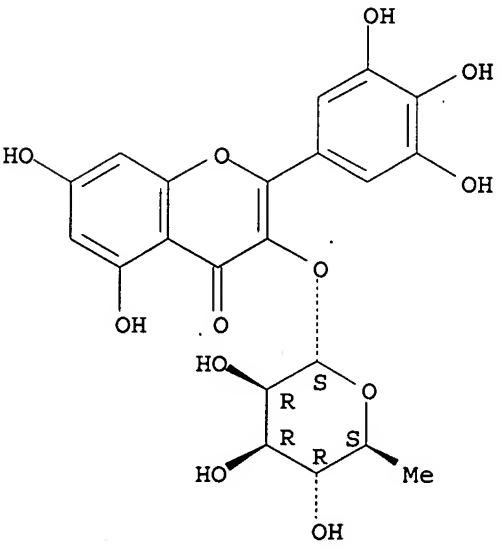
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 17912-87-7 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(3,4,5-trihydroxyphenyl)- (CA INDEX NAME)

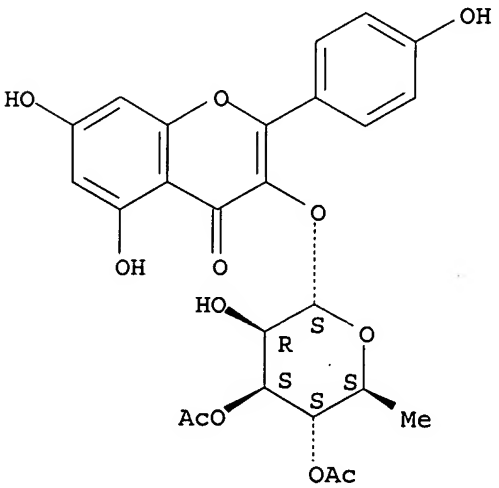
Absolute stereochemistry. Rotation (-).



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:101479 CAPLUS
DN 142:329195
TI Identification of the first specific inhibitor of p90 ribosomal S6 kinase (RSK) reveals an unexpected role for RSK in cancer cell proliferation
AU Smith, Jeffrey A.; Poteet-Smith, Celeste E.; Xu, Yaming; Errington, Timothy M.; Hecht, Sidney M.; Lannigan, Deborah A.
CS Center for Cell Signaling, University of Virginia, Charlottesville, VA, USA
SO Cancer Research (2005), 65(3), 1027-1034
CODEN: CNREA8; ISSN: 0008-5472
PB American Association for Cancer Research
DT Journal
LA English
AB P90 ribosomal S6 kinase (RSK) is an important downstream effector of mitogen-activated protein kinase, but its biol. functions are not well understood. The authors have now identified the first small-mol., RSK-specific inhibitor, which they isolated from the tropical plant Forsteronia refracta. The authors have named this novel inhibitor SL0101. SL0101 shows remarkable specificity for RSK. The major determinant of SL0101-binding specificity is the unique ATP-interacting sequence in the amino-terminal kinase domain of RSK. SL0101 inhibits proliferation of the human breast cancer cell line MCF-7, producing a cell cycle block in G1 phase with an efficacy paralleling its ability to inhibit RSK in intact cells. RNA interference of RSK expression confirmed that RSK regulates MCF-7 proliferation. Interestingly, SL0101 does not alter proliferation of a normal human breast cell line MCF-10A, although SL0101 inhibits RSK in these cells. RSK is overexpressed in .apprx. 50% of human breast cancer tissue samples, suggesting that regulation of RSK has been compromised. Thus, RSK has an unexpected role in proliferation of transformed cells and may be a useful new target for chemotherapeutic agents. SL0101 will provide a powerful new tool to dissect the mol. functions of RSK in cancer cells.
IT 77307-50-7
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
(identification of first specific inhibitor of p90 ribosomal S6 kinase (RSK) reveals an unexpected role for RSK in cancer cell proliferation)
RN 77307-50-7 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(3,4-di-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 30 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:566559 CAPLUS
DN 141:111534
TI Extract of Cercis chinensis having antioxidant and anti-aging activities for cosmetic and pharmaceutical compositions
IN Na, Min Kyun; Yoo, Jae-kuk; Lee, Chan Bog; Kim, Jin Pyo; Lim, Gon Hyeok; Min, Dong Il; Jeon, Young Min
PA Hankook Pharm. Co., Inc., S. Korea; Hansaeng Cosmetic Co., Ltd.
SO PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004058213	A1	20040715	WO 2003-KR2654	20031204
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	KR 2004060729	A	20040706	KR 2003-85837	20031128
	AU 2003303370	A1	20040722	AU 2003-303370	20031204
	CN 1731978	A	20060208	CN 2003-80107721	20031204
	JP 2006515590	T	20060601	JP 2004-562986	20031204
	US 2006078633	A1	20060413	US 2005-537688	20050606
PRAI	KR 2002-85382	A	20021227		
	KR 2003-85837	A	20031128		
	WO 2003-KR2654	W	20031204		

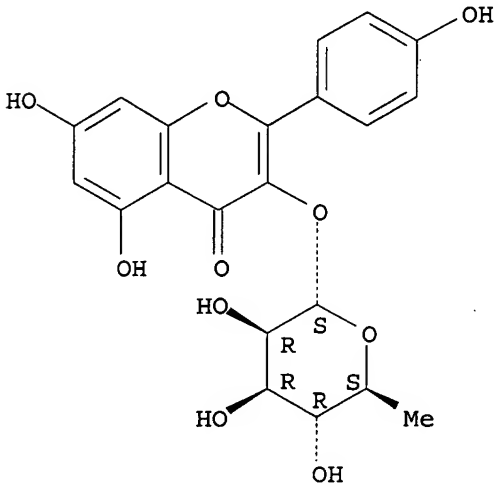
AB The present invention relates to extract of Cercis chinensis having antioxidant and antiaging activities containing compound of chemical formula 1 to chemical formula 20, and cosmetic composition for antioxidn., skin-aging protection and wrinkle improvement containing the extract as effective ingredient. The extract of present invention having protective effect on oxidative damage and skin damage, and inhibitory effect on age-dependent telomere shortening, so it can effectively used as skin-aging protection cosmetic. A pharmaceutical composition containing extract of C. chinensis as an effective ingredient can be used for preventing and treating peroxidn.-related diseases, e.g., cancer, aging, cardiovascular diseases, multiple sclerosis, brain diseases, and enteritis. For example, an ethanol extract of C. chinensis was prepared and its antioxidant and radical scavenging activity was investigated. Twenty compds. were identified and classified by a structure into chalcones, stilbenes, phenolics, flavonols, flavanols and lignans. Phenolic acids and flavonoid compds. showed strong, dose-dependent radical scavenging activities. Stilbene compds. also showed comparatively strong radical scavenging activities. In particular, galloyl esters including gallic acid had strong activities; IC50 values of gallic acid, Me gallate, Et gallate, (-)-epicatechin-3-O-gallate, (-)- epigallocatechin-3-O-gallate, and myricetin 3-O-(2-O-galloyl)-α-L-rhamnopyranoside were 5.1±0.4, 5.3±0.3, 7.0±1.1, 6.8±0.5, 6.7±0.4, and 8.6±0.7 g/mL, resp., suggesting that there was no big difference among those compds. in the activity. All of these compds. showed remarkably stronger radical scavenging activities than α-tocopherol (IC50 25.4±0.9 g/mL) and BHA (IC50 15.3±0.6

g/mL), both used for pos. controls. Examples of lotion, cream, syrup, and tablet formulations containing extract of C. chinensis were provided.

IT 482-39-3, Afzelin 522-12-3, Quercitrin
17912-87-7, Myricitrin 56939-52-7
RL: COS (Cosmetic use); NPO (Natural product occurrence); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
(compns. containing extract of Cercis chinensis having antioxidant and anti-aging activities)

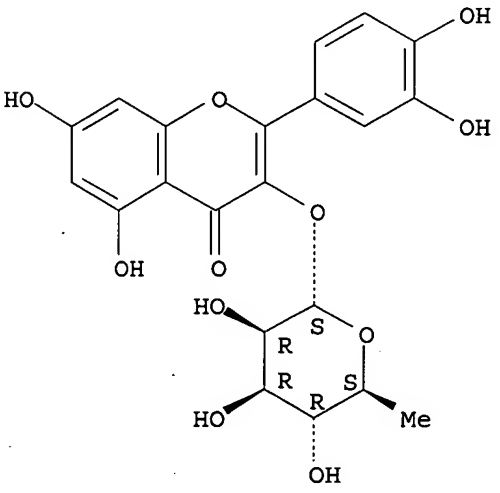
RN 482-39-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



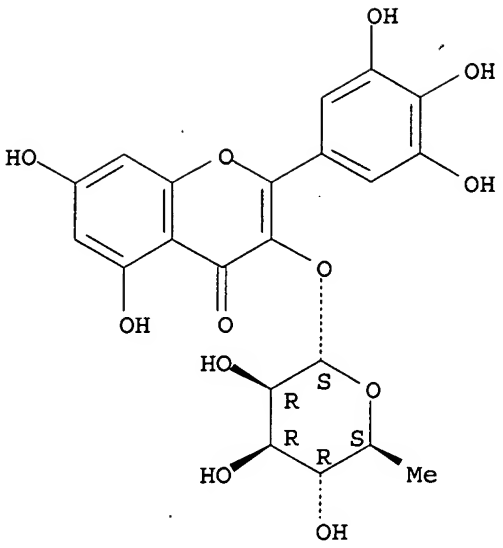
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 17912-87-7 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(3,4,5-trihydroxyphenyl)- (CA INDEX NAME)

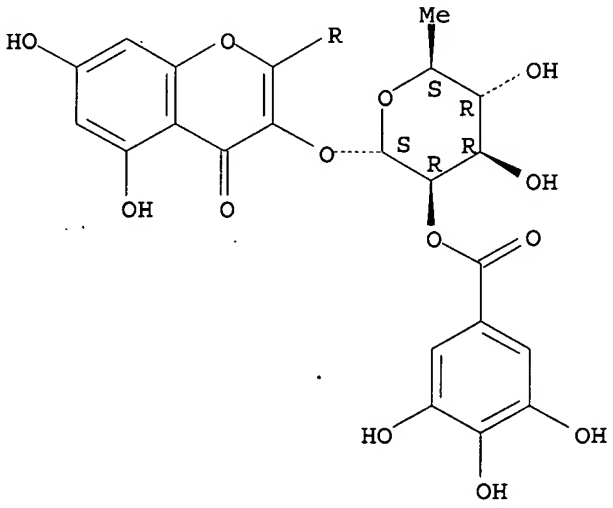
Absolute stereochemistry. Rotation (-).



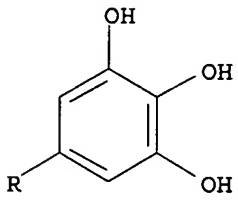
RN 56939-52-7 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[[6-deoxy-2-O-(3,4,5-trihydroxybenzoyl)-α-L-mannopyranosyl]oxy]-5,7-dihydroxy-2-(3,4,5-trihydroxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

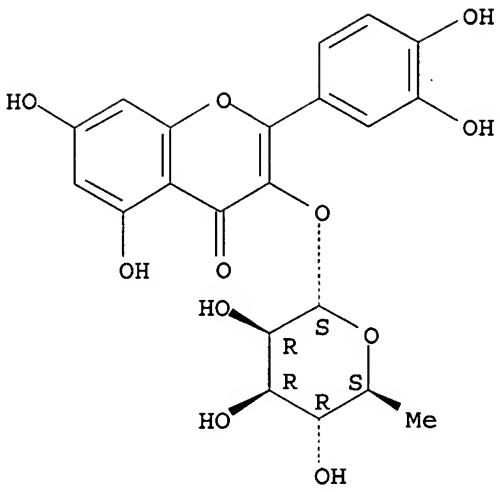


PAGE 2-A



L4 ANSWER 31 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:535595 CAPLUS
DN 141:203923
TI The type of sugar moiety is a major determinant of the small intestinal uptake and subsequent biliary excretion of dietary quercetin glycosides
AU Arts, Ilja C. W.; Sesink, Aloys L. A.; Faassen-Peters, Maria; Hollman, Peter C. H.
CS RIKILT- Institute of Food Safety, Wageningen University and Research Centre, Wageningen, Neth.
SO British Journal of Nutrition (2004), 91(6), 841-847
CODEN: BJNUAV; ISSN: 0007-1145
PB CABI Publishing
DT Journal
LA English
AB Quercetin is an important dietary flavonoid with putative beneficial effects in the prevention of cancer and CVD. The in vivo bioactivity of quercetin depends on its bioavailability, which varies widely between foods. We used an in situ rat intestinal perfusion model to study whether differential small intestinal hydrolysis of the sugar moiety of five naturally occurring quercetin glycosides dets. the small intestinal uptake and subsequent biliary excretion of quercetin. After 30 min perfusion, a decrease of intact quercetin glycoside in perfusate was observed for quercetin-3-O-β-glucoside (20·9 (SEM 1·4) μmol/l) and quercetin-4'-O-β-glucoside (23·5 (SEM 1·6) μmol/l), but not of quercetin-3-O-β-galactoside, quercetin-3-O-β-rhamnoside and quercetin-3-O-α-arabino-pyranoside. Appearance of free quercetin in perfusate and conjugated quercetin metabolites (quercetin, isorhamnetin, and tamarixetin) in portal and peripheral plasma and bile were also significantly greater after treatment with quercetin-3-O-β-glucoside or quercetin-4'-O-β-glucoside compared with any of the other glycosides. Thus, the type of sugar moiety is a major determinant of the small intestinal absorption of quercetin glycosides, but the position (3 or 4') of the glucose moiety does not further influence absorption. The poor bioavailability of important dietary quercetin glycosides has implications for their in vivo bioactivities.
IT 522-12-3, Quercetin-3-rhamnoside
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(type not position of sugar moiety as determinant of small intestinal uptake and subsequent biliary excretion of dietary quercetin glycosides)
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

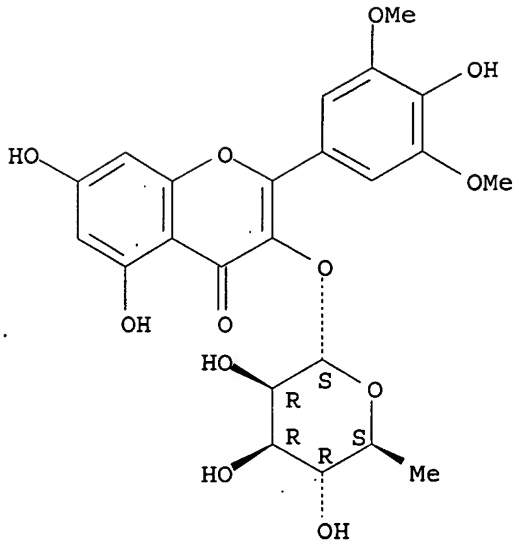
Absolute stereochemistry.



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:473914 CAPLUS
DN 141:379123
TI Cytotoxic triterpenes and sterol from the fruit of rabbiteye blueberry (Vaccinium ashei)
AU Ono, Masateru; Koto, Mihoko; Komatsu, Haruki; Igoshi, Keiji; Kobayashi, Hiromasa; Ito, Yasuyuki; Nohara, Toshihiro
CS School of Agriculture, Kyushu Tokai University, Kumamoto, 869-1404, Japan
SO Food Science and Technology Research (2004), 10(1), 56-59
CODEN: FSTRFS; ISSN: 1344-6606
PB Japanese Society for Food Science and Technology
DT Journal
LA English
AB Seven triterpenes, α-amyrin (1), uvaol (2), ursolic acid (3), β-amyrin (4), erythrodiol (5), lupeol (6) and betulin (7), two sterols, β-sitosterol (8) and β-sitosterol 3-O-β-glucopyranoside (9), and one flavonoid, syringetin 3-O-α-rhamnopyranoside (10) were isolated from the methanol extract of the fruit of rabbiteye blueberry (Vaccinium ashei). Their chemical structures were determined on the basis of spectroscopic data. Among them, 3, 4 and 9 exhibited moderate growth inhibitory activity against human lung cancer cells (PC-12) and human colon cancer cells (HCT116) using the 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide assay.
IT 93126-00-2
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(cytotoxic triterpenes and sterol from fruit of rabbiteye blueberry (Vaccinium ashei))
RN 93126-00-2 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxy-3,5-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

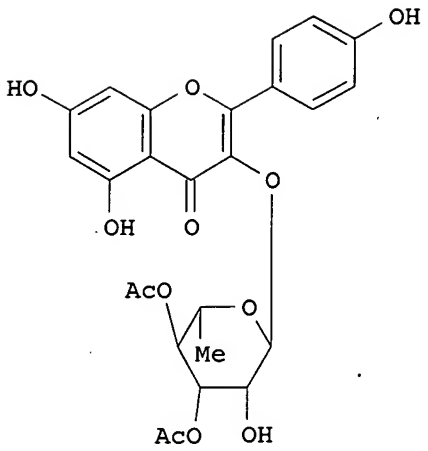


RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 33 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:1006705 CAPLUS
DN 140:53392
TI Rsk inhibitors, preparation, and therapeutic uses thereof
IN Smith, Jeffrey A.; Lannigan-Macara, Deborah A.; Poteet-Smith, Celeste E.; Hecht, Sidney M.; Xu, Yaming; Brautigan, David L.
PA University of Virginia Patent Foundation, USA
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003105766	A2	20031224	WO 2003-US18734	20030612
	WO 2003105766	A3	20040311		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2488864	A1	20031224	CA 2003-2488864	20030612
	AU 2003251513	A1	20031231	AU 2003-251513	20030612
	EP 1539781	A2	20050615	EP 2003-760343	20030612
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2005233985	A1	20051020	US 2004-517328	20041209
	US 2007049539	A1	20070301	US 2006-524159	20060920
PRAI	US 2002-388006P	P	20020612		
	US 2003-449553P	P	20030224		
	WO 2003-US18734	W	20030612		
	US 2004-517328	A3	20041209		

OS MARPAT 140:53392
GI



I

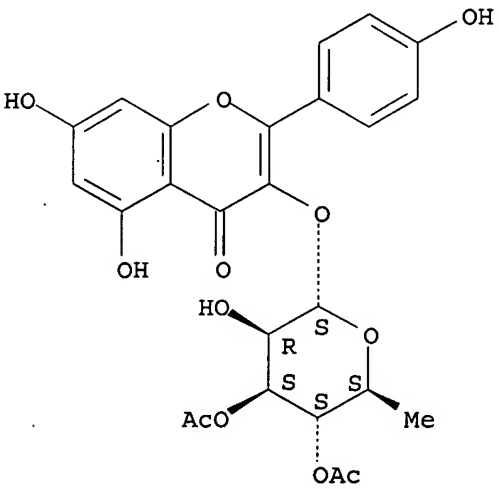
AB The invention discloses compds. and compns. that have Rsk-specific inhibitory activity. Compds. of the invention include small mol. inhibitors, e.g. I. Synthetic procedures leading to I are described, as are isolation procedures from Forsteronia refracta. Other Rsk-specific inhibitors include e.g. antisense oligonucleotides. In addition, inhibition of Rsk by the compds. has been discovered to halt the proliferation of cancer cell lines while having little effect on the proliferation rate of normal cells. Therefore, the invention identifies Rsk as a target for therapeutic intervention in diseased states in which the disease or the symptoms can be ameliorated by inhibition of Rsk catalytic activity.

IT 77307-50-7P, SL 0101-1
RL: DMA (Drug mechanism of action); NPO (Natural product occurrence); PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(Rsk inhibitors and therapeutic uses)

RN 77307-50-7 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(3,4-di-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

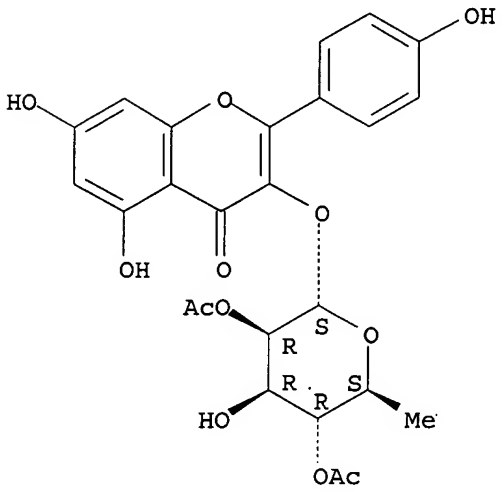
Absolute stereochemistry. Rotation (-).



IT 133882-73-2P, SL 0101-2 135618-17-6P, SL 0101-3
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological

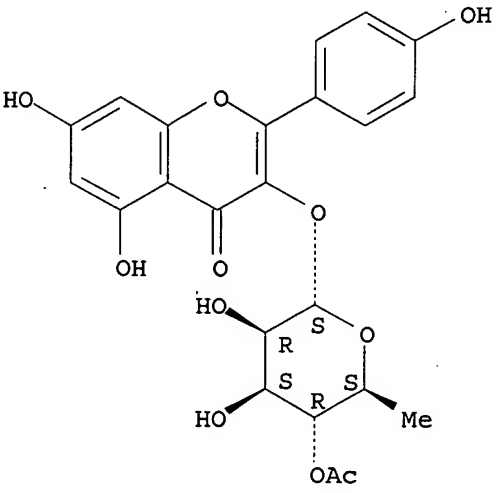
study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(Rsk inhibitors and therapeutic uses)
RN 133882-73-2 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(2,4-di-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 135618-17-6 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(4-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 34 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:696527 CAPLUS
DN 139:207741
TI Cytochrome p450 3A inhibitors and enhancers
IN Hu, Oliver Yoa-pu; Hsiong, Cheng-huei; Kuo, Benjamin Pei-chung; Pao, Li-heng
PA Taiwan
SO U.S. Pat. Appl. Publ., 10 pp.
CODEN: USXXCO
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003166584	A1	20030904	US 2002-80043	20020222
	US 7169763	B2	20070130		
PRAI	US 2002-80043		20020222		

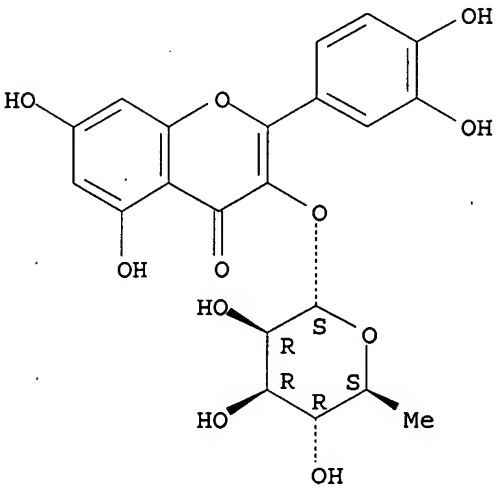
AB The present invention provides cytochrome P 450 3A (CYP3A) inhibitors and enhancers. Examples of the CYP3A inhibitors include free bases or pharmacol. acceptable salts of at least one of the following compds.: α- and β-naphthoflavone, apigenin, baicalein, β-myrcene, catechin, 3-phenylpropyl acetate, formononetin, gallic acid, hesperetin, hesperidin, isoquercitrin, lauryl alc., luteolin, luteolin 7-glycoside, narigin, nordihydroguaiaretic acid, quercitrin, swertiamarin, terpineol, and trans-cinnamaldehyde. Examples of the CYP3A enhancers include free bases or pharmacol. acceptable salts of at least one of the following compds.: apigenin, formononetin, and luteolin-7-glycoside. The CYP3A inhibitors can be used, alone or co-administered with a drug, to improve the drug bioavailability. The CYP3A inhibitors can also be used as chemopreventors to prevent biotransformation of procarcinogenic compds. into carcinogens via CYP3A activity or for treatment of intestinal or hepatic cancer by inhibit the CYP3A activity. The CYP3A enhancers can be used to improve the enzymic activity of CYP3A so as to improve the biotransformation and degradation of active drugs or the substrates of CYP3A from the body. The CYP3A inhibitors and enhancers of the present invention are natural substances extracted from herbs and non-toxic.

IT 522-12-3, Quercitrin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cytochrome P 450 3A inhibitors and enhancers)

RN 522-12-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 35 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN

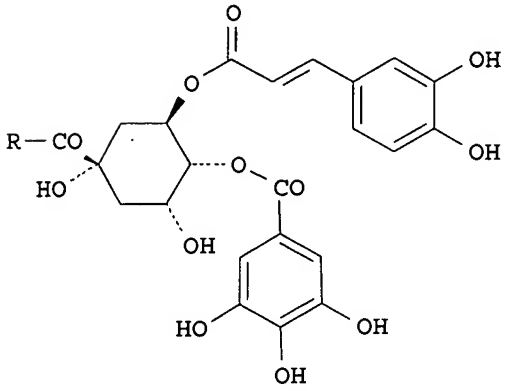
AN 2003:448694 CAPLUS

DN 139:162075

TI Bioactive Novel Polyphenols from the Fruit of Manilkara zapota (Sapodilla)

AU Ma, Jun; Luo, Xiao-Dong; Protiva, Petr; Yang, Hui; Ma, Cuiying; Basile, Margaret J.; Weinstein, I. Bernard; Kennelly, Edward J.

CS Department of Biological Sciences, Lehman College and The Graduate Center, City University of New York, Bronx, NY, 10468, USA
SO Journal of Natural Products (2003), 66(7), 983-986
CODEN: JNPRDF; ISSN: 0163-3864
PB American Chemical Society
DT Journal
LA English
GI



I R=OMe
II R=OH

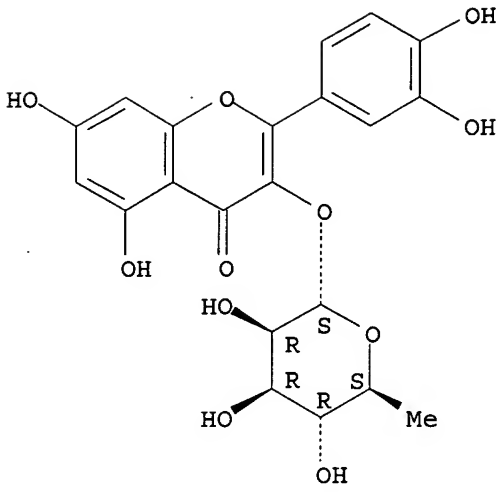
AB Activity-guided fractionation of a methanol extract from the fruit of Manilkara zapota cv. Tikal resulted in the isolation of two new antioxidants, Me 4-O-galloylchlorogenate (I) and 4-O-galloylchlorogenic acid (II), along with eight known polyphenolic antioxidants, namely, Me chlorogenate, dihydromyricetin, quercitrin, myricitrin, (+)-catechin, (-)-epicatechin, (+)-gallocatechin, and gallic acid. Of the 10 polyphenols, 1 showed the highest antioxidant activity (IC50 = 12.9 μM) in the 1,1-diphenyl-2-picrylhydrazyl (DPPH) free-radical assay and displayed cytotoxicity in the HCT-116 and SW-480 human colon cancer cell lines with IC50 values of 190 and 160 μM, resp. Compound 2 showed high antioxidant activity (IC50 = 23.5 μM) in the DPPH free-radical assay and displayed cytotoxicity in the HCT-116 and SW-480 human colon cancer cell lines with IC50 values of 154 and 134 μM, resp.

IT 522-12-3P, Quercitrin 17912-87-7P, Myricitrin
RL: BSU (Biological study, unclassified); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
(bioactive novel polyphenols from the fruit of Manilkara zapota)

RN 522-12-3 CAPLUS

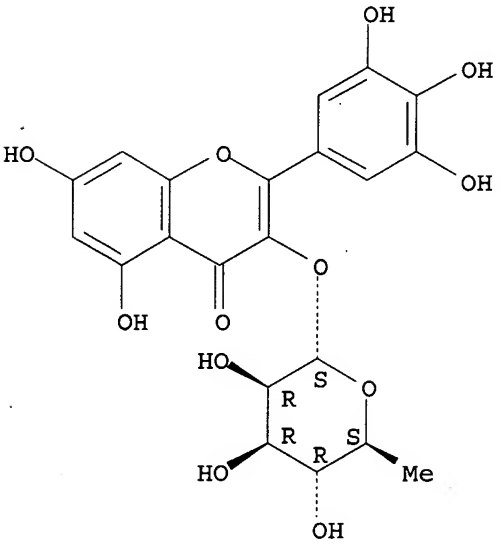
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 17912-87-7 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(3,4,5-trihydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 36 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:112771 CAPLUS
DN 138:358258
TI Flavonols from Scurrula ferruginea Danser (Loranthaceae)
AU Lohezic-Le Devehat, Francoise; Tomasi, Sophie; Fontanel, Didier; Boustie, Joel
CS U.P.R.E.S. 2234 "Extraction et synthese de molecules a visee therapeutique", Laboratoire de Pharmacognosie et de Mycologie, U.P.R.E.S. 2234 "Extraction et synthese de molecules a visee therapeutique", Rennes, 35043, Fr.
SO Zeitschrift fuer Naturforschung, C: Journal of Biosciences (2002), 57(11/12), 1092-1095
CODEN: ZNCBDA; ISSN: 0939-5075
PB Verlag der Zeitschrift fuer Naturforschung
DT Journal

LA English

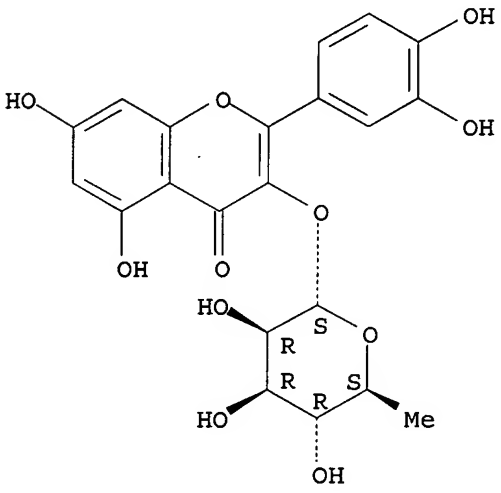
AB Three natural flavonols compds. have been isolated from the Et acetate fraction of Scurrula ferruginea Danser (Loranthaceae). Besides quercetin and quercitrin, an unusual flavonol glycoside 4''-O-acetyl-quercitrin was isolated. Structures were determined using spectroscopic methods including UV, NMR and HRMS-EI. The incidence of 4''-O-acetylquercitrin, not previously reported in the Loranthaceae, is discussed. Cytotoxic evaluation on four human cancer cell lines showed quercetin to be the most active with IC50 of 35 μM on U251 (human glioblastoma cells).

IT 522-12-3, Quercitrin 69120-16-7, 4''-O-Acetylquercitrin
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(flavonols from Scurrula ferruginea and their antitumor cytotoxicity)

RN 522-12-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

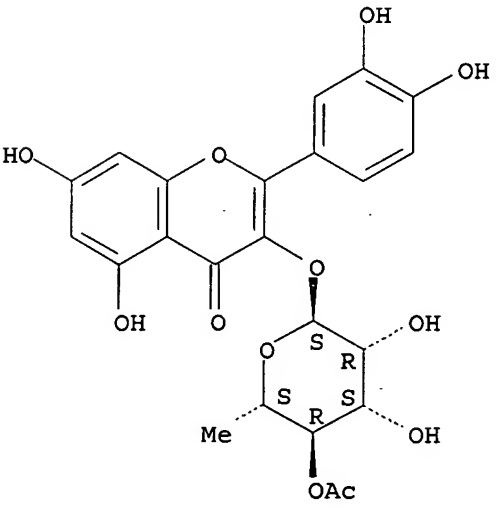
Absolute stereochemistry.



RN 69120-16-7 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(4-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

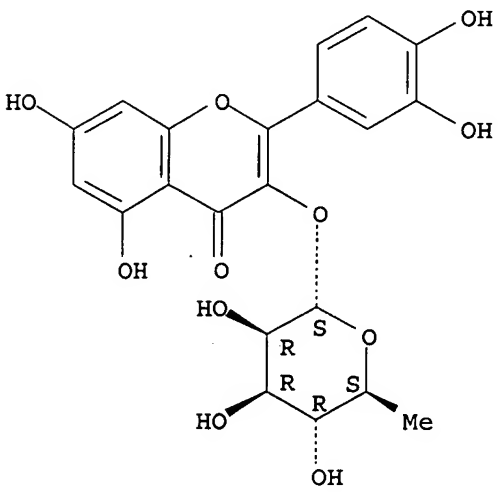
Absolute stereochemistry.



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 37 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:675451 CAPLUS
DN 137:324753
TI Antioxidant Activities and Antitumor Screening of Extracts from Cranberry Fruit (Vaccinium macrocarpon)
AU Yan, Xiaojun; Murphy, Brian T.; Hammond, Gerald B.; Vinson, Joe A.; Neto, Catherine C.
CS Department of Chemistry and Biochemistry, University of Massachusetts-Dartmouth, North Dartmouth, MA, 02747, USA
SO Journal of Agricultural and Food Chemistry (2002), 50(21), 5844-5849
CODEN: JAFCAU; ISSN: 0021-8561
PB American Chemical Society
DT Journal
LA English
AB Polyphenolic compds. in cranberries were investigated to determine their role in protection against cardiovascular disease and some cancers. Exts. of whole fruit were assayed for radical-scavenging activity and tumor growth inhibition using 7 tumor cell lines. Selective inhibition of K562 and HT-29 cells was observed from a methanolic extract in the range of 16-125 μg/mL. Radical-scavenging activity was greatest in an extract composed primarily of flavonol glycosides. 7 Flavonol glycosides were isolated and purified from whole fruit for further evaluation; the anthocyanin cyanidin 3-galactoside was also purified for comparison with the flavonoids. Three flavonol monoglycosides were newly identified by 13C NMR as myricetin 3-α-arabinofuranoside, quercetin 3-xyloside, and 3-methoxyquercetin 3-β-galactoside (isorhamnetin); the other four isolated were the previously identified myricetin 3-β-galactoside, quercetin 3-β-galactoside, quercetin 3-α-arabinofuranoside, and quercetin 3-α-rhamnopyranoside. These compds. were evaluated for 1,1-diphenyl-2-picrylhydrazyl radical-scavenging activity and ability to inhibit low-d. lipoprotein oxidation in vitro. Most of the flavonol glycosides showed antioxidant activity comparable or superior to that of vitamin E; cyanidin 3-galactoside showed activity superior to that of the flavonoids as well as vitamin E or Trolox in both antioxidant assays.
IT 522-12-3
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(antioxidant and antitumor components and activity of cranberry fruit exts.)
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

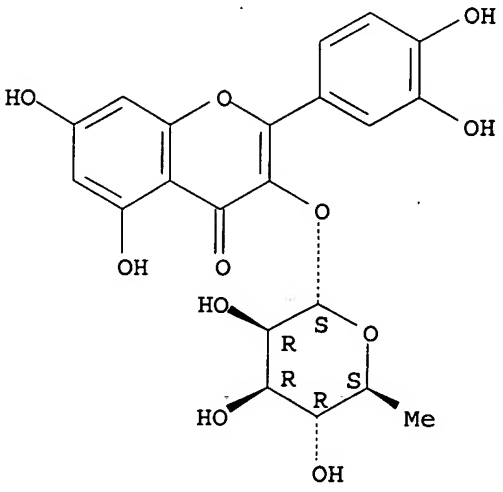
Absolute stereochemistry.



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 38 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:669877 CAPLUS
DN 137:345604
TI Antiproliferative Activities of Citrus Flavonoids against Six Human Cancer Cell Lines
AU Manthey, John A.; Guthrie, Najla
CS U.S. Citrus and Subtropical Products Laboratory, South Atlantic Area Agricultural Research Service, U.S. Department of Agriculture, Winter Haven, FL, 33881, USA
SO Journal of Agricultural and Food Chemistry (2002), 50(21), 5837-5843
CODEN: JAFCAU; ISSN: 0021-8561
PB American Chemical Society
DT Journal
LA English
OS CASREACT 137:345604
AB Citrus fruits contain high concns. of several classes of phenols, including numerous hydroxycinnamates, flavonoid glycosides, and polymethoxylated flavones. The latter group of compds. occurs without glycosidic linkages and has been shown to inhibit the proliferation of a number of cancer cell lines. This antiproliferative property was further demonstrated against addnl. human cancer cell lines, and the antiproliferative actions of a series of synthetic methoxylated flavones were also studied. Similar to the naturally occurring compds., the synthetic compds. exhibited strong antiproliferative activities. In many cases the IC50 values occurred below 10 μm. Other hydroxylated flavone and flavanone aglycons also exhibited antiproliferative activities against the cancer cell lines, with the flavones showing greater activities than the flavanones. Glycosylation of these compds. removed their activity. The strong antiproliferative activities of the polymethoxylated flavones suggest that they may have use as anticancer agents in humans.
IT 522-12-3
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiproliferative activities of Citrus flavonoids against six human cancer cell lines)
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

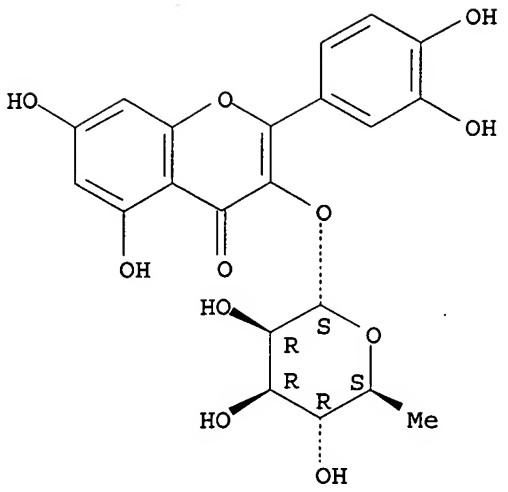
Absolute stereochemistry.



RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 39 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:151410 CAPLUS
DN 137:57502
TI Flavonoids increase the intracellular glutathione level by transactivation of the γ-glutamylcysteine synthetase catalytical subunit promoter
AU Myhrstad, Mari C. W.; Carlsen, Harald; Nordstrom, Olov; Blomhoff, Rune; Moskaug, Jan Oivind
CS University of Oslo, Institute for Nutrition Research, Oslo, Norway
SO Free Radical Biology & Medicine (2002), 32(5), 386-393
CODEN: FRBMEH; ISSN: 0891-5849
PB Elsevier Science Inc.
DT Journal
LA English
AB Fruits and vegetables protect against cancer by so far not well-characterized mechanisms. One likely explanation for this effect is that dietary plants contain substances able to control basic cellular processes such as the endogenous defense against oxidative stress. Oxidative stress is pivotal in many pathol. processes and reduced oxidative stress is implicated in prevention of disease. Our results demonstrate that extract from onion and various flavonoids induce the cellular antioxidant system. Onion extract and quercetin were able to increase the intracellular concentration of glutathione by approx. 50%. Using a reporter construct where reporter expression is driven by the γ-glutamylcysteine synthetase (GCS) heavy subunit (GCSh) promoter we show that onion extract, quercetin, kaempferol, and apigenin increased reporter gene activity, while a fourth flavonoid, myricetin and sugar conjugates of quercetin were unable to increase reporter expression. Quercetin was also able to induce a distal part of the GCSh promoter containing only two antioxidant-response/electrophile-response elements (ARE/EpRE). Our data strongly suggest that flavonoids are important in the regulation of the intracellular glutathione levels. This effect may be exerted in part through GCS gene regulation, and may also contribute to the disease-preventing effect of fruits and vegetables.
IT 522-12-3, Quercetin 3-rhamnoside
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(flavonoids increase intracellular glutathione level by transactivation of γ-glutamylcysteine synthetase catalytical subunit promoter)
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

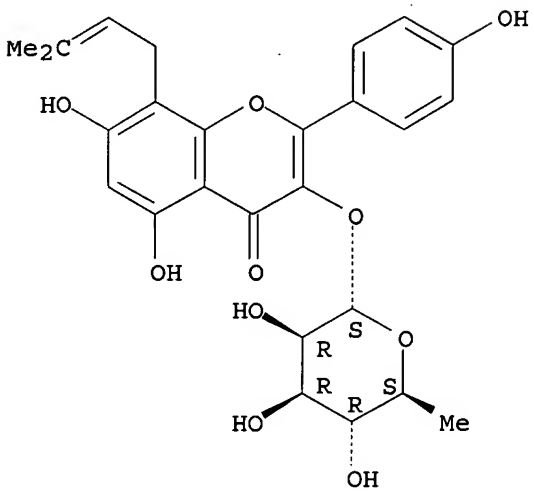


RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 40 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:595056 CAPLUS
DN 134:65794
TI Cytotoxic activity of low molecular weight polyphenols against human oral tumor cell lines
AU Fukai, Toshio; Sakagami, Hiroshi; Toguchi, Masako; Takayama, Fumitoshi; Iwakura, Ikuko; Atsumi, Toshiko; Ueha, Takao; Nakashima, Hideki; Nomura, Taro
CS Faculty of Pharmaceutical Sciences, Toho University, Chiba, 274-8510, Japan
SO Anticancer Research (2000), 20(4), 2525-2536
CODEN: ANTRD4; ISSN: 0250-7005
PB International Institute of Anticancer Research
DT Journal
LA English
AB A total of 150 chemical-defined natural and synthetic polyphenols (flavonoids, dibenzoylmethanes, dihydrostilbenes, dihydrophenanthrenes and 3-phenylchromen-4-ones), with mol. wts. ranging from 224 to 824, were investigated for cytotoxic activity against normal, tumor, and human immunodeficiency virus (HIV)-infected cells. They showed higher cytotoxic activity against human oral squamous cell carcinoma HSC-2 and salivary gland tumor HSG cell lines than against normal human gingival fibroblasts HGF. Many of the active compds. had a hydrophilic group (hydroxyl group) in the vicinity of a hydrophobic group (prenyl, Ph, methylcyclohexene or methylbenzene moiety), similar to isoprenoid-substituted flavones. Substitution of hydrophobic group (prenyl or geranyl group) did not significantly change the cytotoxic activity of flavanones, isoflavans, chalcones or 5-hydroxy-3-phenoxychromen-4-ones. However, the prenylation(s) of an isoflavone and a 2-arylbenzofuran significantly enhanced the cytotoxic activity. Agarose gel electrophoresis showed that active components induced internucleosomal DNA fragmentation in human promyelocytic leukemic HL-60 cells, but not in HSC-2 cells. Most of the polyphenols failed to reduce the cytopathic effect of HIV infection in MT-4 cells.
IT 55395-07-8, Ikarisoside a 113558-10-4, Ikarisoside b
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(low mol. weight polyphenols cytotoxic action: structure dependency)
RN 55395-07-8 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)-8-(3-methyl-2-butenyl)- (9CI) (CA INDEX

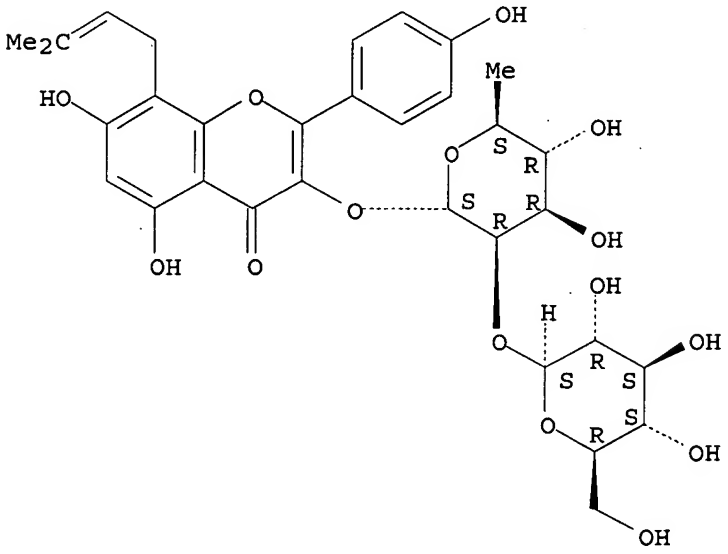
NAME)

Absolute stereochemistry.



RN 113558-10-4 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-2-O-β-D-glucopyranosyl-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)-8-(3-methyl-2-butenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 41 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:793410 CAPLUS
DN 130:191504
TI Flavonoid Constituents of Chorizanthe diffusa with Potential Cancer Chemopreventive Activity
AU Chung, Ha Sook; Chang, Leng Chee; Lee, Sang Kook; Shamon, Lisa A.; Van Breemen, Richard B.; Mehta, Rajendra G.; Farnsworth, Norman R.; Pezzuto, John M.; Kinghorn, A. Douglas
CS Program for Collaborative Research in the Pharmaceutical Sciences and

Department of Medicinal Chemistry and Pharmacognosy College of Pharmacy, University of Illinois at Chicago, Chicago, IL, 60612, USA

SO Journal of Agricultural and Food Chemistry (1999), 47(1), 36-41
CODEN: JAFCAU; ISSN: 0021-8561

PB American Chemical Society

DT Journal

LA English

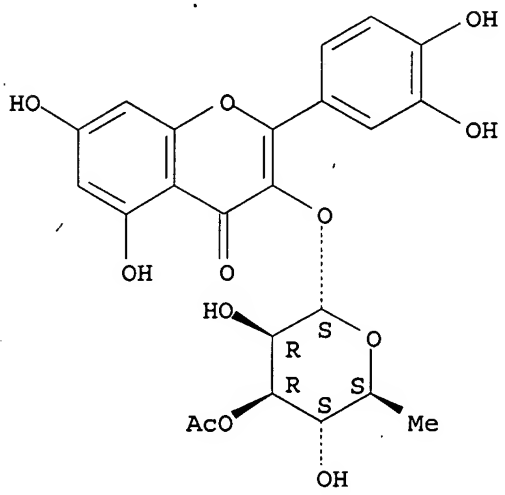
AB An Et acetate-soluble extract of Chorizanthe diffusa was found to exhibit significant antioxidant activity, as judged by scavenging stable 1,1-diphenyl-2-picrylhydrazyl (DPPH) free radicals and inhibition of 12-O-tetradecanoylphorbol 13-acetate (TPA)-induced free radical formation with cultured HL-60 cells. Bioassay-directed fractionation of this extract using the DPPH antioxidant assay as a monitor led to the isolation of five structurally related flavonoids, including the novel compound 5,8,3',4',5'-pentahydroxy-3,7-dimethoxyflavone. Isolates 1-5 demonstrated varying degrees of antioxidant or antimutagenic activity. Two of the compds., 5,7,3',4'-tetrahydroxy-3-methoxyflavone and quercetin, were subsequently found to inhibit carcinogen-induced preneoplastic lesions in a mouse mammary organ culture model. Inhibitory activity of this type is known to correlate with cancer chemopreventive effects in full-term models of tumorigenesis.

IT 69120-15-6P, 3''-O-Acetylquercitrin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(flavonoid constituents of Chorizanthe diffusa with potential cancer chemopreventive activity)

RN 69120-15-6 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(3-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 42 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1998:497592 CAPLUS

DN 129:310478

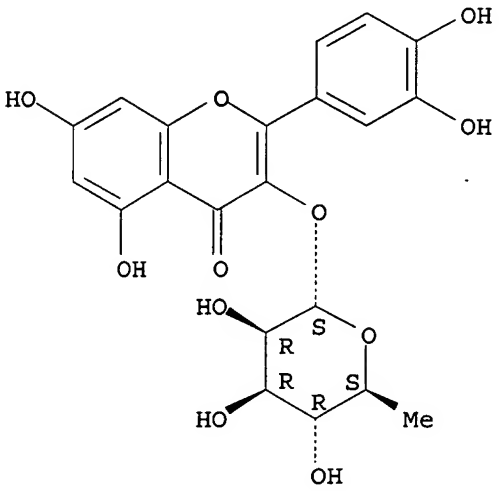
TI Estrogenic and antiproliferative activities on MCF-7 human breast cancer cells by flavonoids

AU Le Bail, J. C.; Varnat, F.; Nicolas, J. C.; Habrioux, G.

CS Faculte de Pharmacie, Laboratoire de Biochimie, Biomolecules et Cibles Cellulaires Tumorales - Proliferation Cellulaire et Inhibition

Enzymatique, UPRES EA 1085, Limoges, 87025, Fr.
SO Cancer Letters (Shannon, Ireland) (1998), 130(1,2), 209-216
CODEN: CALEDQ; ISSN: 0304-3835
PB Elsevier Science Ireland Ltd.
DT Journal
LA English
AB The interaction between the estrogen receptor and a variety of flavonoids was studied in the presence or absence of estradiol using a stably-transfected human breast cancer cell line (MVLN). On the other hand, flavonoids were evaluated for their effects on proliferation in estrogen-dependent (MCF-7) and independent (MDA-MB231) human breast cancer cells. We established a relationship structure-activity and determined regions and/or substituents essential for estrogenic or antiestrogenic activities. In contrast, we did not find the same relationship for cell proliferation. Among all flavonoids used, only 7-methoxyflavanone and 7,8-dihydroxyflavone at high concns. (50 μM) possess antiestrogenic and antiproliferative activities. These results suggest that two hydroxyls (in positions 7 and 8) or 7-methoxy substituents are essential for the antiestrogenic activity of flavonoids. However, it seems that flavonoids at high concns. exert their antiproliferative activity through other estrogen receptor-independent mechanisms.
IT 522-12-3, Quercitrin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(estrogenic and antiproliferative activities on MCF-7 human breast cancer cells by flavonoids)
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

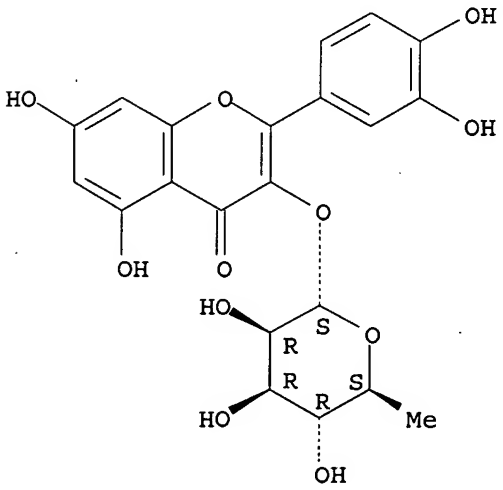


RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 43 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:478779 CAPLUS
DN 129:287773
TI Study of an Argentine mistletoe, the hemiparasite Ligaria cuneifolia (R. et P.) Tiegh. (Loranthaceae)
AU Fernandez, T.; Wagner, Marcelo L.; Varela, Beatriz G.; Ricco, Rafael A.; Hajos, Silvia E.; Gurni, Alberto A.; Alvarez, Elida
CS Facultad de Farmacia y Bioquimica, Catedra de Inmunologia-IDEHU, Universidad de Buenos Aires, Buenos Aires, 1113, Argent.

SO Journal of Ethnopharmacology (1998), 62(1), 25-34
CODEN: JOETD7; ISSN: 0378-8741
PB Elsevier Science Ireland Ltd.
DT Journal
LA English
AB Ligaria cuneifolia is an hemiparasite species used in Argentine folk medicine as a substitute for the European mistletoe (Viscum album) based on its putative activity of decreasing high blood pressure. This paper analyzes flavonoid composition, protein constituents and the possible immunomodulatory and antitumoral effects of this species. Micromol. study disclosed quercetin-free, quercetin-glycosylated and proanthocyanidins corresponding to cyanidin monomers, which implies a particular metabolic pathway. Proteins present in L. cuneifolia exts. analyzed by SDS-PAGE presented multiple bands with mol. wts. ranging from 14 to 90 kD. These features contribute to the characterization of the native mistletoe. As V. album is being used in cancer treatment due to its immunomodulatory and antitumoral activity, the action of aqueous L. cuneifolia exts. on murine lymphocytes was investigated. Culture of murine spleen cells alone or stimulated with Con A or lipopolysaccharide in presence of L. cuneifolia exts. indicated a certain stimulation of splenocytes alone and an inhibition of splenocytes stimulated with Con A or lipopolysaccharide. An inhibitory effect was also observed on the proliferation of murine leukemia cells. In addition, aqueous exts. increased nitric oxide production by murine macrophages. These results suggest that L. cuneifolia exts. exert an immunomodulatory effect on the mouse immune system.
IT 522-12-3, Quercetin-3-O-rhamnoside
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
(study of the flavonoid and protein composition of an Argentine mistletoe, the hemiparasite Ligaria cuneifolia, and the immunomodulatory and antitumor activities of the plant extract)
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 44 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:423592 CAPLUS
DN 129:197668

TI Antitumor-promoting activities of dihydroflavonols from kohki tea, the leaves of Engelhardtia chrysolepis

AU Mizutani, Kenji; Kambara, Toshimitsu; Masuda, Hitoshi; Tamura, Yukiyoshi; Tanaka, Osamu; Tokuda, Harukuni; Nishino, Hoyoku; Kozuka, Mutsuo

CS Department of Research and Development, Maruzen Pharmaceuticals, Hiroshima, 729-01, Japan

SO Food Factors for Cancer Prevention, [International Conference on Food Factors: Chemistry and Cancer Prevention], Hamamatsu, Japan, Dec., 1995 (1997), Meeting Date 1995, 607-612. Editor(s): Ohigashi, Hajime. Publisher: Springer, Tokyo, Japan.
CODEN: 66HYAL

DT Conference

LA English

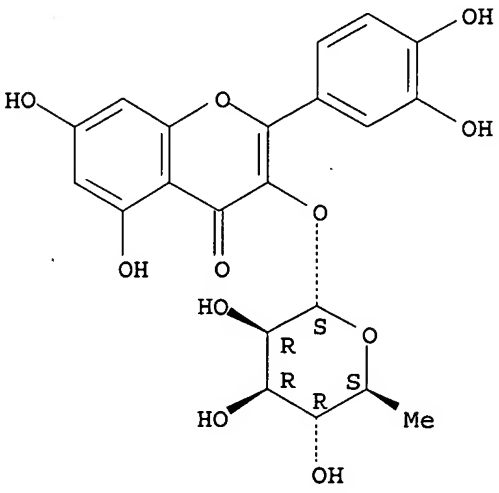
AB The leaves of Engelhardtia chrysolepis HANCE (kohki in Japanese) have been used as a folk medicine and health-giving tea in the southern region of China. In the course of studies on foods and food ingredients for health supplements, the exts. and dihydroflavonoids of kohki tea were found to show several activities such as antioxidn. and suppression of active oxygen and lipid peroxidn., as well as antiallergy-, antiinflammation-, and antitumor-promoting actions, which relate to the prevention of cancer and other diseases. The antitumor-promoting actions of principles and exts. of kohki tea were substantiated by two-stage carcinogenesis induced in exptl. models. The topical application of a main constituent, astilbin, and its aglycon, (+)-taxifolin, inhibited 7,12-dimethylbenz[a]anthracene (DMBA)/12-O-tetradecanoyl-phorbol-13-acetate (TPA)-induced mouse skin tumors. Further, in 4-nitroquinoline-N-oxide (4NQO)/glycerol-induced mouse pulmonary carcinogenesis and DMBA/UV irradiation-induced mouse skin carcinogenesis, the oral administration of kohki tea exts., astilbin, and (+)-taxifolin were effective in preventing tumor formation.

IT 522-12-3, Quercitrin
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
(antitumor-promoting and other activities of dihydroflavonols from kohki tea (leaves of Engelhardtia chrysolepis))

RN 522-12-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

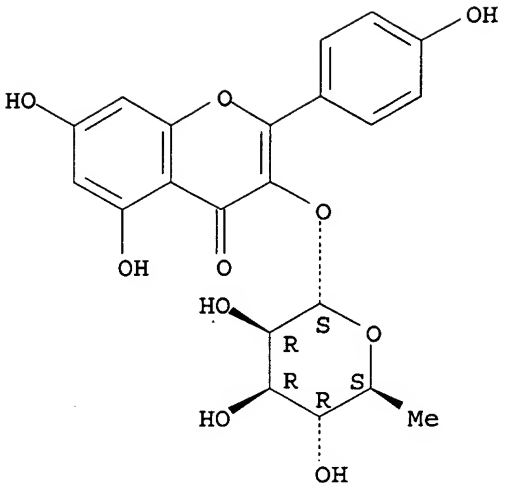
Absolute stereochemistry.



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

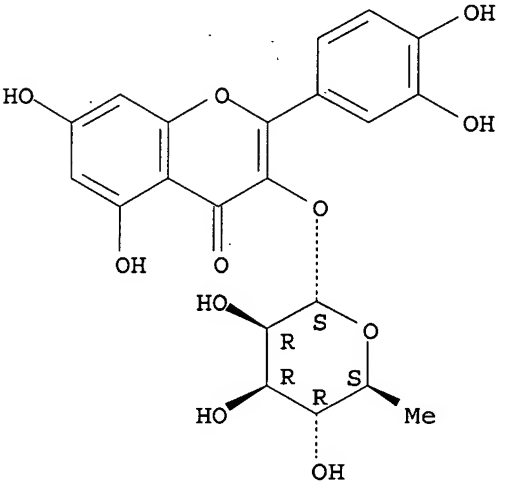
L4 ANSWER 45 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:45414 CAPLUS
DN 128:162680
TI Brazilian medicinal plants: a rich source of immunomodulatory substances
AU Rossi-Bergmann, Bartira; Costa, Sonia S.; de Moraes, Vera Lucia G.
CS Instituto de Biofisica Carlos Chagas Filho, Universidade Federal do Rio de Janeiro, Rio de Janeiro, 21941-590, Brazil
SO Ciencia e Cultura (Sao Paulo) (1997), 49(5/6), 395-401
CODEN: CCUPAD; ISSN: 0009-6725
PB Sociedade Brasileira para o Progresso da Ciencia
DT Journal
LA English
AB Novel immunosuppressive and immunostimulatory substances are strongly needed to replace the existing toxic drugs currently used in the treatment of cancer, transplant rejection and autoimmune diseases or viral infections. We have tested the immunomodulatory activity of the crude extract of several plant species used in the Brazilian popular medicine. We found that two Kalanchoe species - K. pinnata and K. brasiliensis - were very potent in inhibiting both T cell proliferation and the expression of surface IL-2Rα. The inhibitory effect may be selective as it did not affect the activity of natural killer (NK) cells. The immunosuppressive effect of K. pinnata was tested in mice, and it proved to inhibit T cell-mediated responses, such as the mixed leukocyte reaction and the delayed-type hypersensitivity reaction. Other effects were also observed, such as protection against cutaneous leishmaniasis and increased nitric oxide production, two situations in which immunosuppression may be involved. In the search for the active substance, we found that quercetin 3-O-α-arabinopyranosyl (1→2)-α-L-rhamnopyranoside, a major flavonoid present in the crude extract of K. pinnata did not affect T cell proliferation. It is possible, however, that other minor flavonoids, such as quercitrin, afzelin and a flavone are the active substance(s). Contrary to the suppressive effect of Kalanchoe, we observed that the crude extract of Chenopodium ambrosioides was strongly stimulatory to murine but not human lymphocytes, and that the stimulatory substance was present in a protein-enriched fraction. These findings which were only attained due to the collaboration between interdisciplinary groups, strongly emphasize that the Brazilian flora may serve as a rich source of known and novel immunomodulatory substances.
IT 482-39-3, Afzelin 522-12-3, Quercitrin
60048-92-2 104683-55-8 203067-24-7,
Kalambroside D
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)
(medicinal plants of Brazil as source of immunomodulatory substances)
RN 482-39-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



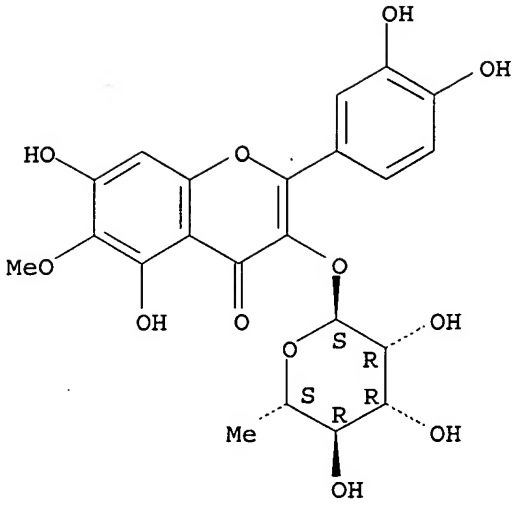
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



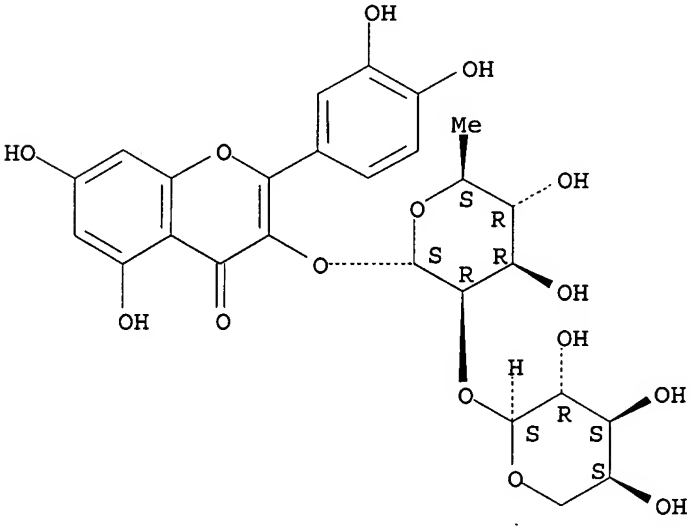
RN 60048-92-2 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy-6-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



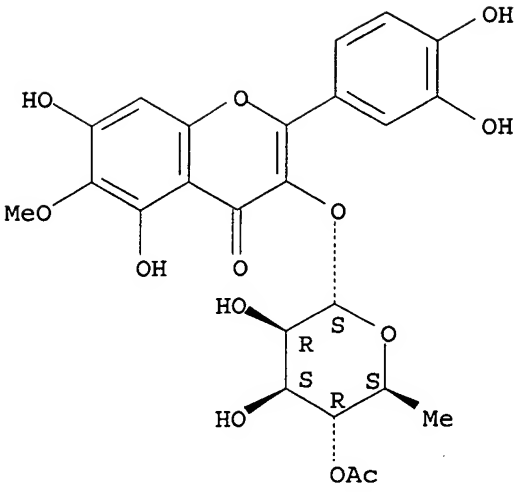
RN 104683-55-8 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(2-O-α-L-arabinopyranosyl-6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



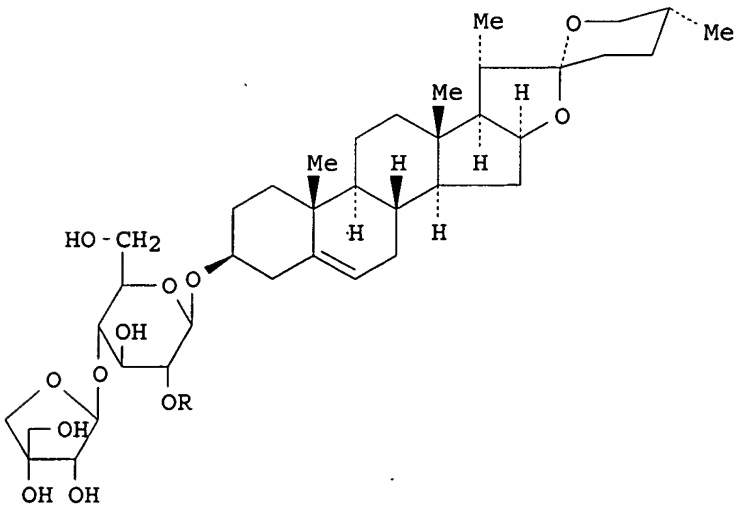
RN 203067-24-7 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(4-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy-6-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 46 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1997:727258 CAPLUS
DN 127:290594
TI New Diosgenin Glycosides from Costus afer
AU Lin, Rui Chao; Lacaille-Dubois, Marie-Aleth; Hanquet, Bernard; Correia, Maria; Chauffert, Bruno
CS Laboratoire de Pharmacognosie Faculte de Pharmacie, Universite de Bourgogne, Dijon, 21033, Fr.
SO Journal of Natural Products (1997), 60(11), 1165-1169
CODEN: JNPRDF; ISSN: 0163-3864
PB American Chemical Society
DT Journal
LA English
GI



I R=4-O-acetyl-?-L-rhamnopyranosyl
II R=H
III R=?-L-rhamnopyranosyl

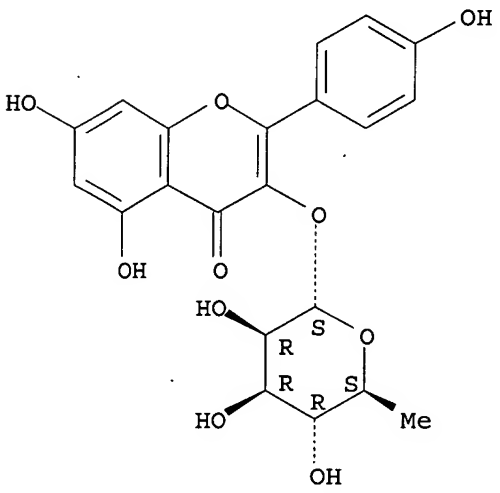
AB Two new steroidal saponins, aferosides B (I) and C (II), together with the known saponins, dioscin and paryphyllin C, were isolated from the roots of Costus afer. The known flavonoid glycoside, kaempferol 3-O-α-L-rhamnopyranoside, was obtained from the aerial parts. The structures of the new compds. were elucidated principally by 2D NMR spectral methods. A structural revision of the sugar sequence was made for the previously reported saponin aferoside A (III) on the basis of detailed spectroscopic anal. The saponins did not show any ability to potentiate in vitro cisplatin cytotoxicity in a human colon cancer cell line.

IT 482-39-3P, Kaempferol 3-O-α-L-rhamnopyranoside
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation)
(from Costus afer)

RN 482-39-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 47 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1997:273305 CAPLUS

DN 126:304446

TI Inhibition of 12-O-tetradecanoylphorbol-13-acetate induced Epstein-Barr virus early antigen activation by natural colorants

AU Kapadia, Govind J.; Balasubramanian, Venkataraman; Tokuda, Harukuni; Iwashima, Akia; Nishino, Hoyoku

CS Department of Pharmaceutical Sciences, College of Pharmacy and Pharmaceutical Sciences, Howard University, Washington, DC, 20059, USA

SO Cancer Letters (Shannon, Ireland) (1997), 115(2), 173-178
CODEN: CALEDQ; ISSN: 0304-3835

PB Elsevier

DT Journal

LA English

AB Natural colorants such as anthocyanins, betalains, carotenoids, curcuminoids and chlorophylls have been widely used in the food processing industry and in beverages. Most of these colorants constitute part of human dietary components and are considered to be harmless and non-toxic. As a part of the study of natural products to identify non-toxic cancer chemopreventive agents, we have investigated several

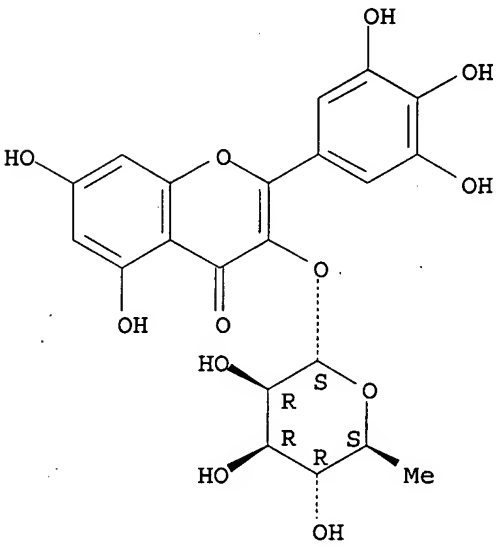
natural colorant exts. from vegetables and fruits of daily human consumption for their cancer chemopreventive action using the short-term in vitro assay which involves inhibition of Epstein-Barr virus early antigen activation (EBV-EA) induced by phorbol esters. Our study has identified several plant exts. that show profound activity in the EBA assay.

IT 17912-87-7, Myricitrin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cancer inhibitory effects of natural colorants)

RN 17912-87-7 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(3,4,5-trihydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 48 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1997:193252 CAPLUS

DN 126:255262

TI Studies on natural ultraviolet absorbers

AU Liu, Mon-Chun; Lin, Chang-Tay; Shau, Min-Da; Chen, Zong-Shiow; Chen, Ming-Tyan

CS Dept. Applied Chem., Chia-Nan College of Pharmacy and Sci., Tainan, Taiwan

SO Yaowu Shipin Fenxi (1996), 4(4), 343-348
CODEN: YSFEEP; ISSN: 1021-9498

PB National Laboratories of Food and Drugs, Dep. of Health, Executive Yuan

DT Journal

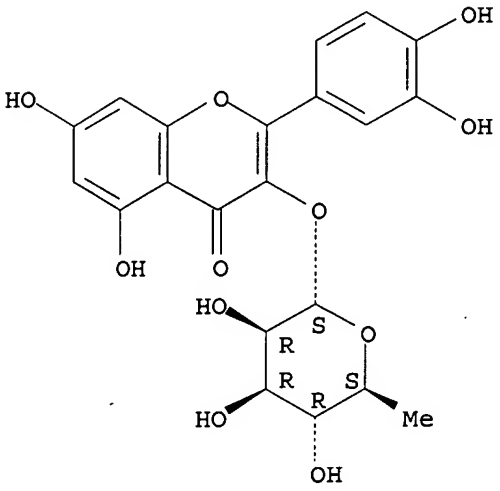
LA Chinese

AB Exposure of the skin to sunshine for long periods of time induces different degrees of erythema or skin cancer in the unprotected skin. Synthetic UV absorbers may induce some side effects, including allergic and inflammatory reactions; such problems may be solved by the use of natural sunscreens. This study focuses on the natural sunscreen products. The sunscreen index value of exts. of several Hypericum species were investigated by Kumler's method. The UV absorption spectra of cadensin D, alkyl caffeates, mangiferin, quercitrin, quercetin, and astilbin were determined

IT 522-12-3, Quercitrin
RL: PRP (Properties)

(studies on natural UV absorbers)
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 49 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1996:676243 CAPLUS
DN 125:320298
TI Dietary phenolics as anti-mutagens and inhibitors of tobacco-related DNA adduction in the urothelium of smokers
AU Malaveille, Christian; Hautefeuille, Agnes; Pignatelli, Brigitte; Talaska, Glenn; Vineis, Paolo; Bartsch, Helmut
CS International Agency for Research on Cancer, Lyon, 69372, Fr.
SO Carcinogenesis (1996), 17(10), 2193-2200
CODEN: CRNGDP; ISSN: 0143-3334
PB Oxford University Press
DT Journal
LA English
AB Human urine is known to contain substances that strongly inhibit bacterial mutagenicity of aromatic and heterocyclic amines in vitro. The biol. relevance of these antimutagens was examined by comparing levels of tobacco-related DNA adducts in exfoliated urothelial cells from smokers with the anti-mutagenic activity in corresponding 24-h urine samples. An inverse relation was found between the inhibition of 2-amino-1-methyl-6-phenylimidazo[4,5-b]pyridine (PhIP) mutagenicity by urine exts. in vitro and two DNA adduct measurements: the level of the putatively identified N-(deoxyguanosin-8-yl)-4-aminobiphenyl adduct and the total level of all tobacco-smoke-related carcinogen adducts including those probably derived from PhIP. Urinary anti-mutagenicity in vitro appears thus to be a good indicator of the anti-genotoxicity exerted by substances excreted in urine, that protect the bladder mucosal cells (and possibly other cells) against DNA damage. These substances appear to be dietary phenolics and/or their metabolites because (i) the antimutagenic activity of urine exts. was linearly related to their content in phenolics; (ii) the concentration ranges of these substances in urine exts. were similar to those of various plant phenols (quercetin, isorhamnetin and naringenin) for which an inhibitory effect on the liver S9-mediated mutagenicity of PhIP was obtained; (iii) treatment of urines with β-glucuronidase and arylsulfatase enhanced both anti-mutagenicity and the levels of phenolics in urinary exts.; (iv) urinary exts. inhibited noncompetitively the liver S9-mediated mutagenicity of PhIP as did quercetin, used as a model

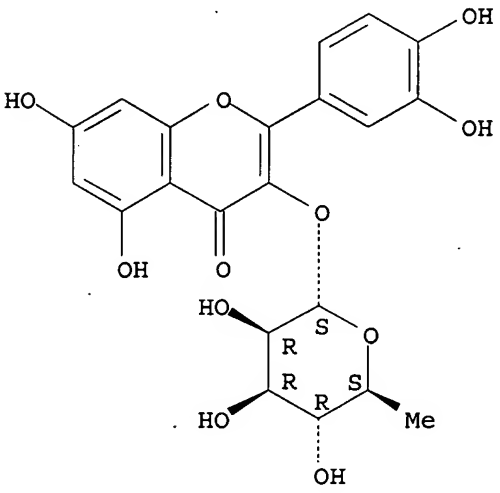
phenolics. Several structural features of the flavonoids were identified as necessary for the inhibition of PhIP and 2-amino-3,8-dimethylimidazo[4,5-f]quinoxaline mutagenicity. Fractionation by reverse-phase HPLC and subsequent anal. of two urinary exts., showed the presence of several antimutagenic substances and phenolics; more lipophilic phenolics displayed the highest specific inhibitory activity. This suggests that enzymic conversion of dietary flavonoids into their more lipophilic and anti-mutagenic O-methylcatechol derivs., as noted for quercetin, may occur in vivo in man. Onion, lettuce, apples and red wine are important sources of dietary flavonoids which are probably responsible for the anti-mutagenicity associated with foods and beverages. After HPLC fractionation of urinary exts., the distribution profile of anti-mutagenic activity corresponded roughly to that of onion and wine extract combined. Our study strongly suggests that smokers ingesting dietary phenolics, probably flavonoids, are partially protected against the harmful effects by tobacco carcinogens within their bladder mucosal cells. This protective effect of dietary phenolics against the cancer of the bladder (and possibly other sites) should be verified and explored as a part of a chemoprevention strategy.

IT 522-12-3, Quercitrin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(dietary phenolics as anti-mutagens and inhibitors of tobacco-related DNA adduction in urothelium of smokers)

RN 522-12-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 50 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1995:732857 CAPLUS

DN 123:135628

TI Structural requirements for mutagenicity of flavonoids upon nitrosation. A structure-activity study

AU Rueff, Jose; Gaspar, Jorge; Laires, Antonio

CS Faculty Medical Sci., UNL, Lisbon, P-1300, Port.

SO Mutagenesis (1995), 10(4), 325-8
CODEN: MUTAEX; ISSN: 0267-8357

PB Oxford University Press

DT Journal

LA English

AB Nitrosation reactions are amongst those chemical reactions which may take place to render some chemical classes of promutagens as ultimate mutagens.

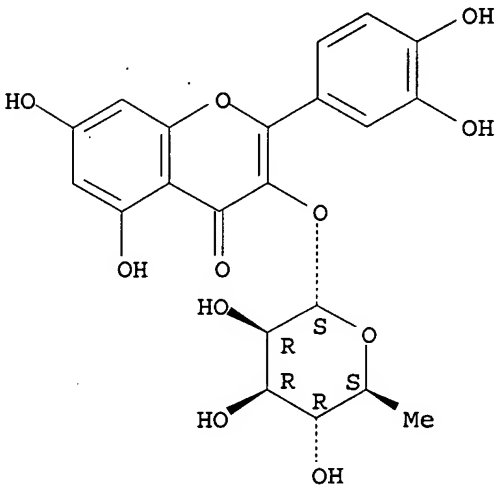
Flavonoids are amongst chems. which can be rendered mutagenic upon nitrosation. In this study, 22 flavonoids were tested in the Ames assay for their mutagenicity upon nitrosation and the resp. structural requirements for nitrosation-dependent mutagenicity were established. Nitrosable chems. present in the diet may play a role in the etiol. of gastric cancer and flavonoids are amongst the common mols. present in a variety of food items. Flavonoids such as quercetin and catechin were predicted to be non-mutagenic upon nitrosation by the CASE methodol. and were shown in this study to be strong nitrosable mutagens.

IT 522-12-3, Quercitrin
RL: ADV (Adverse effect, including toxicity); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(mutagenicity of flavonoids upon nitrosation and structure-activity study)

RN 522-12-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 51 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1989:278 CAPLUS

DN 110:278

TI Enzymology and cell biology as related to actions of flavonoids in natural and artificial systems

AU Iio, Masayoshi; Kawamura, Noriko; Takekuma, Haruko; Katsuki, Kazuko; Katsuki, Takato; Matsumoto, Yoko; Ueoka, Ryuichi

CS Kumamoto Women's Univ., Kumamoto, 862, Japan

SO Progress in Clinical and Biological Research (1988), 280(Plant Flavonoids Biol. Med. 2: Biochem., Cell., Med. Prop.), 317-21
CODEN: PCBRD2; ISSN: 0361-7742

DT Journal

LA English

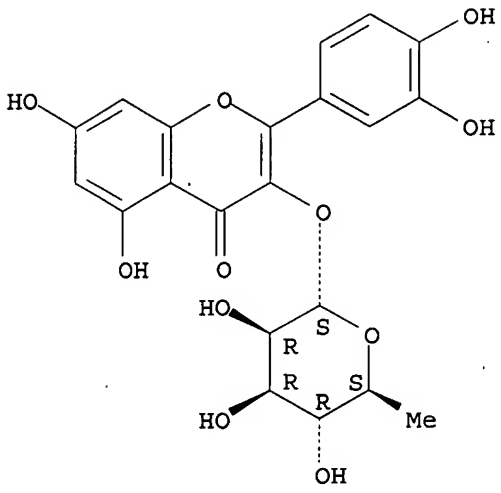
AB The effects of flavonoids on the biochem. activities of various enzymes as well as their effects on cellular reactions related to anti-promotion in carcinogenesis are described. In addition, the effect of quercetin on the stereoselective hydrolyses in an artificial enzyme-membrane system is also discussed.

IT 522-12-3, Quercitrin
RL: BIOL (Biological study)
(enzymes response to, pharmacol. in relation to)

RN 522-12-3 CAPLUS

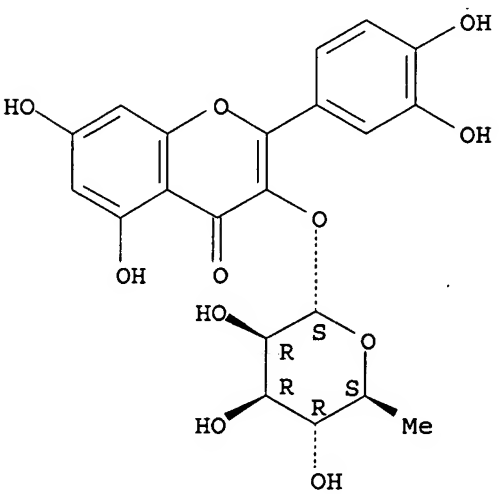
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



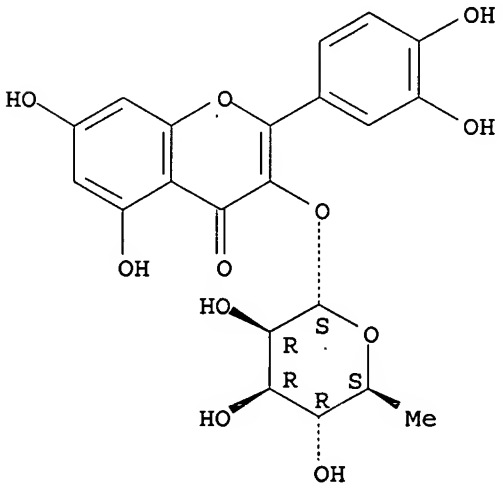
L4 ANSWER 52 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1988:451752 CAPLUS
DN 109:51752
TI Constituents of the leaves of Saxifraga stolonifera
AU Luo, Houwei; Wu, Baojin; Chen, Jiean; Liu, Zirong
CS Dep. Phytochem., China Pharm. Univ., Nanjing, Peop. Rep. China
SO Zhongguo Yaoke Daxue Xuebao (1988), 19(1), 1-3
CODEN: ZHYXE9; ISSN: 1000-5048
DT Journal
LA Chinese
AB S. stolonifera Is a traditional Chinese medicinal herb. Its EtOAc extract was used in the treatment of hypertrophy of prostate and other diseases. Eight compds. were isolated from this plant, 7 of them were identified as bergenin, quercitrin, quercetin, protocatechuic acid, gallic acid, succinic acid, mesaconic acid.
IT 522-12-3, Quercitrin
RL: BIOL (Biological study)
(from Saxifraga stolonifera leaf)
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 53 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1985:180536 CAPLUS
DN 102:180536
TI Effect of bile acids on formation of the mutagen, quercetin, from two flavonol glycoside precursors by human gut bacterial preparations
AU Mader, Judith A.; Macdonald, Ian A.
CS Dep. Med., Dalhousie Univ., Halifax, NS, B3H 4HJ, Can.
SO Mutation Research (1985), 155(3), 99-104
CODEN: MUREAV; ISSN: 0027-5107
DT Journal
LA English
AB Human fecal cultures, induced with either of the flavonols quercitrin [522-12-3] or rutin [153-18-4], were grown in the presence of various concns. of chenodeoxycholic acid [474-25-9], deoxycholic acid [83-44-3], or cholic acid [81-25-4]. Cell-free prepns. (fecal prepns.) from these cultures were then incubated with rutin or quercitrin. The formation of the aglycon, quercetin [117-39-5], was monitored by the Ames assay using tester strain TA98. The presence of chenodeoxycholic or deoxycholic acids in the quercitrin-induced culture resulted in a fecal preparation which enhanced the mutagenesis of quercitrin .apprx.2-fold at optimal concns. of 0.6 and 0.8 mM, resp. Higher concns. of these bile acids decreased the activity of the fecal prepns. Cholic acid gave similar results except a much higher concentration (3.0 mM) was required to achieve this effect. Analogous results with rutin-induced cultures were less clear cut: considerable variation in bile acid effect was noted among volunteers. Thus, bile acid in the medium may enhance the ability of rutin- and quercitrin-glycosidase elaborating organisms to successfully compete with other microbial populations. Addnl., the greater variation in results using rutin as inducer may reflect more heterogeneous populations of organisms active against this substrate. The possible role of bile acids and flavonols in bowel cancer is discussed.
IT 522-12-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of, by human intestinal bacteria, bile acid effect on)
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

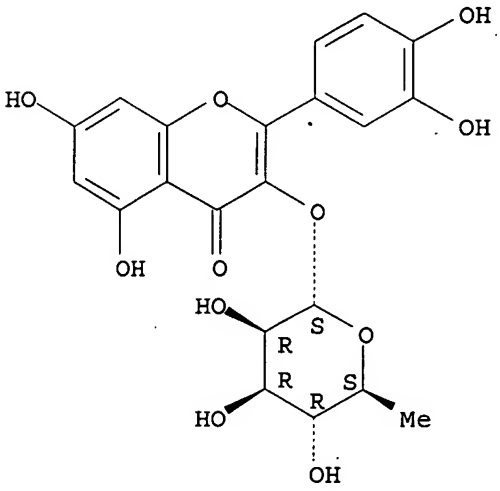
Absolute stereochemistry.



L4 ANSWER 54 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1980:633606 CAPLUS
DN 93:233606

TI Multiple aldehyde reductases of human brain
AU Hoffman, Paula L.; Wermuth, Bendicht; Von Wartburg, Jean Pierre
CS Dep. Physiol. Biophys., Illinois Med. Cent., Chicago, IL, USA
SO Advances in Experimental Medicine and Biology (1980), 132(Alcohol Aldehyde Metab. Syst. - 4), 749-59
CODEN: AEMBAP; ISSN: 0065-2598
DT Journal
LA English
AB Human brain contains 4 forms of aldehyde-reducing enzymes. One major activity, designated AR3, has properties indicating its identity with the NADPH-dependent aldehyde reductase (EC 1.1.1.2). The other major form of human brain enzyme, AR1, which is also NADPH-dependent, reduces both aldehyde and ketone-containing substrates, including vitamin K3 (menadione) and daunorubicin, a cancer chemotherapeutic agent. This enzyme is very sensitive to inhibition by the flavonoids, quercitrin and quercetin, and may be analogous to a daunorubicin reductase previously described in liver of other species. One minor form of human brain aldehyde reductase, AR2, demonstrates substrate specificity and inhibitor sensitivity which suggest its similarity to aldose reductases found in lens and other tissues of many species. This enzyme, which can also use NADH as cofactor to some extent, is the most active in reducing the aldehyde derivs. of the biogenic amines. The 4th human brain enzyme (succinate semialdehyde reductase) differs from the other forms in its ability to use NADH as well as or better than NADPH as cofactor, and in its mol. weight, which is nearly twice that of the other forms. It is quite specific for succinic semialdehyde (SSA) as substrate, and was significantly inhibited only by quercetin and quercitrin. AR3 can also reduce SSA, and both enzymes may contribute to the production of γ-hydroxybutyric acid in vivo. These results indicate that the human brain aldehyde reductases can play relatively specific physiol. roles.
IT 522-12-3
RL: BIOL (Biological study)
(aldehyde reductases of human brain inhibition by)
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

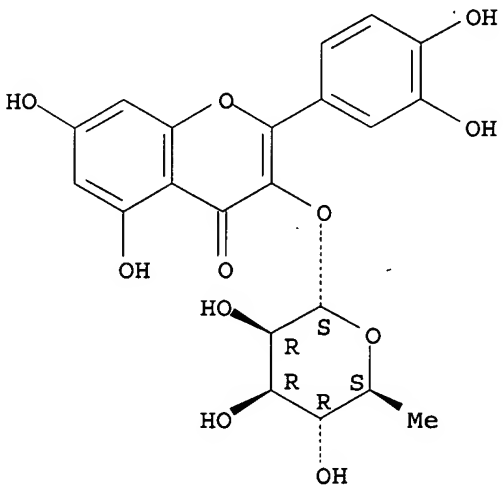
Absolute stereochemistry.



L4 ANSWER 55 OF 55 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1972:121509 CAPLUS
DN 76:121509
TI Normalizing glucose metabolism in brain tumor slices by hyperoside

AU Dittmann, J.; Herrmann, H. D.; Palleske, H.
CS Neurochirurg. Universitaets-Klin., Homburg/Saar, Fed. Rep. Ger.
SO Arzneimittel-Forschung (1971), 21(12), 1999-2002
CODEN: ARZNAD; ISSN: 0004-4172
DT Journal
LA German
AB Hyperoside (I) [482-36-0] (0.25mM) incubated with human brain tumor slices inhibited aerobic glycolysis but did not affect respiration. However, I had little effect on glucose [50-99-7] metabolism in normal rabbit brain slices. Hyperforate, an extract prepared from Hypericum perforatum, also inhibited lactic acid [50-21-5] production by the tumor slices. Quercitrin [522-12-3] and quercetin [117-39-5] were much less effective than I. I or I-containing plant exts. may be useful in cancer therapy or its prevention.
IT 522-12-3
RL: BIOL (Biological study)
(glucose metabolism by brain neoplasm in response to)
RN 522-12-3 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(6-deoxy-α-L-mannopyranosyl)oxy]-2-(3,4-dihydroxyphenyl)-5,7-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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=> s l3 and Rsk
          3009 L3
           490 RSK
            35 RSKS
           501 RSK
                 (RSK OR RSKS)
L5           7 L3 AND RSK

=> dis l5 1-7 bib abs hitstr

L5   ANSWER 1 OF 7  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2006:817387  CAPLUS
DN   145:249451
TI   Process for the synthesis of kaempferol glycoside SL0101-1 analogs and
     their inhibition of p90Rsk
IN   Hecht, Sidney M.; Maloney, David
PA   University of Virginia Patent Foundation, USA
SO   PCT Int. Appl., 37pp.
     CODEN: PIXXD2
DT   Patent
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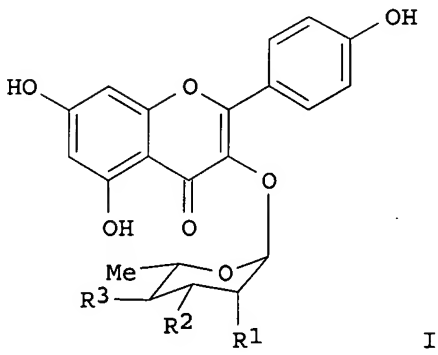
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006086103	A2	20060817	WO 2006-US709	20060110
WO 2006086103	A3	20060928		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2005-642539P P 20050110
OS CASREACT 145:249451; MARPAT 145:249451
GI



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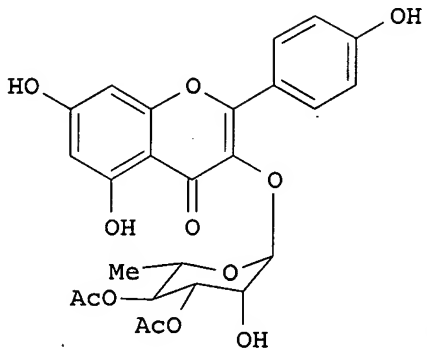


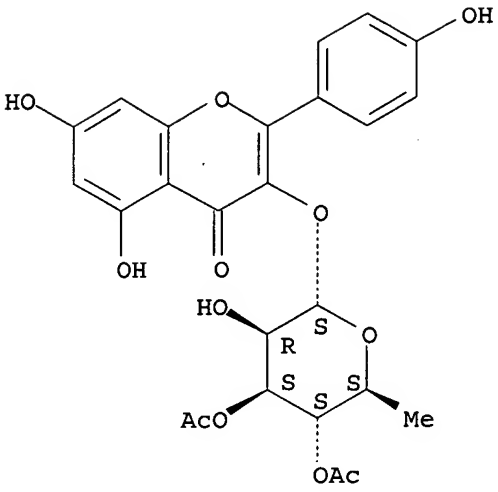
II

AB A process for the synthesis of kaempferol glycoside SLO101-1 analogs I, wherein R1 and R2 are independently selected fro OH or OAc; R3 is OAc are prepared and tested as inhibitors of p90 ribosomal S6 kinase (RSK). Thus, II was prepared and displayed and IC50 of 89 nM against p90 ribosomal S6 kinase. Further, I can act as anti-cancer agents by their selective and potent p90 Rsk inhibitory activity at nanomolar concns. without inhibiting the function of upstream kinases such as MEK, Raf, or PKC.

IT 77307-50-7P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for the synthesis of kaempferol glycoside SLO101-1 analogs and their inhibition of p90Rsk)

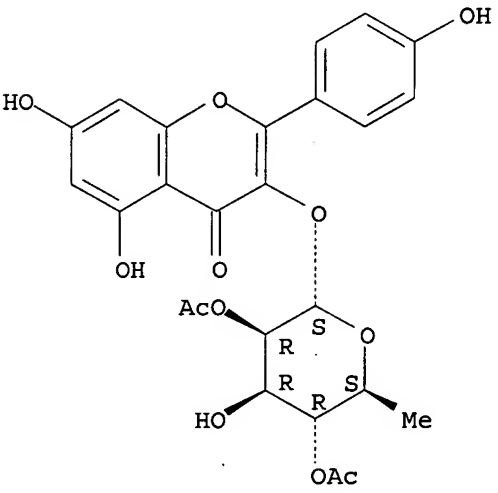
RN 77307-50-7 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(3,4-di-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



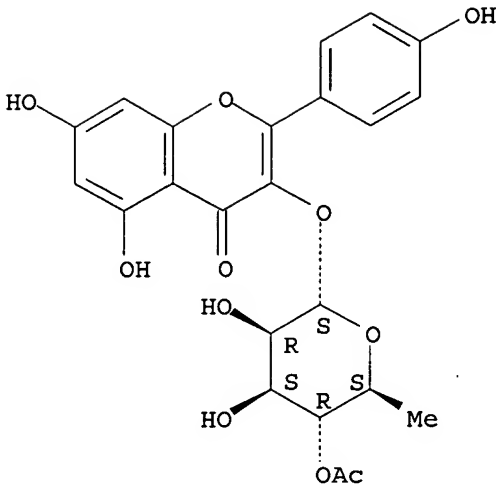
IT 133882-73-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for the synthesis of kaempferol glycoside SL0101-1 analogs and their inhibition of p90Rsk)
RN 133882-73-2 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(2,4-di-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 135618-17-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(process for the synthesis of kaempferol glycoside SL0101-1 analogs and their inhibition of p90Rsk)
RN 135618-17-6 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(4-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L5 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:739404 CAPLUS
DN 145:347794
TI Influence of rhamnose substituents on the potency of SL0101, an inhibitor of the Ser/Thr kinase, RSK
AU Smith, Jeffrey A.; Maloney, David J.; Clark, David E.; Xu, Yaming; Hecht, Sidney M.; Lannigan, Deborah A.
CS Center for Cell Signaling, University of Virginia, Charlottesville, VA, 22908, USA
SO Bioorganic & Medicinal Chemistry (2006), 14(17), 6034-6042
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 145:347794
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

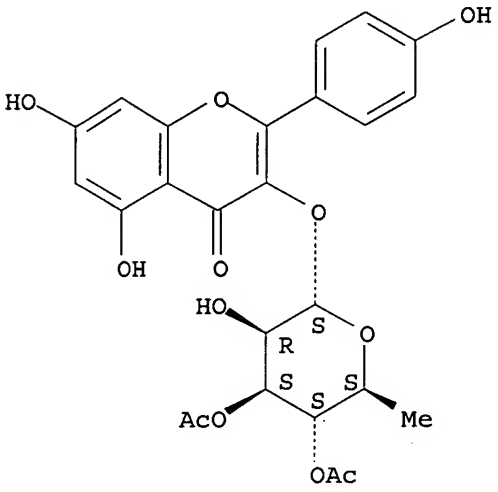
AB The authors have previously reported the isolation of kaempferol 3-O-(3'',4''-di-O-acetyl-α-L-rhamnopyranoside) from Forsteronia refracta. This flavonoid glycoside, termed SL0101, is a specific inhibitor of p90 ribosomal S6 kinase (RSK) with a dissociation constant of 1 μM. In intact cells, however, the EC50 for inhibition of RSK activity is 50 μM, which suggests that the efficacy of SL0101 could be limited by cellular uptake. Therefore, the authors investigated the possibility of developing a more potent RSK inhibitor by synthesizing SL0101 analogs with increased hydrophobic character. The total syntheses of kaempferol derivs. (I, Bu-SL0101) and (II, 3Ac-SL0101) were performed. The IC50 for inhibition of RSK activity in in vitro kinase assays for the analogs was similar to that obtained for SL0101. 3Ac-SL0101 demonstrated the same remarkable specificity for inhibiting RSK activity in intact cells as SL0101; however, Bu-SL0101 was not completely specific. 3Ac-SL0101 was .apprx.2-fold more potent at inhibiting MCF-7 cell proliferation compared to SL0101 and preferentially decreased MCF-7 cell growth, as compared to the growth of the normal human breast line, MCF-10A. Thus the discovery of 3Ac-SL0101 as a more potent RSK-specific inhibitor than SL0101 should facilitate the development of RSK inhibitors as anticancer chemotherapeutic agents.
IT 77307-50-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(SL0101; influence of rhamnose substituents on potency of SL0101, an inhibitor of Ser/Thr kinase, RSK)

RN 77307-50-7 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[(3,4-di-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

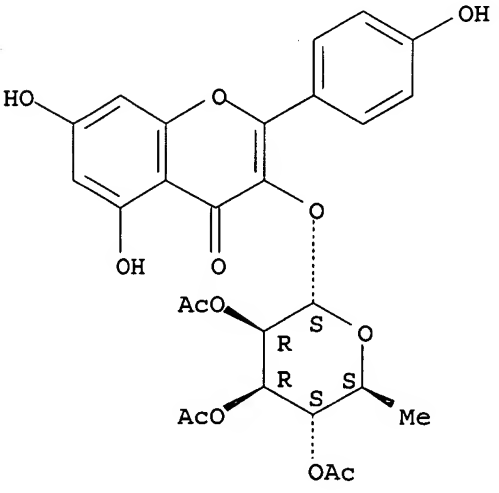


IT 735315-15-8P 910041-18-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(influence of rhamnose substituents on potency of SL0101, an inhibitor of Ser/Thr kinase, RSK)

RN 735315-15-8 CAPLUS

CN 4H-1-Benzopyran-4-one, 5,7-dihydroxy-2-(4-hydroxyphenyl)-3-[(2,3,4-tri-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]- (CA INDEX NAME)

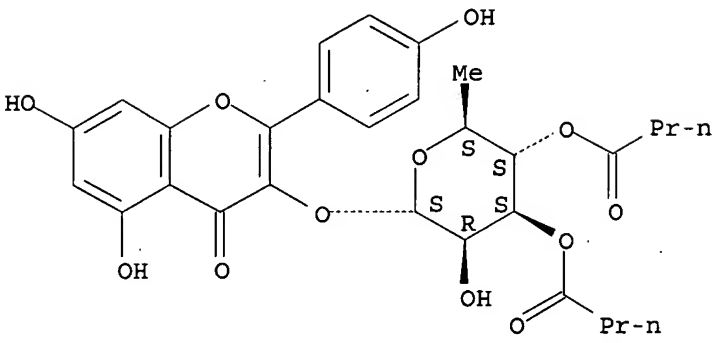
Absolute stereochemistry. Rotation (-).



RN 910041-18-8 CAPLUS

CN 4H-1-Benzopyran-4-one, 3-[[6-deoxy-3,4-bis-O-(1-oxobutyl)-α-L-mannopyranosyl]oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

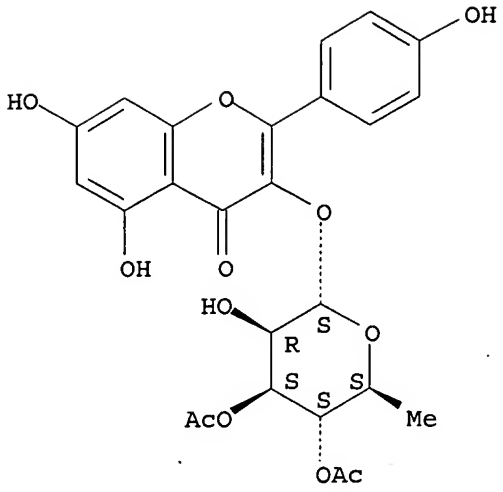
Absolute stereochemistry. Rotation (-).



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

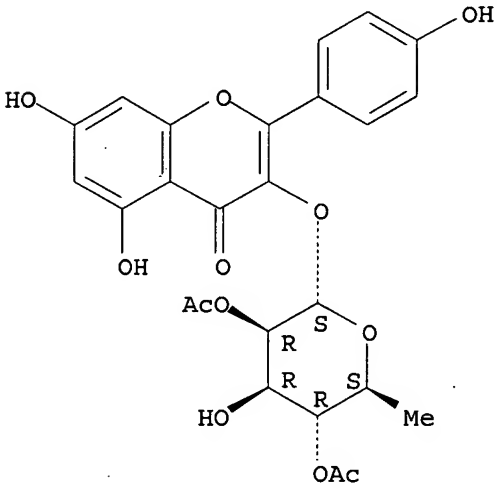
L5 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:391541 CAPLUS
DN 144:445042
TI Three acetylated flavonol glycosides from Forsteronia refracta that specifically inhibit p90 RSK
AU Xu, Ya-Ming; Smith, Jeffrey A.; Lannigan, Deborah A.; Hecht, Sidney M.
CS Departments of Chemistry and Biology, University of Virginia, Charlottesville, VA, 22901, USA
SO Bioorganic & Medicinal Chemistry (2006), 14(11), 3974-3977
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier B.V.
DT Journal
LA English
AB A survey of plant exts. for the presence of p90 ribosomal S6 kinase (RSK) inhibitors resulted in the isolation of three acetylated flavonol glycosides. Kaempferol 3-O-(2'',4''-O-diacetyl-α-L-rhamnopyranoside) (1), kaempferol 3-O-(3'',4''-O-diacetyl-α-L-rhamnopyranoside) (2), and kaempferol-3-O-(4''-O-acetyl-α-L-rhamnopyranoside) (3) were isolated from Forsteronia refracta as the first RSK inhibitors. Of these, compound 2 was found to be the best inhibitor with an IC50 value of 89 nM.
IT 77307-50-7P 133882-73-2P 135618-17-6P
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(three acetylated flavonol glycosides from Forsteronia refracta that specifically inhibit p90 RSK)
RN 77307-50-7 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(3,4-di-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



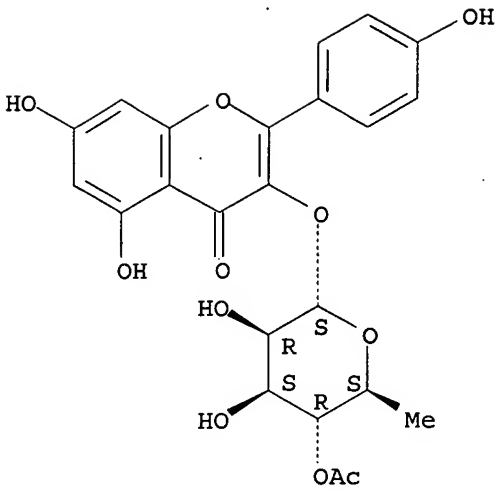
RN 133882-73-2 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(2,4-di-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 135618-17-6 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(4-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

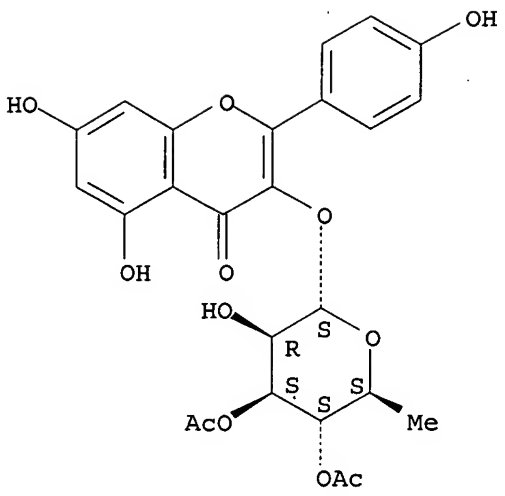
Absolute stereochemistry. Rotation (-).



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

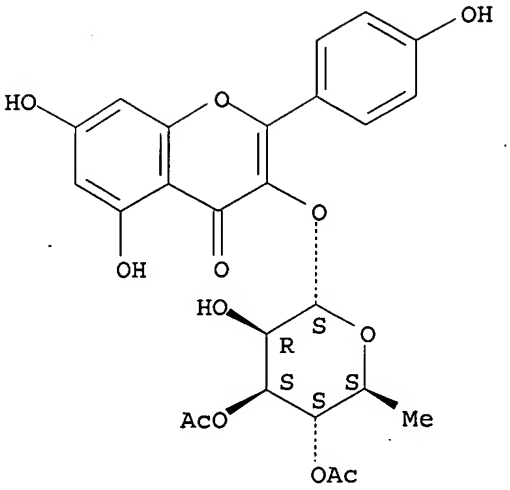
L5 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:215863 CAPLUS
DN 144:412761
TI Synthesis of a potent and selective inhibitor of p90 Rsk.
[Erratum to document cited in CA142:392571]
AU Maloney, David J.; Hecht, Sidney M.
CS Departments of Chemistry and Biology, University of Virginia,
Charlottesville, VA, 22901, USA
SO Organic Letters (2006), 8(8), 1749
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
AB On page 1097, the NMR spectral data for compds. 3 and 10 in the Supporting Information are incorrect. The Supporting Information has been replaced with a corrected version.
IT 77307-50-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of kaempferol glycoside SL0101 for use as potential and selective inhibitor of p90 Rsk (Erratum))
RN 77307-50-7 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(3,4-di-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L5 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:159140 CAPLUS
DN 142:392571
TI Synthesis of a potent and selective inhibitor of p90 Rsk
AU Maloney, David J.; Hecht, Sidney M.
CS Departments of Chemistry and Biology, University of Virginia, Charlottesville, VA, 22901, USA
SO Organic Letters (2005), 7(6), 1097-1099
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
OS CASREACT 142:392571
AB The synthesis of the naturally occurring kaempferol glycoside SL0101 has been accomplished, as has its biochem. evaluation. SL0101 exhibits selective and potent p90 Rsk inhibitory activity at nanomolar concns. without inhibiting the function of upstream kinases such as MEK, Raf, or PKC. The synthesis verified the structural assignment of the natural product and has provided access to material sufficient for detailed biol. evaluation.
IT 77307-50-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of kaempferol glycoside SL0101 for use as potential and selective inhibitor of p90 Rsk)
RN 77307-50-7 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(3,4-di-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

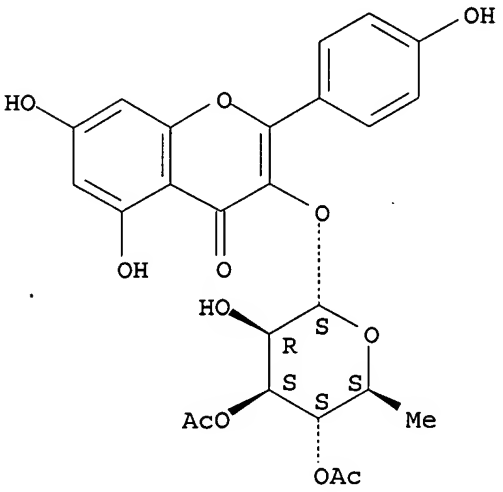


RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:101479 CAPLUS
DN 142:329195
TI Identification of the first specific inhibitor of p90 ribosomal S6 kinase (RSK) reveals an unexpected role for RSK in cancer cell proliferation
AU Smith, Jeffrey A.; Poteet-Smith, Celeste E.; Xu, Yaming; Errington, Timothy M.; Hecht, Sidney M.; Lannigan, Deborah A.
CS Center for Cell Signaling, University of Virginia, Charlottesville, VA, USA
SO Cancer Research (2005), 65(3), 1027-1034
CODEN: CNREA8; ISSN: 0008-5472
PB American Association for Cancer Research
DT Journal
LA English
AB P90 ribosomal S6 kinase (RSK) is an important downstream effector of mitogen-activated protein kinase, but its biol. functions are not well understood. The authors have now identified the first small-mol., RSK-specific inhibitor, which they isolated from the tropical plant Forsteronia refracta. The authors have named this novel inhibitor SL0101. SL0101 shows remarkable specificity for RSK. The major determinant of SL0101-binding specificity is the unique ATP-interacting sequence in the amino-terminal kinase domain of RSK. SL0101 inhibits proliferation of the human breast cancer cell line MCF-7, producing a cell cycle block in G1 phase with an efficacy paralleling its ability to inhibit RSK in intact cells. RNA interference of RSK expression confirmed that RSK regulates MCF-7 proliferation. Interestingly, SL0101 does not alter proliferation of a normal human breast cell line MCF-10A, although SL0101 inhibits RSK in these cells. RSK is overexpressed in .apprx. 50% of human breast cancer tissue samples, suggesting that regulation of RSK has been compromised. Thus, RSK has an unexpected role in proliferation of transformed cells and may be a useful new target for chemotherapeutic agents. SL0101 will provide a powerful new tool to dissect the mol. functions of RSK in cancer cells.
IT 77307-50-7
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
(identification of first specific inhibitor of p90 ribosomal S6 kinase (RSK) reveals an unexpected role for RSK in cancer cell proliferation)

RN 77307-50-7 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(3,4-di-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:1006705 CAPLUS
DN 140:53392
TI Rsk inhibitors, preparation, and therapeutic uses thereof
IN Smith, Jeffrey A.; Lannigan-Macara, Deborah A.; Poteet-Smith, Celeste E.; Hecht, Sidney M.; Xu, Yaming; Brautigan, David L.
PA University of Virginia Patent Foundation, USA
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003105766	A2	20031224	WO 2003-US18734	20030612
	WO 2003105766	A3	20040311		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2488864	A1	20031224	CA 2003-2488864	20030612
	AU 2003251513	A1	20031231	AU 2003-251513	20030612
	EP 1539781	A2	20050615	EP 2003-760343	20030612
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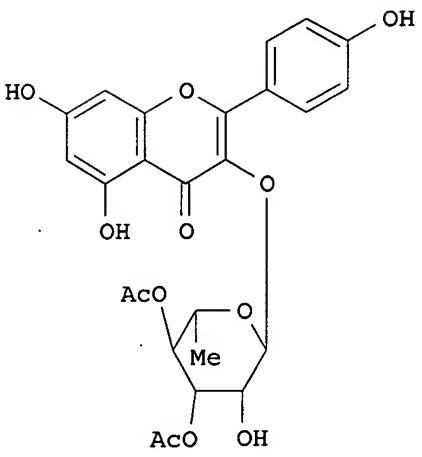
	US 2005233985	A1	20051020	US 2004-517328	20041209
	US 2007049539	A1	20070301	US 2006-524159	20060920
PRAI	US 2002-388006P	P	20020612		
	US 2003-449553P	P	20030224		
	WO 2003-US18734	W	20030612		

US 2004-517328 A3 20041209
OS MARPAT 140:53392
GI



I

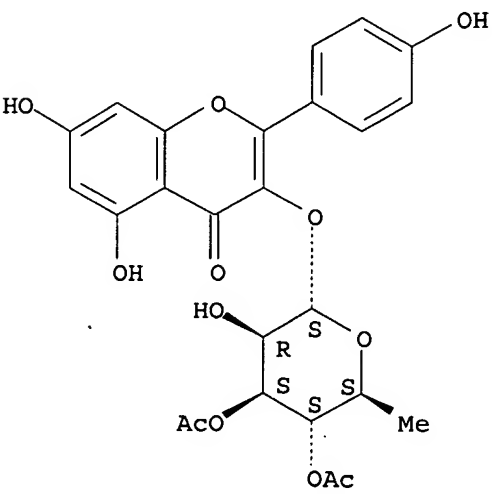
AB The invention discloses compds. and compns. that have Rsk -specific inhibitory activity. Compds. of the invention include small mol. inhibitors, e.g. I. Synthetic procedures leading to I are described, as are isolation procedures from Forsteronia refracta. Other Rsk -specific inhibitors include e.g. antisense oligonucleotides. In addition, inhibition of Rsk by the compds. has been discovered to halt the proliferation of cancer cell lines while having little effect on the proliferation rate of normal cells. Therefore, the invention identifies Rsk as a target for therapeutic intervention in diseased states in which the disease or the symptoms can be ameliorated by inhibition of Rsk catalytic activity.

IT 77307-50-7P, SL 0101-1
RL: DMA (Drug mechanism of action); NPO (Natural product occurrence); PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(Rsk inhibitors and therapeutic uses)

RN 77307-50-7 CAPLUS

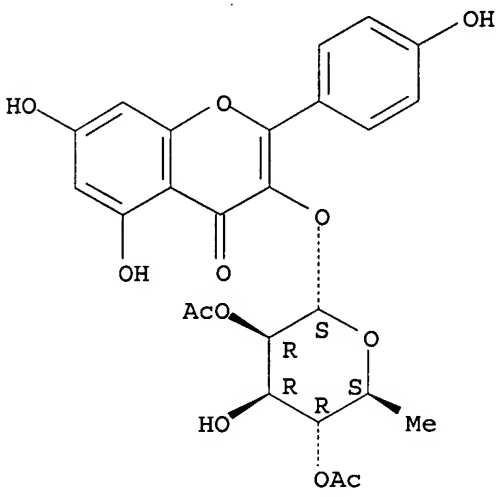
CN 4H-1-Benzopyran-4-one, 3-[(3,4-di-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



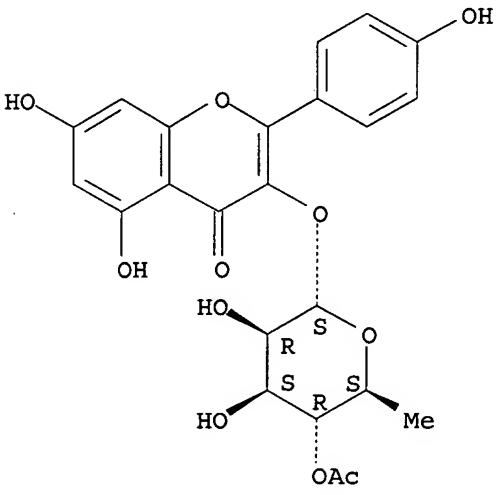
IT 133882-73-2P, SL 0101-2 135618-17-6P, SL 0101-3
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(Rsk inhibitors and therapeutic uses)
RN 133882-73-2 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(2,4-di-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 135618-17-6 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[(4-O-acetyl-6-deoxy-α-L-mannopyranosyl)oxy]-5,7-dihydroxy-2-(4-hydroxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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L2 9 S L1 SSS SAM

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L4           55 S L3 AND (CANCER OR PROSTATE OR BREAST OR SARCOMA)
L5            7 S L3 AND RSK
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US005126129A

United States Patent [19]

Wiltrout et al.

[11] Patent Number: 5,126,129

[45] Date of Patent: Jun. 30, 1992

[54] CANCER THERAPY USING INTERLEUKIN-2 AND FLAVONE COMPOUNDS

[75] Inventors: Robert H. Wiltrout, Frederick; Ronald Hornung, Union Bridge, both of Md.

[73] Assignee: The Government of the United States of America as represented by the Secretary of the Department of Health & Human Services, Washington, D.C.

[21] Appl. No.: 649,182

[22] Filed: Feb. 4, 1991

Related U.S. Application Data

[63] Continuation of Ser. No. 197,352, May 23, 1988, abandoned.

[51] Int. Cl.[5] A61K 31/35; A61K 45/05

[52] U.S. Cl. 424/85.2; 514/456

[58] Field of Search 514/456; 424/85.2

[56] References Cited

U.S. PATENT DOCUMENTS

4,602,034 7/1986 Briet et al. 514/456

Primary Examiner—S. J. Friedman

Attorney, Agent, or Firm—Birch, Stewart, Kolasch & Birch

[57] ABSTRACT

Treatment of Cancer with Flavones and Interleukin 2.

18 Claims, 1 Drawing Sheet

FIG. 1(a)

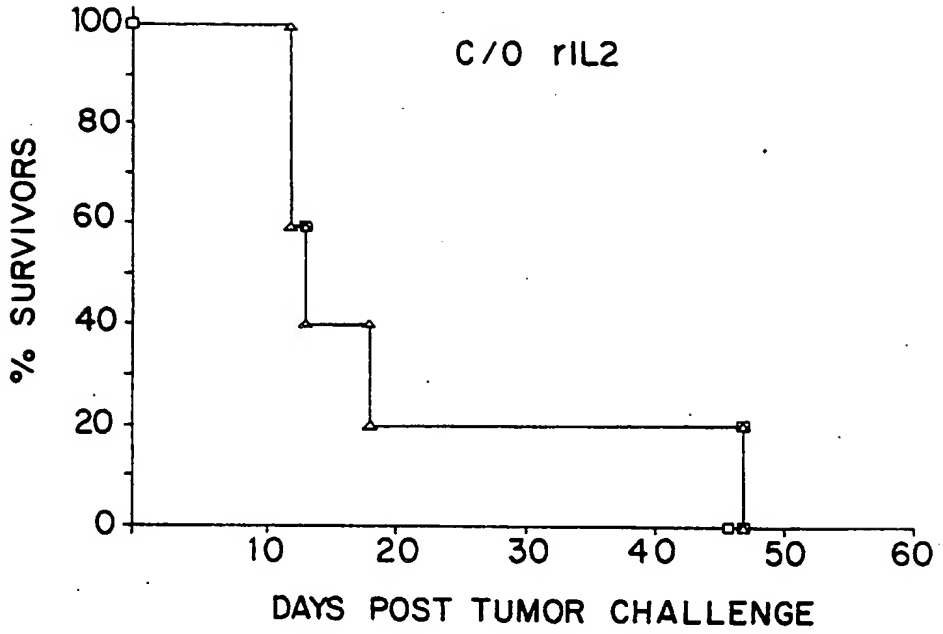
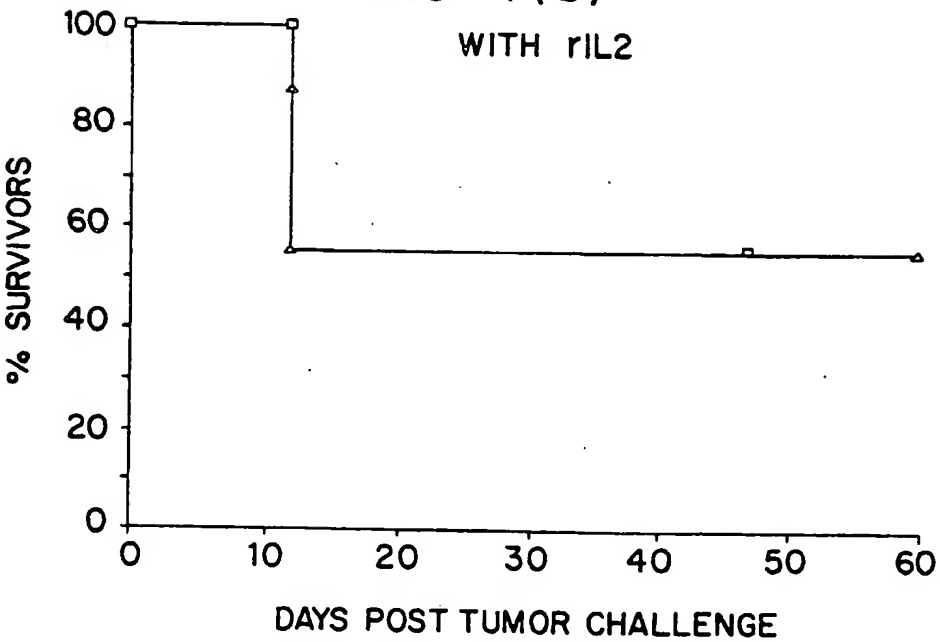

C/O rIL2
% SURVIVORS
100
80
60
40
20
0
10
20
30
40
50
60
DAYS POST TUMOR CHALLENGE

FIG. 1(b)


WITH rIL2
% SURVIVORS
100
80
60
40
20
0
0
10
20
30
40
50
60
DAYS POST TUMOR CHALLENGE

CANCER THERAPY USING INTERLEUKIN-2 AND FLAVONE COMPOUNDS

This application is a continuation, of application Ser. No. 07/197,352 filed on May 23, 1988, now abandoned.

FIELD OF THE INVENTION

The present invention relates to a treatment regimen for cancer therapy, and, more particularly, to a treatment regimen for renal carcinoma.

BACKGROUND OF THE INVENTION

Attempts have been made recently to develop immunotherapies for the treatment of cancer based on stimulating the host immune response to the tumor. These approaches were based on attempts to immunize against specific tumor cells or with nonspecific stimulants in the hope that general immune stimulation would concomitantly increase the host antitumor response. Although some experimental evidence indicated that this approach might be feasible in the therapy of established tumors, the inability to stimulate sufficiently strong responses to putative tumor antigens and the general immunoincompetence of the tumor bearing host argued against the success of this approach.

An alternative therapeutic approach to the immunologic treatment of cancer is that of the adoptive transfer of immune cells. Adoptive immunotherapy is defined as the transfer to the tumor-bearing host of active immunologic reagents, such as cells with antitumor reactivity that can mediate, either directly or indirectly, antitumor effects. Adoptive immunotherapy represents an attractive approach to cancer therapy and to other conditions related to immune dysfunction. Because active immunologic reagents are transferred to the host, complete host immunocompetence is not required. Thus, the immunosuppression generally associated with the tumor bearing state does not represent a major problem when using this therapeutic alternative. Since host immunocompetence is not required, and in fact may be beneficial to the effects of the adoptive transfer of immune cells, adoptive immunotherapy can be easily combined with other therapies such as chemotherapy and radiation therapy. Since the transferred reagents are immunologically specific, this treatment modality predicts a high degree of specificity and consequently a low morbidity. Further, in contrast to most other therapies, no immunosuppression is likely to result from this treatment.

A review of previous attempts to perform adoptive immunotherapy for treatment of cancer in animals and humans can be found in Rosenberg et al.; 1977, *Adv. Cancer Res.* 25: 323-388.

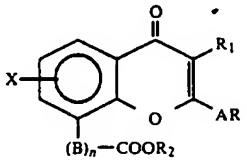
Recent studies have demonstrated that the adoptive transfer of specifically immune or broadly cytotoxic lymphocytes generated in the presence of human recombinant interleukin-2 (rIL2) can result in the regression of established tumors in mice and humans. Similarly, the administration of rIL2 alone, in the absence of adoptive immunotherapy, also has been shown to produce some antitumor effects in mice and humans. However, the use of adoptive immunotherapy and rIL2 to treat cancer patients is a complicated, expensive, and toxic form of therapy.

The disadvantage of the use of large amounts of rIL2 either by itself or in combination with adoptive immunotherapy is that such treatment induces a variety of severe and dose-limiting toxic side effects. Therefore, much attention has recently focused on alternative strategies that could exploit the therapeutic benefits of IL-2 while decreasing the expense and logistic difficulties associated with adoptive immunotherapy, as well as decreasing the toxic sequelae associated with high-dose IL-2 therapy.

Renca murine renal cancer has successfully been treated by a therapeutic regimen which combines doxorubin hydrochloride (DOX) and adoptive immunotherapy (AIT) with IL-2, as described in Salup et al., *J. Immunol.*, 138: 641 (1987), and Salup et al., *Cancer Res.*, 46: 3358 (1986). This approach has the advantage of requiring daily administration of a moderate amount of IL-2 rather than the larger amounts required to demonstrate therapeutic effects with IL-2 alone.

Compounds of the formula

FORMULA 1

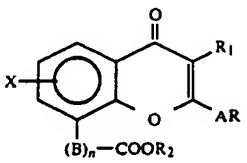


in which AR is phenyl substituted by lower alkyl or lower alkoxy, or AR is thienyl, or furyl; R_1 is hydrogen; B is a lower linear or branched alkylene or alkenylene radical; X is hydrogen, lower alkyl or lower alkoxy; n equals 1; R_2 is hydrogen a lower dialkylamino lower alkyl or morpholinoethyl; or an alkali metal salt of said acid, have been disclosed in U.S. Pat. No. 4,602,234, which is incorporated herein by reference, and in French Patent No. 2,536,397. At the 18th International Leucocyte Culture Conference of June 1987, it was disclosed that the antitumor activity of flavone-8-acetic acid (FAA), a compound disclosed in that patent, was enhanced by administration with interleukin-2.

SUMMARY OF THE INVENTION

It has now been shown that interleukin-2 enhances anticancer activity of Formula 1 analogues of FAA when administered in accord with the method of the invention.

Formula 1



In Formula 1 AR is phenyl substituted by lower alkyl or lower alkoxy, or AR is thienyl, or furyl; R_1 is hydrogen; B is a lower linear or branched alkylene or alkenylene radical; X is hydrogen, lower alkyl or lower alkoxy; n equals 1; R_2 is hydrogen a lower dialkylamino lower alkyl or morpholinoethyl; or an alkali metal salt of said acid.

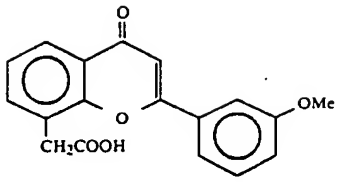
It is the object of this invention to provide an improved regimen for treating malignant tumors.

It is another object of this invention to provide an improved method for treating malignant renal tumors.

BRIEF DESCRIPTION OF THE DRAWING

FIG. 1(*a*) shows effect of treatment with a flavone compound of the formula:

FORMULA 2



alone on survival of Renca-bearing mice.

FIG. 1(*b*) shows effect of administration of compounds of Formula 2 with rIL2 on survival of Renca-bearing mice.

DETAILED DESCRIPTION OF THE INVENTION

According to the present invention, a treatment regimen for cancer is provided to enhance the effectiveness of interleukin therapy. The preferred methods for administration of flavones of Formula 1 are bolus injection, continuous infusion, or delivery from an osmotic pump in close proximity to the administration of IL-2 by any of the above routes to treat mammals suffering from malignancies. The doses of flavones and IL-2 used and the route of administration and the carriers and/or adjuvants used may vary based on the tumor type being treated and in view of known procedures for treatment of such tumors. The combination of flavones and IL-2 provides synergistic antitumor activity.

The flavones administered were synthesized by the Lyonnaise Industrielle Pharmaceuique.

The rIL2 (3×10^6 BRMP units per mg protein), the IL-2 used in the examples, was supplied by Cetus Corporation, Emeryville, Calif.

Polyinosinic-polycytidylic acid and poly-L-lysine stabilized in carboxymethyl cellulose (poly ICLC) was provided by the National Institute of Allergy and Infectious Diseases of Frederick, Md.

All reagents were diluted in Hanks Balanced Salt Solution (HBSS) for administration to the mice.

The tumor model utilized for the present studies is the renca renal adenocarcinoma, a tumor which originated spontaneously and which is maintained by serial transplant in BALC/C mice. The growth characteristics of this tumor have been described in detail in Salup et al., *J. Immunol.* 138: 641 (1987).

The particular Renca line used for the studies reported hereinafter was isolated from a spontaneous liver metastasis derived from the parental line. Following injection of 1×10^5 tumor cells under the renal capsule, the solid tumor mass develops rapidly with direct extension to the peritoneal cavity by days 7–9 and metastasis to regional lymph nodes and liver shortly thereafter. Surgical resection of the primary tumor-bearing kidney is potentially curative prior to day 8, but not thereafter, when mice succumb to peritoneal carcinomatosis and subsequent metastatic disease.

The flavone of Formula 2 was administered by injection of 200 mg/kg intravenously and 200 mg/kg intraperitoneally, while 30,000 U. of rIL2 were delivered intraperitoneally. Routinely, the flavone was administered two to four hours after nephrectomy of the primary tumor-bearing kidney on day 11, and rIL2 was administered one time per day for four successive days beginning on the day after nephrectomy and flavone treatment. Statistical analysis of the survival data was performed by the X^2 test.

TREATMENT OF MURINE RENAL CANCER BY FLAVONE AND rIL2

FIG. 1 shows the effect of treatment with the flavone of Formula 2 on the survival of Renca-bearing mice. BALB/C mice, 8–10 per group, were injected intrarenally with $1\times10_5$ Renca tumor cells on day 0. On day 11, the tumor-bearing kidney was removed and 2–4 hours later 200 mg/kg of the flavone was administered intravenously or intraperitoneally to appropriate groups.

Subsequently, beginning on day 12, some of the flavone pretreated mice were treated with doses of IL-2 at 30,000 U./day for four days. At day 60, all of the mice treated with flavone and IL-2 survived. Of the mice who had received only flavone, all had died by day 50.

These results demonstrate that the use of Formula 1 FAA analogues in association with moderate doses of IL-2 affords appreciably improved long-term survival of mice bearing murine renal cancer as compared to treatment with either a flavone or IL-2 alone.

According to the present invention, the administration of flavones of Formula 1 in association with moderate doses of IL-2 appears to be a more useful approach to the treatment of cancer than administration of high doses of IL-2 alone.

The mechanism by which flavones and rIL2 complement each other in the treatment of cancer is not known. It appears likely that the induction of NK activity, and perhaps the therapeutic effects thereof, are mediated by metabolites of flavones or by cytokines induced by flavones.

The Formula 1 flavones and IL-2 can conveniently be administered intravenously or intraperitoneally, in a suitable carrier.

Carriers which can be used in the present invention include suitable pharmaceutically acceptable carriers comprising excipients and auxiliaries which facilitate processing of the active compounds into preparations which can be used pharmaceutically. Solutions for administration intraperitoneally or intravenously contain from about 0.1 to about 99.5 percent by weight, and preferably from about 25–85 percent by weight, of active ingredient, together with the excipient.

Suitable formulations for parenteral, intraperitoneal, or intravenous administration of the active compounds may include suspensions of the active ingredients as appropriate oily injection suspensions. Suitable lipophilic solvents or vehicles include fatty oils, for example sesame oil, or synthetic fatty acid esters, such as ethyl oleate or triglycerides. Aqueous injection suspensions may contain substances which increase the viscosity of the suspension such as sodium carboxymethylcellulose, sorbitol, or dextran.

The flavones of Formula 1 are preferentially administered by bolus injection, continuous infusion, or delivery from an osmotic pump in close proximity to the administration if rIL2 by any of the above routes. The optimal dose of IL-2 required for use with the flavones of Formula 1 is in the range of about 5,000 to 50,000 u./day, along with about 100 to about 500 mg/kg body weight of the flavone.

The administration of the chosen flavone may commence about one day in advance of or concomitant with the administration of the IL-2. The IL-2 can be administered at least one time per day for at least four days beginning with or after the flavone treatment.

While the invention is described above in relation to certain specific embodiments, it will be understood that many variations are possible, and that alternative materials and reagents can be used without departing from the invention. In some cases such variations and substitutions may require some experimentation, but such will only involve routine testing.

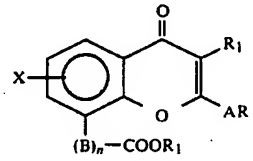
The foregoing description of the specific embodiments will so fully reveal the general nature of the invention that others can, by applying current knowledge, readily modify and/or adapt for various applications such specific embodiments without departing from the generic concept, and therefore such adaptations and modifications are intended to be comprehended within the meaning and range of equivalents of the disclosed embodiments. It is to be understood that the phraseology or terminology herein is for the purpose of description and not of limitation.

What is claimed is:

1. A method for treating cancers which are susceptible to treatment with a combination of compounds provided herein, the method comprising administering by injection to a host the combination of:

an effective amount of a flavone compound of the formula:

Formula 1



in which AR is phenyl substituted by lower alkyl or lower alkoxy, or AR is thienyl, or furyl; R_1 is hydrogen; B is a lower linear or branched alkylene or alkenylene radical; X is hydrogen, lower alkyl or lower alkoxy; n equals 1; R_2 is hydrogen, a lower dialkylamino, lower alkyl or morpholinoethyl for treating said cancer; or an alkali metal salt of said acid;

and an effective amount of interleukin 2 for treating said cancer.

2. The method of claim 1 wherein the cancer is renal carcinoma.

3. The method of claim 1 wherein the flavones are administered prior to administration of the interleukin 2.

4. The method of claim 3 wherein the interleukin 2 is administered in at least 4 daily doses.

5. The method of claim 1 wherein the flavones are administered in amounts ranging from about 100 mg/kg body weight to about 500 mg/kg body weight.

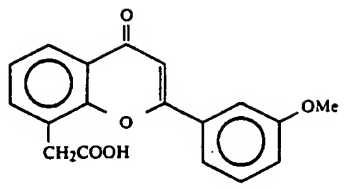
6. The method of claim 5 wherein the flavones are administered intravenously.

7. The method of claim 5 wherein the flavones are administered intraperitoneally.

8. The method of claim 1 wherein the treatment agents are administered by a combination of intraperitoneal and intravenous administration.

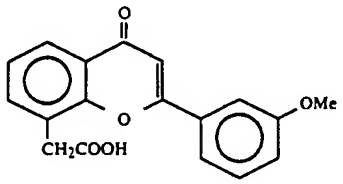
9. A method of claim 1 wherein the flavone given is a compound of the formula:

Formula 2



or its sodium salt.

10. A method for treating renal carcinomas, comprising administering by injection to a host an effective amount of a flavone compound of the formula:

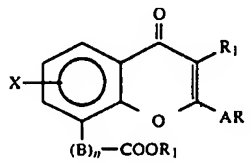


or an alkali metal salt thereof; and an effective amount of interleukin 2.

11. The method of claim 10, wherein the alkali metal salt is the sodium salt.

12. A synergistic pharmaceutical composition for the treatment of cancers which are susceptible to treatment therewith, the composition comprising an effective amount of a flavone compound of the formula:

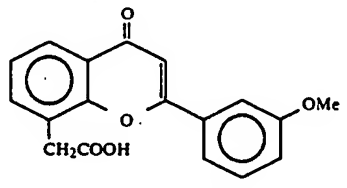
Formula 1



in which AR is phenyl substituted by lower alkyl or lower alkoxy, or AR is thienyl, or furyl; R_1 is hydrogen; B is a lower linear or branched alkylene or alkenylene radical; X is hydrogen, lower alkyl or lower alkoxy; n equals 1; R_2 is hydrogen, a lower dialkylamino, lower alkyl or morpholinoethyl for treating the cancer; or an alkali metal salt of said acid; and an effective amount of interleukin-2 for treating the cancer; and a pharmaceutically acceptable carrier therefor.

13. The synergistic pharmaceutical composition of claim 12, wherein said flavone compound is

Formula 2



or an alkali metal salt thereof.

14. The synergistic pharmaceutical composition of claim 13, wherein the alkali metal salt is the sodium salt.

15. The method for treating cancers recited in claim 1, wherein:

Ar is phenyl substituted by lower alkyl or lower alkoxy.

16. The method for treating cancers recited in claim 1, wherein:

Ar is phenyl substituted by lower alkyl or lower alkoxy;

X is hydrogen; and R_2 is hydrogen.

17. The synergistic pharmaceutical composition recited in claim 12, wherein:

Ar is phenyl substituted by lower alkyl or lower alkoxy.

18. The synergistic pharmaceutical composition recited in claim 12, wherein:

Ar is phenyl substituted by lower alkyl or lower alkoxy;

X is hydrogen; and R_2 is hydrogen.

* * * * *